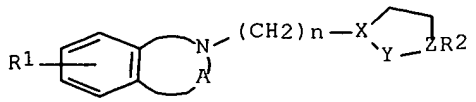
L9 ANSWER 1 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 2002:31419 CAPLUS
DN 136:85830
TI Preparation of bicyclic lactams and sulfonamides as 5-HT1A agonists
IN Steiner, Gerd; Schellhaas, Kurt; Szabo, Laszlo; Behl, Berthold; Garcia-Ladona, Francisco Javier; Unger, Liliane
PA Knoll Gmbh, Germany
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002002529	A1	20020110	WO 2001-EP7571	20010702

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI DE 2000-10031391 A 20000703
GI

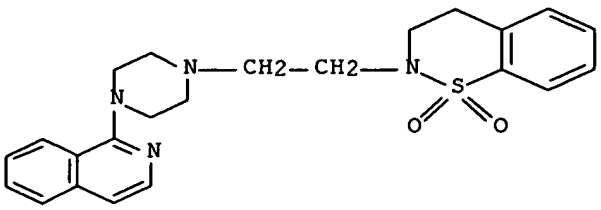


I

AB Title compds. [I; the ring including NA can be a 5-7 membered ring contg. O, S, or double bond; A = CO, SO2; X = N; Y = CH2, CH2CH2, (CH2)3, CH2CH; Z = N, C, CH; n = 2-4; R1 = H, halo, alkyl, CF3, OH, alkoxy, amino; R2 =(substituted) (anellated) Ph, pyridyl, pyrazinyl] and salts thereof, were prepd. Thus, isoquinoline in DMF was stirred with NaH for 30 min. followed by addn. of 1-[4-(2-chloroethyl)-1-piperazinyl]isoquinoline (prepn. given) and stirring for 2 h at 80.degree. to give 82% 2-[2-(4-(1-isoquinolinyl)-1-piperazinyl)ethyl]-1(2H)-isoquinoline.2HCl.2H2O. Tested I showed affinity for the 5-HT1A receptor with Ki = 0.1-5.4 nM in HEK 293 cells.

IT **387399-39-5**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of bicyclic lactams and sulfonamides as 5-HT1A agonists)

RN 387399-39-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



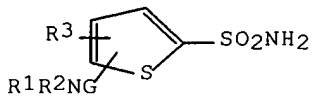
●2 HCl

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 22 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1992:433673 CAPLUS
DN 117:33673
TI Thiophene sulfonamides useful as carbonic anhydrase inhibitors for the treatment of glaucoma
IN Dean, Thomas R.; Chen, Hwang Hsing; May, Jesse A.
PA Alcon Laboratories, Inc., USA
SO PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9115486	A1	19911017	WO 1991-US2262	19910403
	W: AU, BR, CA, FI, JP, KR, NO				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
	US 5153192	A	19921006	US 1990-618765	19901127
	CA 2080223	AA	19911010	CA 1991-2080223	19910403
	AU 9177467	A1	19911030	AU 1991-77467	19910403
	AU 655924	B2	19950119		
	EP 527801	A1	19930224	EP 1991-908317	19910403
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	BR 9106330	A	19930420	BR 1991-6330	19910403
	JP 05508832	T2	19931209	JP 1991-508001	19910403
	JP 2562394	B2	19961211		
	ZA 9102580	A	19920129	ZA 1991-2580	19910408
	IL 97800	A1	19970814	IL 1991-97800	19910409
	NO 9203948	A	19921208	NO 1992-3948	19921009
	FI 9603424	A	19960902	FI 1996-3424	19960902
PRAI	US 1990-506730		19900409		
	US 1990-618765		19901127		
	WO 1991-US2262		19910403		
	FI 1992-4553		19921008		

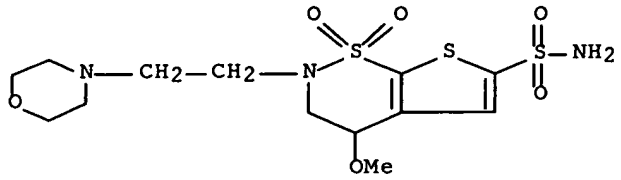
OS MARPAT 117:33673
GI



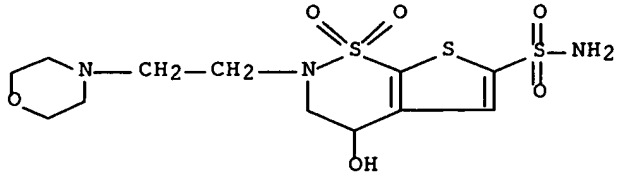
I

AB The title compds. [I; R1 = H, (un)substituted C1-4 alkyl; R2 = H, (un)substituted C1-8 alkyl, (un)substituted C3-7 alkynyl, Ph, heteroaryl, etc; R3 = H, halo, C1-4 alkyl, C1-8 alkoxy, C1-8 alkylthiol, etc; G = CO, SO2] and a pharmaceutically acceptable salt thereof are effective in lowering and controlling intraocular pressure. An ophthalmic suspension contained 3,4-dihydro-4-methoxy-2-methyl-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide-1,1-dioxide (prepn. given) 3.0, hydroxypropyl Me cellulose 0.5, Na2HPO4 0.2, di-Na edetate 0.01, NaCl 0.8, benzalkonium chloride 0.01, polysorbate-80 0.1, NaOH/HCl q.s. to pH 7.02, and water to 100.00 %.
IT **138890-43-4 138890-54-7**
RL: BIOL (Biological study)
(ophthalmic prepns. contg., for lowering intraocular pressure)
RN 138890-43-4 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3,4-dihydro-4-methoxy-2-[2-

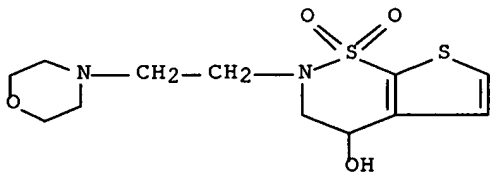
(4-morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



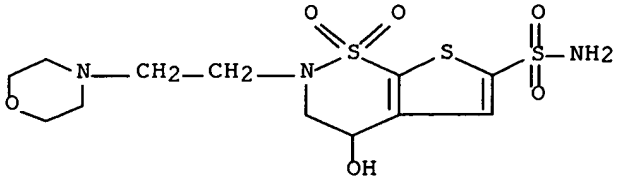
RN 138890-54-7 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3,4-dihydro-4-hydroxy-2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



IT **138891-00-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of thiophene sulfonamide for glaucoma treatment)
RN 138891-00-6 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazin-4-ol, 3,4-dihydro-2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

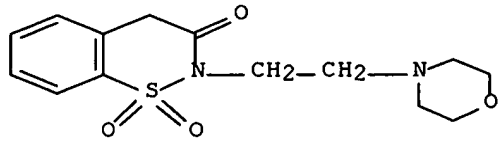


IT **138890-72-9P**
RL: PREP (Preparation)
(prepn. of, as intraocular pressure lowering agent)
RN 138890-72-9 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3,4-dihydro-4-hydroxy-2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L9 ANSWER 39 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1974:69 CAPLUS
DN 80:69
TI New benzothiazines. 4. 1H-2,3-Benzothiazin-4(3H)-one 2,2-dioxide and 2H-1,2-benzothiazin-3(4H)-one 1,1-dioxide nitrogen derivatives with central nervous system activity
AU Sianesi, Enrico; Redaelli, Riccardo; Magistretti, Maria J.; Massarani, Elena
CS Res. Div., Recordati S.a.S., Milan, Italy
SO J. Med. Chem. (1973), 16(10), 1133-7
CODEN: JMCMAR
DT Journal
LA English
AB Addnl. data considered in abstracting and indexing are available from a source cited in the original document. Among the 2 series of title compds., the most active hypnotics and anticonvulsants were 3-allyl-1H-2,3-benzothiazin-4(3H)-one 2,2-dioxide (I) [31846-48-7] and 2-allyl-2H-1,2-benzothiazin-3(4H)-one 1,1-dioxide (II) [31848-18-7]. I had a hypnotic ED50 of 250 mg/kg, i.p. and an anticonvulsant ED70 of 100 mg/kg, i.p. in mice; corresponding values for II were 150 and 160 mg/kg. I and II were prepd. by direct alkylation of the resp. benzothiazinone dioxides with allyl bromide.
IT **31848-26-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 31848-26-7 CAPLUS
CN 2H-1,2-Benzothiazin-3(4H)-one, 2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

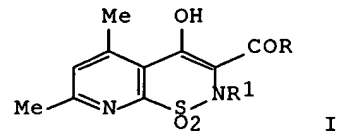


● HCl

L9 ANSWER 27 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1989:478013 CAPLUS
DN 111:78013
TI Preparation of 2-substituted derivatives of 2H-3-acyl-4-hydroxy-5,7-dimethylpyrido[3,2-e][1,2]thiazine 1,1-dioxides as analgesics
IN Malinka, Wieslaw; Zawisza, Tadeusz; Wilimowski, Marian
PA Akademia Medyczna Wroclaw, Pol.
SO Pol., 3 pp.
CODEN: POXXA7
DT Patent
LA Polish
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PL 143077	B2	19880130	PL 1986-257400	19860107

OS CASREACT 111:78013; MARPAT 111:78013
GI

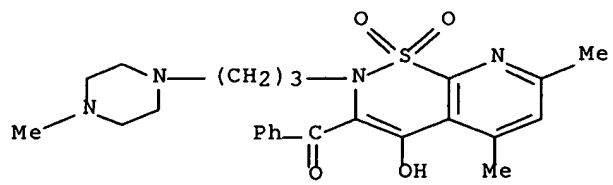


I

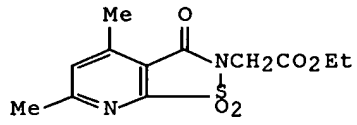
AB Title compds. I (R = Me, Ph; R1 = alkyl, alkylaryl, alkylcarboxy, alkyl ester, alkylamido, alkenyl, alkoxycarbonyl), useful as analgesics (no data), were prepd. 2H-3-Acetyl-4-hydroxy-5,7-dimethylpyrido[3,2-e][1,2]thiazine 1,1-dioxide and MeI are added to NaOMe at room temp. followed by acidification with HOAc to give I (R = R1 = Me) in 60% yield.

IT **121879-81-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as analgesic)

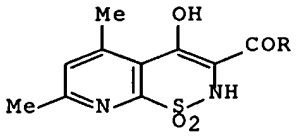
RN 121879-81-0 CAPLUS
CN Methanone, [4-hydroxy-5,7-dimethyl-2-[3-(4-methyl-1-piperazinyl)propyl]-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]phenyl- (9CI) (CA INDEX NAME)



L9 ANSWER 28 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1987:458954 CAPLUS
DN 107:58954
TI Synthesis and properties of 2H-4-hydroxy-2,5,7-trimethylpyrido[3,2-e]-1,2-
thiazine-1,1-dioxide-3-carboxamides
AU Zawisza, T.; Malinka, W.
CS Dep. Chem. Drugs, Sch. Med., Wroclaw, Pol.
SO Farmaco, Ed. Sci. (1986), 41(11), 892-8
CODEN: FRPSAX; ISSN: 0430-0920
DT Journal
LA English
OS CASREACT 107:58954
GI

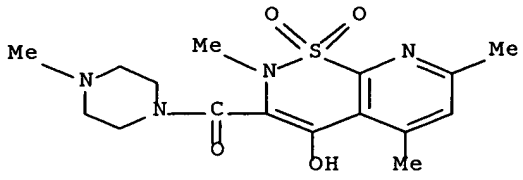


I

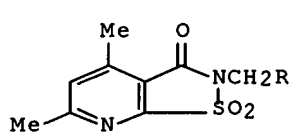


II

AB Rearrangement of pyridoisothiazolinoneacetate I with EtO- gave pyridothiazinecarboxylate II (R = OEt). Reaction of II (R = OEt) with amines gave amides II (R = NH-2-pyridyl, NHPh, NH-2-thiazolyl, etc.) (III). III show antiinflammatory and immunosuppressive activity.
IT **109418-08-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antiinflammatory and immunosuppressant activity of)
RN 109418-08-8 CAPLUS
CN Piperazine, 1-[(4-hydroxy-2,5,7-trimethyl-1,1-dioxido-2H-pyrido[3,2-e]-1,2-
thiazin-3-yl)carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



L9 ANSWER 29 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1987:407141 CAPLUS
DN 107:7141
TI A novel system: 2H-pyrido[3,2-e]-1,2-thiazine-1,1-dioxide. Synthesis And properties of some derivatives
AU Zawisza, T.; Malinka, W.
CS Dep. Chem. Drug, Sch. Med., Wroclaw, Pol.
SO Farmaco, Ed. Sci. (1986), 41(10), 819-26
CODEN: FRPSAX; ISSN: 0430-0920
DT Journal
LA English
GI



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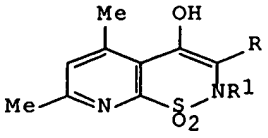
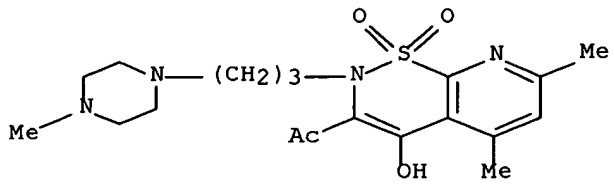


II

AB Reactions of pyridoisothiazoline dioxides I (R = COMe, COPh) with NaOEt produced rearrangement to give pyridothiazine dioxides II (R1 = H). N-Alkylation of II (R = COMe, COPh; R1 = H) gave II (R1 = Me, allyl, CH2Ph,CH2CO2Et,CH2COPh, CO2Me, etc.). Some II showed strong analgesic activity.

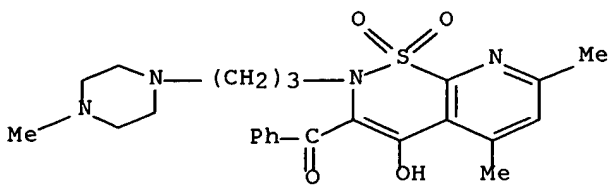
IT **108586-73-8P 108586-78-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and analgesic activity of)

RN 108586-73-8 CAPLUS
CN Ethanone, 1-[4-hydroxy-5,7-dimethyl-2-[3-(4-methyl-1-piperazinyl)propyl]-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]-, dihydrochloride (9CI)(CA INDEX NAME)



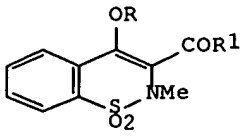
●2 HCl

RN 108586-78-3 CAPLUS
CN Methanone, [4-hydroxy-5,7-dimethyl-2-[3-(4-methyl-1-piperazinyl)propyl]-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]phenyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L9 ANSWER 30 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1986:515006 CAPLUS
DN 105:115006
TI 1,2-Benzothiazines. Part 2. A new approach to 3-carboxamides of the 4-hydroxy-2-methyl-2H-1,2-benzothiazine 1,1-dioxide system
AU Dalla Croce, Piero; La Rosa, Concetta
CS Dip. Chim. Org. Ind., Univ. Milano, Milan, 20133, Italy
SO J. Chem. Res., Synop. (1986), (4), 150-1
CODEN: JRPSDC; ISSN: 0308-2342
DT Journal
LA English
OS CASREACT 105:115006
GI

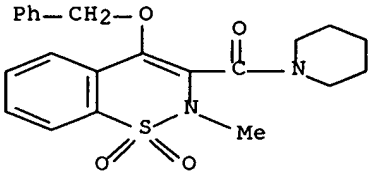


I

AB Reaction of carboxylic acid I (R = CH2Ph, R1 = OH), prepd. from I (R = H, R1 = OMe) by sequential benzylation and hydrolysis, with SOCl2 or ClCO2Et-Et3N followed by amines gave the amides I (R = CH2Ph, R1 = NHPh, NHCH2Ph, piperidino, 5-methylisoxazol-3-ylamino, 2-pyridinylamino, thiazol-2-ylamino) (II) in 55-90% yield. Hydrolysis of II with 15% aq. H2SO4 or HCl in 1,4-dioxane at 100.degree. for 2-12 h gave 80-95% hydroxy amides I (R = H, R1 as before).

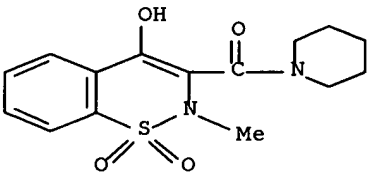
IT **104142-06-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis of)

RN 104142-06-5 CAPLUS
CN Piperidine, 1-[[2-methyl-1,1-dioxido-4-(phenylmethoxy)-2H-1,2-benzothiazin-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



IT **104142-10-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

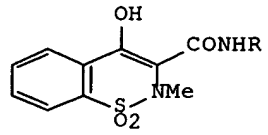
RN 104142-10-1 CAPLUS
CN Piperidine, 1-[(4-hydroxy-2-methyl-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 31 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1985:541990 CAPLUS
DN 103:141990
TI 1,2-Benzothiazine-3-carboxamide dioxides
IN Puigdellivol, Pedro; Goday, Elisa
PA Laboratorio Fides S. A., Spain
SO Span., 7 pp.
CODEN: SPXXAD
DT Patent
LA Spanish
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ES 523598	A1	19841101	ES 1983-523598	19830627

GI

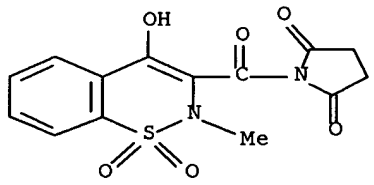


II

AB N,N-Succinyl-2-methyl-4-hydroxy-2H-1,2-benzothiazine-3-carboxamide (I) was
treated with RNH2 (R = 2-pyridyl, 5-methyl-3-isoxazolyl, 2-thiazolyl) to yield amides II, useful as antiinflammatory agents (no data). I was stirred with 2-aminopyridine in dioxane to give II (R = 2-pyridyl).

IT **98207-09-1**
RL: RCT (Reactant)
(transamidation of, by aminopyridine)

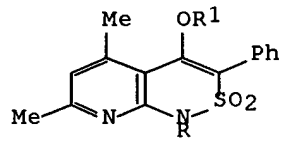
RN 98207-09-1 CAPLUS
CN 2,5-Pyrrolidinedione, 1-[(4-hydroxy-2-methyl-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)

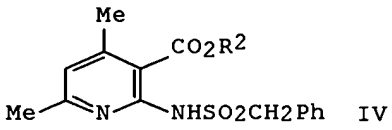


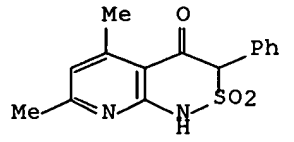
L9 ANSWER 32 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1983:470744 CAPLUS
DN 99:70744
TI Derivatives of 1H-1-alkyl(alkenyl or aminoalkyl)-5,7-dimethyl-4-hydroxy-3-
phenylpyrido[2,3-c]-1,2-thiazine 2,2-dioxide, substituted at the 4-oxygen
atom
IN Zawisza, Tadeusz; Milian, Anna; Jakobiec, Tadeusz; Gieldanowski, Jerzy
PA Akademia Medyczna Wroclaw, Pol.
SO Pol., 4 pp.
CODEN: POXXA7
DT Patent
LA Polish
FAN.CNT 1

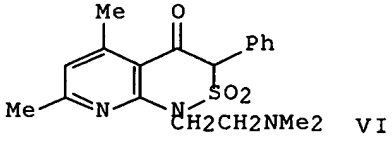
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PL 115288	B2	19810331	PL 1978-215422	19780803

OS CASREACT 99:70744
GI



I


IV


V


VI

AB Title compds. I [R, R1 = Me, Et, allyl, Me2NCH2CH2, Me2N(CH)3, 3-(N'-methylpiperazino)propyl; or R1 = EtO2CCH2] were prepd. by condensing Me (II) or Et 2-amino-4,6-dimethylnicotinate with PhCH2SO2Cl (III), cyclizing the resulting sulfonamido ester (IV) in an org. solvent contg. NaH at >60.degree., and alkylating the intermediate dihydropyrido[2,3-c]-1,2-thiazin-4-one (V) with the corresponding RX (X = halo) and R1X in an org. solvent contg. an alcoholate. Thus, II 36 and III 35 g were dissolved in 400 mL anhyd. C6H6, treated with 21 g Et3N in 50 mL C6H6, stirred 7 h at 50.degree., Et3NH+ Cl- filtered, C6H6 evapd., and the residue crystd. from MeOH to give 35 g IV (R2 = Me). The latter 9.3 g in 40 mL dry DMF was added to 4.8 g .apprx.50% NaH suspension in 20 mL dry DMF, the mixt. heated 3 h at 60-70.degree., cooled and poured into 1 L H2O, the mixt. filtered, the filtrate acidified with HCl, and the product crystd. from EtOH to give 7.2 g V. V 3 was added to Na 0.23 g in 50 mL dry EtOH, dissoln. heated 0.5 h, part of the solvent distd., .apprx.0.01 mol Me2NCH2CH2Cl in 50 mL dry C6H6 added, the mixt. heated 10 h, the NaCl

formed filtered, the filtrate evapd., the residue dissolved in 40 mL hot 10% HCl, and the salt crystd. from EtOH to give 2.8 g aminoethylated intermediate VI. VI 5.8 g was dissolved in 180 mL dry EtOH contg. 0.7 g Na, 2.2 g MeI in 30 mL EtOH added over 0.5 h, the mixt. heated 3 h, the solvent distd., the residue shaken with 50 mL H2O and crystd. from MeOH to

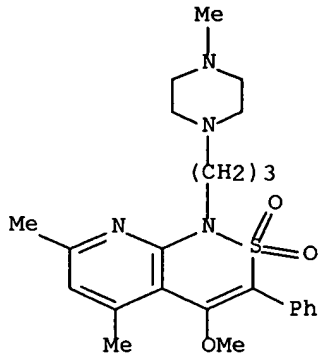
give 3.6 g I (R = Me2NCH2CH2, R1 = Me).

IT **76967-72-1P**

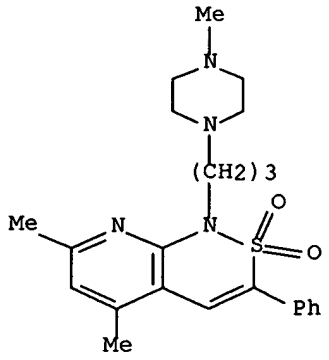
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 76967-72-1 CAPLUS

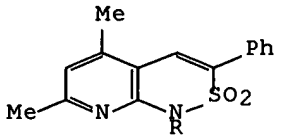
CN 1H-Pyrido[2,3-c][1,2]thiazine, 4-methoxy-5,7-dimethyl-1-[3-(4-methyl-1-piperazinyl)propyl]-3-phenyl-, 2,2-dioxide (9CI) (CA INDEX NAME)



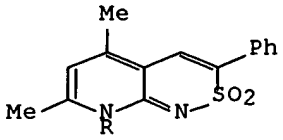
L9 ANSWER 33 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1981:167649 CAPLUS
DN 94:167649
TI Pharmacological activity in the group of new pyrido[2,3-c]-1,2-thiazine 1,1-dioxide derivatives
AU Kowalczyk-Bronisz, Stefania H.
CS Inst. Immunol. Exp. Ther., Pol. Acad. Sci., Wroclaw, 53-114, Pol.
SO Arch. Immunol. Ther. Exp. (1980), 28(5), 783-90
CODEN: AITEAT; ISSN: 0004-069X
DT Journal
LA English
AB The effects of 25 title compds. ranged from strongly immunosuppressive to immunostimulating, and from strongly anti-inflammatory to pro-inflammatory. The structural basis for these diverse effects was obscure.
IT **77201-29-7**
RL: BIOL (Biological study)
(immunity and inflammation response to)
RN 77201-29-7 CAPLUS
CN 1H-Pyrido[2,3-c][1,2]thiazine, 5,7-dimethyl-1-[3-(4-methyl-1-piperazinyl)propyl]-3-phenyl-, 2,2-dioxide (9CI) (CA INDEX NAME)



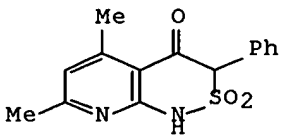
L9 ANSWER 34 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1981:156843 CAPLUS
DN 94:156843
TI Pyridothiazines. Part VI. Syntheses and properties of pyrido[2,3-c]-1,2-thiazine 1,1-dioxide derivatives
AU Zawisza, Tadeusz; Milian, Anna; Jakobiec, Tadeusz
CS Inst. Drugs, Sch. Med., Wroclaw, 50137, Pol.
SO Pol. J. Chem. (1980), 54(7-8), 1413-24
CODEN: PJCHDQ
DT Journal
LA English
GI



I



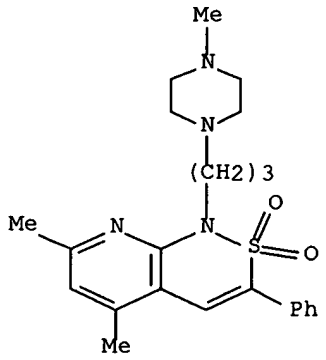
II



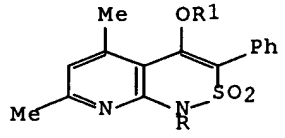
III

AB Pyridothiazine dioxides I and II (R = Me, Et, allyl, CH2CO2Et, CH2CO2H, CH2CH2NMe2, (CH2)3NMe2, 4-methylpiperazinopropyl) were prepd. from the ketone III by redn., alkylation, and dehydration or by alkylation, redn., and dehydration.

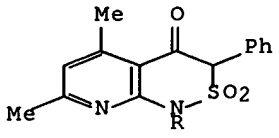
IT **77201-29-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 77201-29-7 CAPLUS
CN 1H-Pyrido[2,3-c][1,2]thiazine, 5,7-dimethyl-1-[3-(4-methyl-1-piperazinyl)propyl]-3-phenyl-, 2,2-dioxide (9CI) (CA INDEX NAME)



L9 ANSWER 35 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1981:139716 CAPLUS
DN 94:139716
TI Pyridothiazines. Part V. Syntheses and properties of 7-alkoxy derivatives of pyrido[2,3-c]-1,2-thiazine 1,1-dioxide
AU Zawisza, Tadeusz; Milian, Anna; Jakobiec, Tadeusz
CS Sch. Med., Inst. Drug, Wroclaw, 50137, Pol.
SO Pol. J. Chem. (1980), 54(6), 1267-73
CODEN: PJCHDQ
DT Journal
LA English
GI



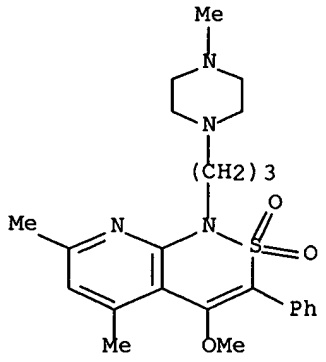
I



II

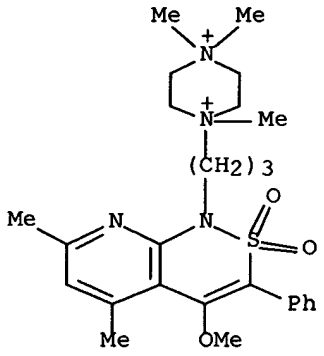
AB Pyridothiazine dioxides I (R = Me, Et, allyl, CH2CH2NMe2, (CH2)3NMe2, 4-methylpiperazinopropyl; R1 = Me, Et, allyl, CH2CH2NMe2, CH2CO2Et) were prepd. by alkylating II. I (R = CH2CH2NMe2, (CH2)3NMe2, 4-methylpiperazinopropyl, R1 = Me) were converted to their quaternary methiodides. The corresponding quaternary II were also prepd. I (R = CH2CH2NMe2, R1 = Me) had the strongest antiinflammatory and immunosuppressant activity.

IT **76967-72-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and quaternization of)
RN 76967-72-1 CAPLUS
CN 1H-Pyrido[2,3-c][1,2]thiazine, 4-methoxy-5,7-dimethyl-1-[3-(4-methyl-1-piperazinyl)propyl]-3-phenyl-, 2,2-dioxide (9CI) (CA INDEX NAME)



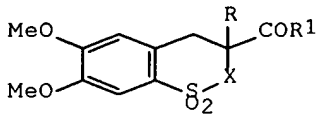
IT **76967-76-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 76967-76-5 CAPLUS
CN Piperazinium, 1-[3-(4-methoxy-5,7-dimethyl-2,2-dioxido-3-phenyl-1H-

pyrido[2,3-c][1,2]thiazin-1-yl)propyl]-1,4,4-trimethyl-, diiodide (9CI) (CA INDEX NAME)



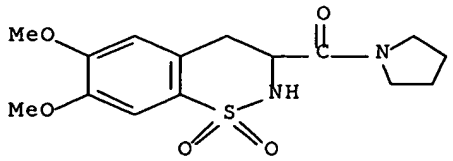
2 I^-

L9 ANSWER 36 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1981:103268 CAPLUS
DN 94:103268
TI Derivatives of 6,7-dimethoxy-1-thiaisochroman-1,1-dioxide and 3,4-dihydro-6,7-dimethoxy-2H-1,2-benzothiazine-1,1-dioxide
AU Poepel, W.; Laban, G.; Faust, G.; Dietz, G.
CS Direktionsber. Forsch. Entwickl., VEB Pharm. Kombinat GERMED, Dresden, Ger. Dem. Rep.
SO Pharmazie (1980), 35(5-6), 266-78
CODEN: PHARAT; ISSN: 0031-7144
DT Journal
LA German
GI

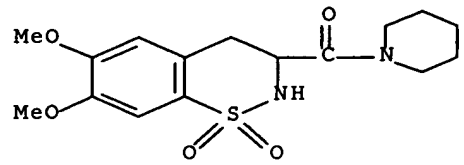


I

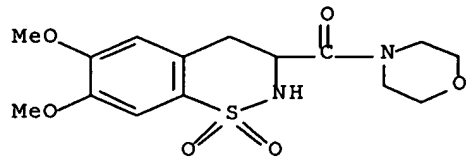
AB The title compds. (I; X = O, NH, NMe, NCH2Ph; R = H, Me; R1 = substituted NH2, OMe, OPr, OCH2Ph, etc.) were prepd. e.g. by cyclizing 3,4-(MeO)2C6H3CH2CRXCN (X = Cl, OH) with conc. H2SO4 and then derivatizing the resulting acid. I (X = O, R1 = ester group) showed anticonvulsant and central nervous system (CNS) depressant activity (no data), whereas I (X = substituted NH) had weaker CNS activity with antitussive activity.
IT **76667-17-9P 76667-18-0P 76667-19-1P**
76667-22-6P 76667-40-8P 76667-41-9P
76667-50-0P 76667-73-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 76667-17-9 CAPLUS
CN Pyrrolidine, 1-[(3,4-dihydro-6,7-dimethoxy-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



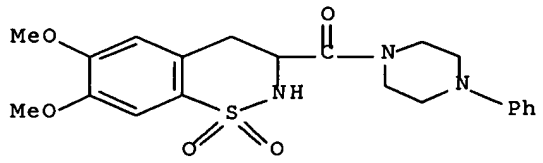
RN 76667-18-0 CAPLUS
CN Piperidine, 1-[(3,4-dihydro-6,7-dimethoxy-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



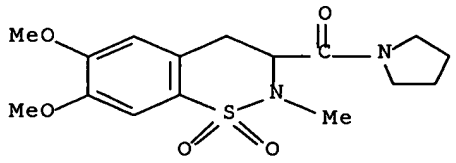
RN 76667-19-1 CAPLUS
CN Morpholine, 4-[(3,4-dihydro-6,7-dimethoxy-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



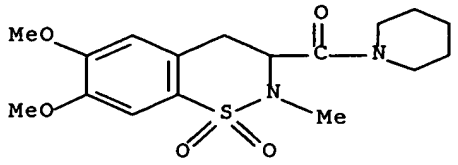
RN 76667-22-6 CAPLUS
CN Piperazine, 1-[(3,4-dihydro-6,7-dimethoxy-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]-4-phenyl- (9CI) (CA INDEX NAME)



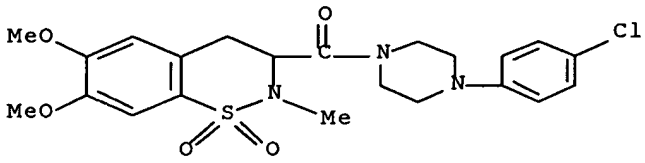
RN 76667-40-8 CAPLUS
CN Pyrrolidine, 1-[(3,4-dihydro-6,7-dimethoxy-2-methyl-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



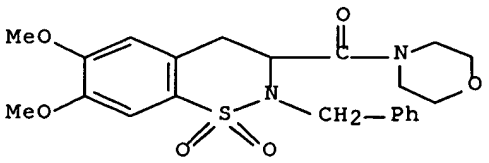
RN 76667-41-9 CAPLUS
CN Piperidine, 1-[(3,4-dihydro-6,7-dimethoxy-2-methyl-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



RN 76667-50-0 CAPLUS
CN Piperazine, 1-(4-chlorophenyl)-4-[(3,4-dihydro-6,7-dimethoxy-2-methyl-1,1-
dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



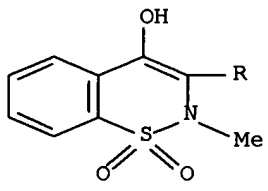
RN 76667-73-7 CAPLUS
CN Morpholine, 4-[[3,4-dihydro-6,7-dimethoxy-1,1-dioxido-2-(phenylmethyl)-2H-
1,2-benzothiazin-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

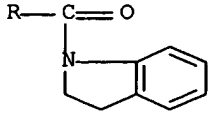


L9 ANSWER 37 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1974:413538 CAPLUS
DN 81:13538
TI 4-Hydroxy-3-carbamoyl-2H-1,2-benzothiazine 1,1-dioxides and 4-hydroxy-3(2H)-1,2-benzothiazine carboxylate-1,1-dioxides
IN Sircar, Jagadish C.; Zinnes, Harold; Shavel, John, Jr.
PA Warner Lambert Co.
SO U.S., 18 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 3808205	A	19740430	US 1972-251163	19720508
PRAI US 1971-179570		19710910		

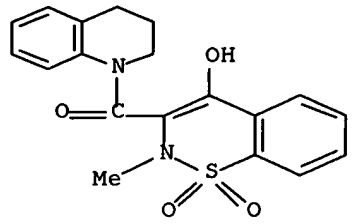
GI For diagram(s), see printed CA Issue.
AB 4-(1-Pyrrolidinyl)-2-methyl-2H-1,2-benzothiazine-3-carbonyl chloride (I, R = 1-pyrrolidinyl, R1 = COCl), obtained by reaction of I (R = 1-pyrrolidinyl, R1 = H) with COCl2, was treated with the appropriate primary or secondary amines to give I [R = 1-pyrro-lidinyl; R1 = CONR2R3, R2R3 = Me, Ph, Et, 1-adamantyl, 2-thienyl, H, or NR2R3 = 1-indolinyl, 3,4-dihydro-1(2H)-quinolyl, 1-aziridinyl), which were hydrolyzed (HCl) to give I (R = OH), useful as antiinflammatory agents. Thus, I (R = 1-pyrrolidinyl, R1 = COCl) was refluxed 16 hr with PhNHMe in THF contg. Et3N to give I (R = 1-pyrrolidinyl, R1 = CONMePh), which was refluxed 1 hr in 3N HCl to give I (R = OH, R1 = CONMePh).
IT **40713-59-5P 40713-60-8P 40713-62-0P 40713-69-7P 40713-70-0P 40713-71-1P 52853-59-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 40713-59-5 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-[(4-hydroxy-2-methyl-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



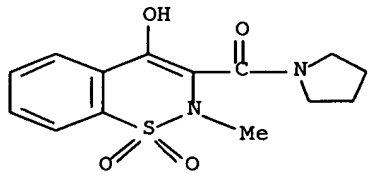


RN 40713-60-8 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-1-[(4-hydroxy-2-methyl-1,1-dioxido-2H-1,2-

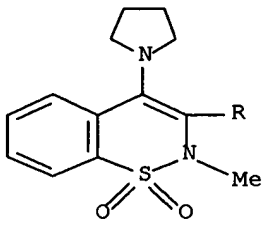
benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)

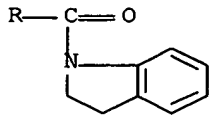


RN 40713-62-0 CAPLUS
CN Pyrrolidine, 1-[(4-hydroxy-2-methyl-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)

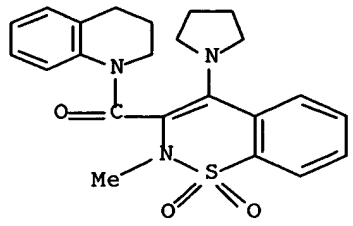


RN 40713-69-7 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-[[2-methyl-1,1-dioxido-4-(1-pyrrolidinyl)-2H-1,2-
benzothiazin-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

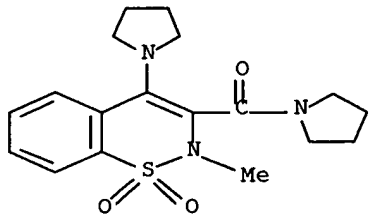




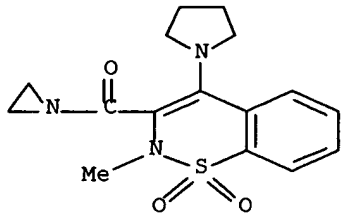
RN 40713-70-0 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-1-[[2-methyl-1,1-dioxido-4-(1-pyrrolidinyl)-
2H-1,2-benzothiazin-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 40713-71-1 CAPLUS
CN Pyrrolidine, 1-[[2-methyl-1,1-dioxido-4-(1-pyrrolidinyl)-2H-1,2-benzothiazin-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 52853-59-5 CAPLUS
CN Aziridine, 1-[[2-methyl-1,1-dioxido-4-(1-pyrrolidinyl)-2H-1,2-benzothiazin-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



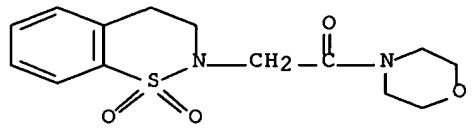
L9 ANSWER 38 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1974:48016 CAPLUS
DN 80:48016
TI Therapeutically active dihydrobenzothiazine-s-dioxides
IN Sianesi, Enrico; Da Re, Paulo; Setnikar, Ivo; Massarani, Elena
PA Recordati, S. A. Chemical and Pharmaceutical Co.
SO U.S., 7 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3770733	A	19731106	US 1971-176254	19710830

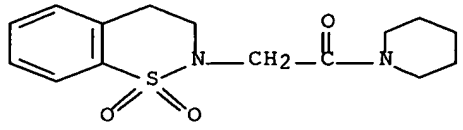
AB Benzothiazinylalkylcarboxamides I (X = CH2, R = H, R1 = H, Me, Et, Pr, CHMe2, Bu, CHMeEt, CMe3, allyl, propargyl, NMe2, NH2, NHEt, NMePh, N:CHMe, NRR1 = NMe2, NEt2, N(CHMe2)2, morpholino, piperidino, pyrrolidino, 4-methylpiperazino; X = CH2CH2, R = H, R1 = CHMe2; X = CMe2, NRR1 = NH2, NHMe, NHCHMe2, NHNMe2) were prepd. for use as hypnotics and anticonvulsants. Thus, o-NCCH2C6H4NH2.HCl was diazotized, and treated with SO2 and CuCl to give o-NCCH2C6H4SO2Cl, which on treatment with NH3 gave o-NCCH2C6H4SO2NH2, followed by cyclization to II (R2 = H). Treatment with BrCH2CO2Et gave II (R2 = CH2CO2Et), which with NH3 gave I (X = CH2, R = R1 = H), having an anticonvulsant ED50 in mice of 50 mg/kg ip.

IT **35263-33-3P 35263-34-4P 35263-35-5P 35263-36-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

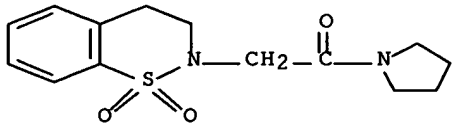
RN 35263-33-3 CAPLUS
CN Morpholine, 4-[(3,4-dihydro-1,1-dioxido-2H-1,2-benzothiazin-2-yl)acetyl]-
(9CI) (CA INDEX NAME)



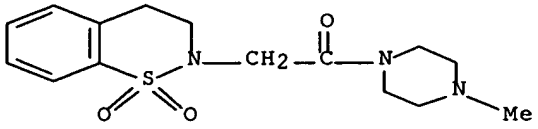
RN 35263-34-4 CAPLUS
CN Piperidine, 1-[(3,4-dihydro-1,1-dioxido-2H-1,2-benzothiazin-2-yl)acetyl]-
(9CI) (CA INDEX NAME)



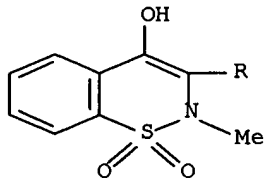
RN 35263-35-5 CAPLUS
CN Pyrrolidine, 1-[(3,4-dihydro-1,1-dioxido-2H-1,2-benzothiazin-2-yl)acetyl]-
(9CI) (CA INDEX NAME)

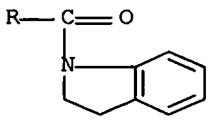


RN 35263-36-6 CAPLUS
CN Piperazine, 1-[(3,4-dihydro-1,1-dioxido-2H-1,2-benzothiazin-2-yl)acetyl]-4-
methyl- (9CI) (CA INDEX NAME)

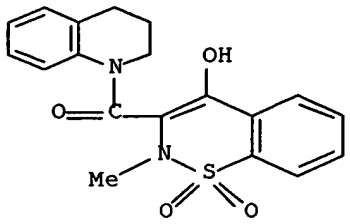


L9 ANSWER 40 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1973:92403 CAPLUS
DN 78:92403
TI 1,2-Benzothiazines. 6. 3-Carbamoyl-4-hydroxy-2H-1,2-benzothiazine 1,1-dioxides as antiinflammatory agents
AU Zinnes, Harold; Lindo, Neil A.; Sircar, Jagadish C.; Schwartz, Martin L.; Shavel, John, Jr.
CS Dep. Org. Chem., Warner-Lambert Res. Inst., Morris Plains, N. J., USA
SO J. Med. Chem. (1973), 16(1), 44-8
CODEN: JMCMAR
DT Journal
LA English
AB 4-Hydroxy-2-methyl-N-phenyl-2H-1,2-benzothiazine-3-carboxanilide 1,1-dioxide (I) [38859-30-2] (100 mg/kg orally) was approx. as active an antiinflammatory agent as phenylbutazone [50-33-9] against carrageenin-induced rat paw edema. Various derivs. of I tested were less active or inactive. A new method for synthesis of I and its derivs. involved the reaction of the known 2-substituted-4-(1-pyrrolidino)-2H-1,2-benzothiazine 1,1-dioxide with phosgene in the presence of Et3N to form the 3-chloroformyl deriv., which reacted with the appropriate amine; acid hydrolysis yielded the desired compd.
IT **40713-59-5 40713-60-8 40713-62-0 40713-69-7 40713-70-0 40713-71-1**
RL: BIOL (Biological study)
(inflammation inhibitor)
RN 40713-59-5 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-[(4-hydroxy-2-methyl-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)

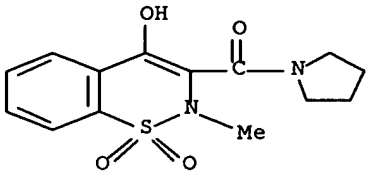




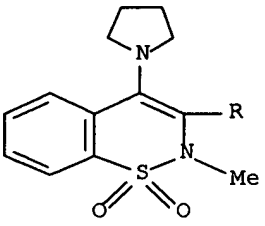
RN 40713-60-8 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-1-[(4-hydroxy-2-methyl-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)

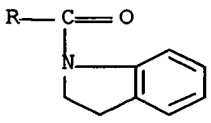


RN 40713-62-0 CAPLUS
CN Pyrrolidine, 1-[(4-hydroxy-2-methyl-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)

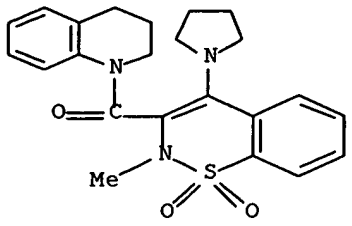


RN 40713-69-7 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-[[2-methyl-1,1-dioxido-4-(1-pyrrolidinyl)-2H-1,2-benzothiazin-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

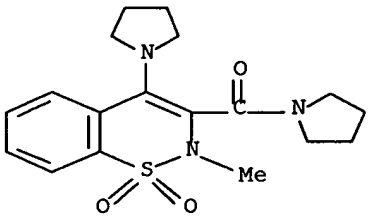




RN 40713-70-0 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-1-[[2-methyl-1,1-dioxido-4-(1-pyrrolidinyl)-2H-1,2-benzothiazin-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



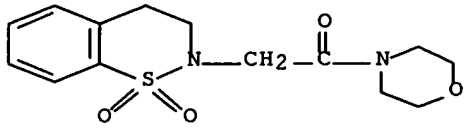
RN 40713-71-1 CAPLUS
CN Pyrrolidine, 1-[[2-methyl-1,1-dioxido-4-(1-pyrrolidinyl)-2H-1,2-benzothiazin-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



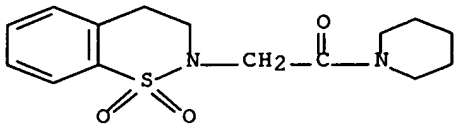
L9 ANSWER 41 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1972:72535 CAPLUS
DN 76:72535
TI 3,4-Dihydro-2H-1,2-benzothiazine-2-acetamide S,S-dioxide derivatives
IN Sianesi, Enrico; Da Re, Paolo; Setnikar, Ivo; Massarani, Elena
PA Recordati S. A. Chemical and Pharmaceutical Co.
SO Ger. Offen., 43 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2124953	A	19711216	DE 1971-2124953	19710519
	DE 2124953	B2	19741114		
	DE 2124953	C3	19750703		
	BE 762273	A1	19710701	BE 1971-99171	19710129
	ES 388284	A1	19740216	ES 1971-388284	19710215
	CH 523906	A	19720615	CH 1971-523906	19710219
	CH 527841	A	19720915	CH 1971-527841	19710219
	IL 36248	A1	19730730	IL 1971-36248	19710222
	NL 7102509	A	19711214	NL 1971-2509	19710225
	FR 2094180	A5	19720204	FR 1971-13767	19710419
	FR 2094180	B1	19741018		
	ZA 7103102	A	19720126	ZA 1971-3102	19710512
	GB 1337478	A	19731114	GB 1971-19514	19710608
PRAI	IT 1970-25826		19700611		

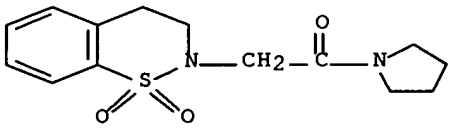
GI For diagram(s), see printed CA Issue.
AB Title compds. (I), sedatives and hypnotics, were prepd. by reaction of amines with I (R = OEt or Cl) or by reaction of 3,4-dihydro-2H-1,2-benzothiazine S,S-dioxide (II) with Na alkoxides and ClQCOR. Thus, 7.15 g I (Q = CH2, R = OEt) kept 4 hr with NH3-satd. MeOH at room temp. and briefly refluxed, gave 5.3 g I (Q = CH2, R = NH2). Similarly prepd. were 27 addnl. I, e.g. (Q and R given): CHEt, NH2; CH2, NHNH2; CH2, NHPr (III); CMe2, NMe2; CH2, morpholino. Many I were tested in mice, e.g. III had LD50 560 mg/kg on i.p. administration, the hypnotic effect was ED50 = 122 mg/kg and the sedative effect ED50 = 28 mg/kg on oral administration.
IT **35263-33-3P 35263-34-4P 35263-35-5P**
35263-36-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 35263-33-3 CAPLUS
CN Morpholine, 4-[(3,4-dihydro-1,1-dioxido-2H-1,2-benzothiazin-2-yl)acetyl]-
(9CI) (CA INDEX NAME)



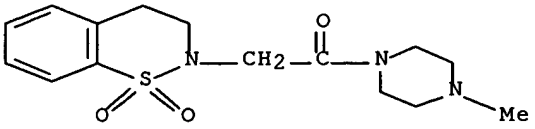
RN 35263-34-4 CAPLUS
CN Piperidine, 1-[(3,4-dihydro-1,1-dioxido-2H-1,2-benzothiazin-2-yl)acetyl]-
(9CI) (CA INDEX NAME)



RN 35263-35-5 CAPLUS
CN Pyrrolidine, 1-[(3,4-dihydro-1,1-dioxido-2H-1,2-benzothiazin-2-yl)acetyl]-
(9CI) (CA INDEX NAME)



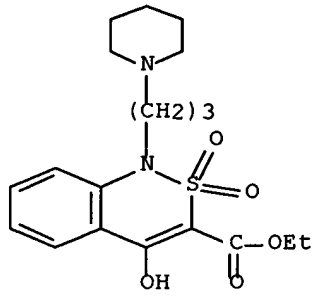
RN 35263-36-6 CAPLUS
CN Piperazine, 1-[(3,4-dihydro-1,1-dioxido-2H-1,2-benzothiazin-2-yl)acetyl]-4-methyl- (9CI) (CA INDEX NAME)



L9 ANSWER 42 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1971:476818 CAPLUS
DN 75:76818
TI 2,1-Benzothiazine derivatives
IN Nakanishi, Michio; Kobayashi, Ryosuke
PA Yoshitomi Pharmaceutical Industries, Ltd.
SO Jpn. Tokkyo Koho, 2 pp.
CODEN: JAXXAD
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 46022150	B4	19710623	JP	19690409

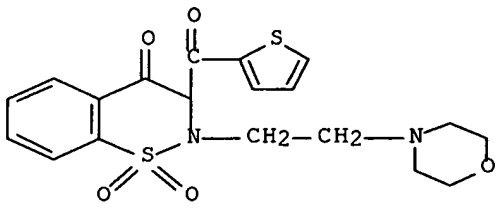
GI For diagram(s), see printed CA Issue.
AB I (Y = amino group) (Ia) (X = H, Cl, Me), useful as diuretics, antiinflammatory agents, antispasmodics, etc., were manufd. by aminolysis of I (Y = halo) (Ib). E.g., Ib (Y = Cl, X = H) was heated 3 hr at 70-80.degree. with 30% NHMe2 in an autoclave to give Ia (Y = piperidino, X = H). Similarly prepd. were 4 other Ia.
IT **33367-70-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 33367-70-3 CAPLUS
CN 1H-2,1-Benzothiazine-3-carboxylic acid, 4-hydroxy-1-(3-piperidinopropyl)-, ethyl ester, 2,2-dioxide (8CI) (CA INDEX NAME)



L9 ANSWER 43 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1971:476815 CAPLUS
DN 75:76815
TI 1,2-Benzothiazine compounds
IN Hasegawa, Gen; Munakata, Tomohiko; Furuta, Tetsuya; Tsuda, Tachimi
PA Yoshitomi Pharmaceutical Industries, Ltd.
SO Jpn. Tokkyo Koho, 3 pp.
CODEN: JAXXAD
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 46022027	B4	19710622	JP	19690118

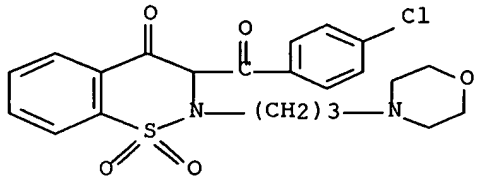
GI For diagram(s), see printed CA Issue.
AB I (X = Cl, Br, OMe, Me, H; Y = aminoalkyl; Z = O, S), useful as diuretics, antiinflammatants, antibacterials, etc., are manufd. 3-(2-Thienylcarbonyl)-3,4-dihydro-2H - 1,2 - benzothiazin - 4 - one 1,1-dioxide, in a mixt. of NaOH, EtOH, and H2O, is treated with 2-morpholinoethyl chloride to give I (X = H, Y = morpholinoethyl, Z = S); hydrochloride m. 235-7.degree.. Similarly prepd. are 10 more I.
IT **33215-46-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 33215-46-2 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-(2-morpholinoethyl)-3-(2-thenoyl)-, 1,1-dioxide, monohydrochloride (8CI) (CA INDEX NAME)



L9 ANSWER 45 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1971:141828 CAPLUS
DN 74:141828
TI 1,2-Benzothiazines
IN Hasegawa, Gen; Munakata, Tomohiko; Yoshida, Tetsuya; Tsumagari, Tatsumi
PA Yoshitomi Pharmaceutical Industries, Ltd.
SO Jpn. Tokkyo Koho, 5 pp.
CODEN: JAXXAD
DT Patent
LA Japanese
FAN.CNT 1

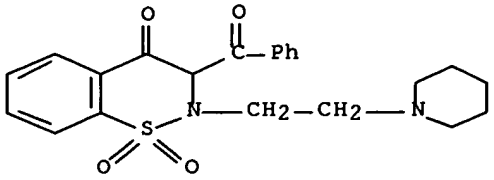
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 46000029	B4	19710105	JP	19680318

GI For diagram(s), see printed CA Issue.
AB 3-Benzoyl-3,4-dihydro-2H-1,2-benzothiazin-4-one 1,1-dioxide (5 g) in 19 ml
N NaOH, 13 ml H2O, and 63 ml EtOH was stirred overnight with prperidinoethyl chloride (from 3.7 g HCl salt) to give 3.5 g I (R = Ph, X = CH2CH2, NY2 = piperidino), m. 215-18.degree.. Similarly, I were prepd. (R, X, Y, or NY2, and m.p. given): Me, (CH2)3, Pr, 173-5.degree.; p-ClC6H4, (CH2)3, morpholino, 210-12.degree. (HCl salt); Ph, CH2CHMeCH2, 4-phenyl-1-piperazino, 218-21.degree. (HCl salt). Also prepd. were 7-Cl, 6-MeO, and other analogs, in which R was Me3C, 3,4-ClC6H3, p-anislyl, p-tolyl, cyclohexyl, or similar residues.
IT **31848-42-7P 31858-76-1P 32650-75-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 31848-42-7 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 3-(p-chlorobenzoyl)-2,3-dihydro-2-(3-morpholinopropyl)-, 1,1-dioxide, hydrochloride (8CI) (CA INDEX NAME)

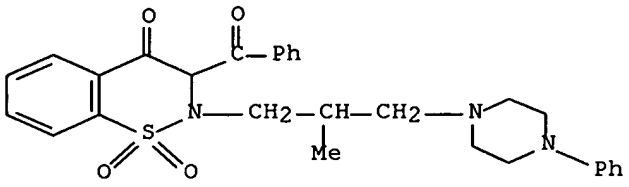


●x HCl

RN 31858-76-1 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 3-benzoyl-2,3-dihydro-2-(2-piperidinoethyl)-, 1,1-dioxide (8CI) (CA INDEX NAME)



RN 32650-75-2 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 3-benzoyl-2,3-dihydro-2-[2-methyl-3-(4-phenyl-1-
piperazinyl)propyl]-, 1,1-dioxide, hydrochloride (8CI) (CA INDEX NAME)



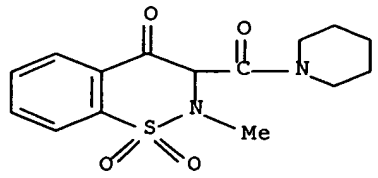
● x HCl

L9 ANSWER 46 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1970:520647 CAPLUS
DN 73:120647
TI Isomeric 3,4-dihydro-2H-1,2-benzothiazine 1,1-dioxides valuable for their
chemotherapeutic qualities
IN Lombardino, Joseph G.
PA Pfizer, Chas., and Co., Inc.
SO Ger. Offen., 67 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

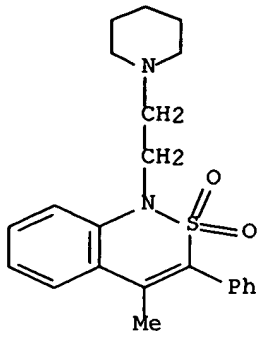
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 1943265	A	19700813	DE 1969-1943265	19690826
	DE 1943265	B2	19810514		
	DE 1943265	C3	19820204		
	US 3591584	A	19710706	US 1968-767594	19680827
	GB 1257180	A	19711215	GB 1968-1257180	19681231
	NO 129746	B	19740520	NO 1969-3274	19690812
	BR 6911817	A0	19730213	BR 1969-211817	19690825
	FI 51189	B	19760802	FI 1969-2460	19690825
	BE 737962	A	19700226	BE 1969-737962	19690826
	NL 6912981	A	19700303	NL 1969-12981	19690826
	NL 157013	B	19780615		
	ES 370861	A1	19710701	ES 1969-370861	19690826
	AT 294113	B	19711110	AT 1969-8146	19690826
	CH 520705	A	19720331	CH 1969-520705	19690826
	AT 298503	B	19720510	AT 1970-9366	19690826
	CH 527840	A	19720915	CH 1969-527840	19690826
	DE 1967325	B2	19810813	DE 1969-1967325	19690826
	DE 1967325	C2	19820318		
	DK 145297	B	19821025	DK 1969-4570	19690826
	DK 145297	C	19830314		
	FR 2016455	A5	19700508	FR 1969-29284	19690827
	FR 2016455	B1	19740201		
	JP 50000677	B4	19750110	JP 1969-67265	19690827
	SE 373854	B	19750217	SE 1969-11871	19690827
	SE 402459	C	19781012	SE 1973-511	19730115
	JP 51042114	B4	19761113	JP 1973-82782	19730724
PRAI	US 1968-767594		19680827		

GI For diagram(s), see printed CA Issue.
AB I or II (.apprx.160) (Z = S or O) nonsteroidal antiinflammatory agents, were prepd. by treating III where X = H,H and Q = O or vice versa with R2NCZ in the presence of base or by treating III where X = O and Q = carbalkoxy or vice versa with amines. Thus, III (X = H,H; Q = O; R1 = Me, R3 = H) (IV) was prepd. by cyclodehydration of o-HO2CCH2C6H4SO2NHMe (prepd. by carboxylation of 2-MeC6H4SO2NHMe in the presence of BuLi). Treating IV with o-ClC6H4NCO in Me2SO in the presence of Et3N 20 hr at 25.degree. gave 46% II (Z = O, R1 = Me, R2 = o-ClC6H4NH, R3 = H). III (X = O; Q = H, CO2Me; R1 = R3 = H), prepd. by rearrangement of V in the presence of NaOMe in dry DMF, was treated with MeI to give the 2-Me deriv., which was treated with PhNH2 in dry AcNMe2 in the presence of p-MeC6H4SO3H to give 35% I (Z = O; R1 = Me; R2 = NHPh, R3 = H).

IT **29152-13-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 29152-13-4 CAPLUS
CN Piperidine, 1-[(3,4-dihydro-2-methyl-4-oxo-2H-1,2-benzothiazin-3-yl)carbonyl]-, S,S-dioxide (8CI) (CA INDEX NAME)

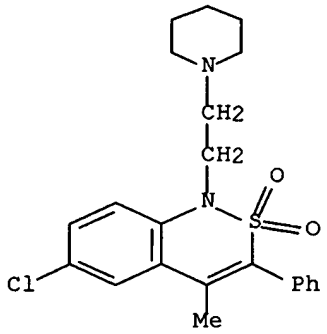


L9 ANSWER 47 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1968:402923 CAPLUS
DN 69:2923
TI Benzothiazines. I. 1H-2,1-benzothiazine 2,2-dioxides
AU Sianesi, Enrico; Redaelli, Riccardo
CS Div. Ric., Recordati s.a.s., Milan, Italy
SO Ann. Chim. (Rome) (1967), 57(11), 1426-30
CODEN: ANCRAI
DT Journal
LA Italian
GI For diagram(s), see printed CA Issue.
AB Mixts. of compds. of the general formula I, which are prepd., are heated in NaOH to give compds. of the general formula II. Thus, 3.81 g. PhCH2SO2Cl is slowly added to a soln. of 2.7 g. o-H2NC6H4Ac in 10 ml. pyridine as the mixt. is cooled and the mixt. kept 10-15 min. to give 76% o-(phenyl-methylsulfonylamino)acetophenone, m. 119-20.degree. (aq. EtOH). Similarly prepd. are the following I (R, R1, R2, R3, m.p., and % yield given): Ph, H, H, Cl, 133-5.degree. (aq. EtOH), 72; Ph, H, H, AcNH, 156-8.degree. (aq. EtOH), 46; Ph, H, AcNH, H, 147-8.degree. (aq. EtOH), 52; H, H, H, Cl, 129-31.degree. (iso-PrOH), 40; H, Me, H, Cl, 75-7.degree. (iso-PrOH), 67. A soln. of 9.0 g. I (R = Ph, R1 = R2 = H, R3 = AcNH) in 40 ml. 4N NaOH is refluxed 5 hrs. to give 85% 3-phenyl-4-methyl-6-amino-1H-2,1-benzothiazine 2,2-dioxide, m. 194-6.degree. (aq. EtOH). Similarly prepd. are the following II (R, R1, R2, R3, m.p., and % yield given): Ph, H, H, H, 211.degree. (aq. EtOH), 81; Ph, H, H, Cl, 200-2.degree. (aq. EtOH), 76; Ph, H, NH2, H, 168-70.degree. (aq. EtOH), 69; H, Me, H, Cl, 141-3.degree. (iso-PrOH), 90; Ph, Et, H, H, 147-9.degree. (EtOH), 62; Ph, allyl, H, H, 115.degree. (aq. EtOH), 55; Ph, propargyl, H, H, 198-200.degree. (EtOH), 41; Ph, Et, H, Cl, 121-6.degree. (aq. EtOH), 77; Ph, CH2CH2NMe2, H, H, -, 54 [HCl salt m. 243-6.degree. (EtOH)]; Ph, 2-piperidinoethyl, H, H, -, 64 [HCl salt m. 208-12.degree. (EtOH)]; Ph, CH2CH2NMe2, H, Cl, -, 60 [HCl salt m. 230-3.degree. (EtOH)]; Ph, 2-piperidinoethyl, H, Cl, -, 63 [HCl salt m. 234-8.degree. (EtOH)]; Ph, CH2Cl2Et, H, H, 102-4.degree. (aq. EtOH), 78; Ph, CH2Cl2Et, H, Cl, 135.5-8.5.degree. (aq. EtOH), 74; Ph, CH2CONMe2, H, H, 221-4.degree. (MeOH), 81; Ph, CH2CONMe2, H, Cl, 214-16.degree. (EtOH), 84; Ph, CH2CONH2, H, H, 229-32.degree., 93; Ph, CH2CO2H, H, H, 208-10.degree. (aq. EtOH), 87 [Na salt m. 327-31.degree. (decompn.) (EtOH-MeOH)]; Ph, CH2CO2H, H, Cl, 230-3.degree. (iso-PrOH), 86 [Na salt m. 329-31.degree. (decompn.) (EtOH)].
IT **19880-23-0P 19880-25-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 19880-23-0 CAPLUS
CN 1H-2,1-Benzothiazine, 4-methyl-3-phenyl-1-(2-piperidinoethyl)-, 2,2-dioxide, monohydrochloride (8CI) (CA INDEX NAME)



● HCl

RN 19880-25-2 CAPLUS
CN 1H-2,1-Benzothiazine, 6-chloro-4-methyl-3-phenyl-1-(2-piperidinoethyl)-, 2,2-dioxide, monohydrochloride (8CI) (CA INDEX NAME)



● HCl

L9 ANSWER 48 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1967:411496 CAPLUS
DN 67:11496
TI New dibenzothiazine derivatives
PA Imperial Chemical Industries Ltd.
SO Neth. Appl., 31 pp.
CODEN: NAXXAN
DT Patent
LA Dutch
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	NL 6604835		19661014		
PRAI	GB		19650413		
	GB		19651027		

AB Various methods are given for the prepn. of the title compds. which are valuable pharmaceutics. Thus, 23 parts of a 10% soln. of .beta.-diethylaminoethyl chloride in C6H6 was added to 4 parts 6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide dissolved in 0.44 part Na in 75 parts EtOH, the mixt. stirred, refluxed 3 hrs., cooled, and filtered, the filtrate evapd. to dryness, the residue washed with H2O and filtered, the solid residue dissolved in Et2O, the Et2O soln. dried and filtered, the filtrate treated with HCl in Et2O until amost no HCl pptd., Et2O decanted, the hydrochloride treated with Me2CO, the mixt. filtered, the solid hydrochloride (m. 206-8.degree.) dissolved in warm H2O, the base freed by the addn. of an aq. NH4OH soln., and the mixt. filtered to give 6-(.beta.-diethylaminoethyl)-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide, m. 79-80.degree. (aq. EtOH or petr. ether, b. 60-80.degree.). Similarly were obtained 6-(.beta.-dimethylaminoethyl)-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide, m. 106-7.degree. (petr. ether b. 60-80.degree.), and 6-(.gamma.-dimethylaminopropyl)-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide, m. 97-8.degree. (petr. ether, b. 60-80.degree.), by replacement of .beta.-diethylaminoethyl chloride by .beta.-dimethylaminoethyl chloride and .gamma.-dimetyhlaminopropyl chloride, resp. Reflux of a mixt. of 20 parts 6-(.beta.-phthalimidoethyl)-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide (I), 450 parts EtOH, and 6 parts hydrazine hydrate 2 hrs., followed by cooling, acidification with 20% HCl, filtration, and further workup gave 6-.beta.-aminoethyl)-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide oxalate (II), m. 212-13.degree. (decompn.) (H2O). Treatment of II with excess KOH and extn. of the mixt. with CHCl3 gave 6-(.beta.-aminoethyl)-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide, m. 74-6.degree. (C6H6-petr. ether).

I was prepd. as follows: 1 part 50% dispersion of NaOH in oil was added to 5 parts 6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide in 25 parts anhyd. dimethylformamide (DMF), 5 parts N-2-bromoethylphthalimide in 15 parts anhyd. DMF added after complete reaction, and the mixt. stirred, heated to

95-100.degree. 1 hr., cooled, dild. with H2O, and filtered to give I, m. 176-7.degree. (C6H6). Reflux of a mixt. of 6-(.beta.-3.4 parts bromoethyl)-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide, 1.9 parts K phthalimide, and 25 parts DMF 1 hr. at 60.degree. also gave I, m. 176-7.degree. (C6H6). Reflux of a mixt. of 5 parts 7-chloro-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide (III), 0.9 part Na in 90 parts EtOH, and 3.5 parts .beta.-diethylaminoethyl chloride hydrochloride 4 hrs. gave 7-chloro-6-(.beta.-diethylaminoethyl)-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide, m. 125-6.degree. (EtOH). Similarly were prepd. 2-chloro-6-(.gamma.-dimethylaminopropyl)-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide (IV), IV oxalate, m. 160-2.degree. (decompn.) (EtOH), 6-(.beta.-diisopropylaminoethyl)-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide, m. 101-2.degree. (petr. ether, b. 60-80.degree.). III was prepd. as follows: 12 parts o-amino-o'-chlorobenzenesulfonanilide (m. 87-9.degree.) in 100 parts EtOH was mixed with 2.8 parts NaNO2 in 28 parts H2O and the mixt. added to 16 parts concd. HCl and 8 parts H2O at 0-5.degree., the mixt. stirred, 20 parts NaOAc added, the mixt. filtered, the residue added to a suspension of 1 part Cu powder in 5 parts NaOH and 160 parts H2O, the mixt. stirred and heated until no reaction when treated with .beta.-naphthol, the mixt. treated with charcoal, filtered while hot, and the filtrate cooled and treated with AcOH to give III, m. 184-5.degree. (EtOH). Similarly was prepd. 2-chloro-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide, m. 203-4.degree. (iso-PrOH). Also prepd. were 7-bromo-6-(.beta.-diethylaminoethyl)-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide, m. 126-7.degree. (petr. ether, b. 100-120.degree.), 6-(.beta.-diethylaminoethyl)-2-methyl-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide, m. 90-1.degree. (petr. ether, b. 60-80.degree.), 6-(.beta.-dimethylaminopropyl)-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide, m. 129-30.degree. (iso-PrOH). A mixt. of 5 parts 6-(.beta.-bromoethyl)-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide (V), 20 parts DMF, and 20 parts 70% EtNH2 in H2O was refluxed 18 hrs., the non-converted reactants removed, and the residue worked up to give 6-(.beta.-ethylaminoethyl) - 6H - dibenzo[c,e][1,2]thiazine 5,5-dioxide hydrochloride, m. 198-9.degree.. V, m. 105-6.degree. (EtOH), was prepd. by refluxing a mixt. of 18.4 parts 6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide in a soln. of NaOEt (1.84 parts Na in 200 parts EtOH) and 36 parts BrCH2CH2Br. Similarly were obtained 6-(.beta.-methylaminoethyl) - 6H - dibenzo[c,e][1,2]thiazine 5,5 - dioxide oxalate, m. 210-11.degree. (H2O), 6-(.beta.-ethylaminoethyl)-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide hydrochloride, m. 198-9.degree. (EtOH), 6-[.beta.-(N - .beta. - hydroxyethyl - N - methylamino)ethyl]-6H - dibenzo[c,e][1,2]thiazine 5,5-dioxide oxalate, m. 170.degree. (decompn.) (MeOH), 6-(.beta.-butylaminoethyl)-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide hydrochloride, m. 194-6.degree. (MeOH). A mixt. of 9.6 parts 6-(.gamma.-bromopropyl)-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide, 40

parts
DMF, and 40 parts 40% MeNH2 in H2O was refluxed 18 hrs. to give 6-(.gamma.-methylaminopropyl)-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide oxalate, m. 202-3.degree. (decompn.) (H2O). Similarly was prepd. 6-(4-dimethylaminobutyl)-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide oxalate, m. 151-2.degree. (EtOH). A mixt. of 1 part 6-(.beta.-dimethylaminoethyl)-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide, 1 part MeI, and 150 parts anhyd. Et2O was kept 18 hrs. at room temp. and the mixt. filtered to give 6-(.beta.-dimethylaminoethyl)-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide methiodide, m. 264-5.degree. (decompn.) (MeOH). Hydrogenation of 1.5 parts 6-[.beta.-(N-benzyl-N-isopropylamino)ethyl]-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide in 50 parts dioxane with 1 part 10% Pd-C catalyst gave 6-(.beta.-isopropylaminoethyl)-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxde oxalate, m. 218.degree. (decompn.) (MeOH), after reaction of the hydrogenation product with oxalic acid. Hydrogenation of 1 part 6-(.beta.-aminoethyl)-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide in 50 parts Me2CO with 0.5 part Pt oxide catalyst, and treatment of the product with oxalic acid gave 6-(.beta.-isopropylaminoethyl)-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide, oxalate, m. 218.degree. (decompn.) (MeOH). To a stirred mixt. of 1 part LiAlH4 in 50 parts anyd. dimethoxyethane was added 3 parts 6-cyanomethyl-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide while kept at -30.degree., the temp. raised to 0.degree., the mixt. stirred 1 hr. at 0.degree., H2O added, the mixt. stirred 1 hr. at room temp. and worked up, and the product treated with oxalic acid in Et2O to give 6-(.beta.-aminoethyl)-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide oxalate, m. 212-13.degree. (decompn.). A soln. of 7 parts NaNO2 in 75 parts H2O was added to a stirred mixt. of 24 parts N-(o-aminophenylsulfonyl)-N-(.beta.-diethylaminoethyl)aniline, 50 parts AcOH, and 75 parts concd. HCl, the mixt. kept at 15-20.degree., stirred 30 min. at 20.degree., dild. with 125 parts H2O, heated to 95-100.degree., until N formation stopped, cooled, alkalized, and extd. with CHCl3, the ext. washed with H2O, dried, and filtered, and solvent removed to give 6.beta.-diethylaminoethyl-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide, m. 79-80.degree. (pert. ether, b. 60-80.degree.). The same compd. was also prepd. from o-(N-phenylsulfonyl-N-.beta.-diethylaminoethylamino)aniline, NaNO2, HCl, and AcOH. Also prepd. was 6-(.beta.-aminoethyl)-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide oxalate, m. 213.degree. (decompn.). Other compds. prepd. were 6-(.beta.-diethylaminoethyl)-7-trifluoromethyl-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide, m. 99-100.degree. (petr. ether, b. 60-80.degree.), 6-(.beta.-allylaminoethyl)-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide hydrochloride, m. 187-8.degree. (MeOH-Et2O), 6-(.beta.-propylaminoethyl)-6H-dibenzo[c,e][1,2-thiazine 5,5-dioxide hydrobromide, m. 255-66.degree. (glacial AcOH), 6.beta.-ethylaminoethyl-

6H-

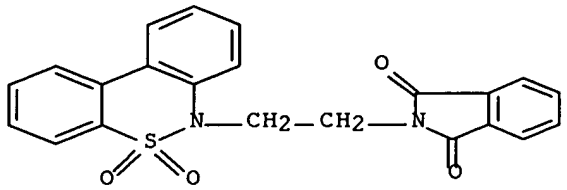
dibenzo[c,e][1,2]thiazine 5,5-dioxide benzoate, m. 160-2.degree. (MeOH), and 6.beta.-ethylaminoethyl-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide maleate, m. 149-51.degree. (MeOH). The prepn. of therapeutic compns. is described.

IT **14758-62-4P 14758-70-4P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

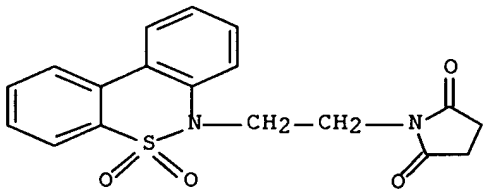
RN 14758-62-4 CAPLUS

CN Phthalimide, N-[2-(6H-dibenzo[c,e][1,2]thiazin-6-yl)ethyl]-, S,S-dioxide (8CI) (CA INDEX NAME)



RN 14758-70-4 CAPLUS

CN Succinimide, N-[2-(6H-dibenzo[c,e][1,2]thiazin-6-yl)ethyl]-, S,S-dioxide (8CI) (CA INDEX NAME)



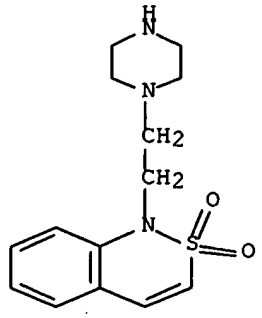
L9 ANSWER 49 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1967:65490 CAPLUS
DN 66:65490
TI 2,1-Benzothiazine 2,2-dioxides
IN Loev, Bernard
PA Smith Kline and French Laboratories
SO U.S., 4 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3303189		19670207	US	19650311

AB Sulfostyril (2,1-benzothiazine 2,2-dioxide) compds. were prepd. by the decompn. of a hydrazone of a 4-oxo-3,4-dihydrosulfostyril (prepd. in preceding abstr.) or by the cyclization of an acyl methanesulfonanilide. Thus, 85 g. p-tolysulfonylhydrazone of 4-oxo-3,4-dihydrosulfostyril was dissolved in 1.7 l. hot EtOH, 39.4 g. NaOMe added, water added to dissolve the mixt., the mixt. refluxed 18 hrs., concd. to a small vol., dild. with water, and made acid, and the solid extd. with boiling water twice to give sulfostyril (I), m. 153-5.degree. (CHCl3). To 0.8 g. of a 55% dispersion of NaH in mineral oil was added 3.0 g. I in 50 ml. dry Me2SO. When evolution stopped, 0.041 mole Me2NCH2CH2Cl in benzene was added, the mixt. heated over steam 18 hrs., the solvent removed in vacuo, water added to the residue, and the mixt. extd. with Et2O to give a brown oily base. The oil was dissolved in Et2O and treated with HCl gas to give the HCl salt of N-dimethylaminoethylsulfostyril, m. 237.5-41.degree. (alc.-water). The base was treated in Et2O with EtI to give the quaternary ethiodide salt. Similarly prepd. was N-3-dimethylaminopropylsulfostyril hydrochloride, m. 158-60.degree. (EtOH). The tosylhydrazone of 8-methoxy-4-oxo-3,4-dihydrosulfostyril (2 g.) was treated with 1 g. KOEt in aq. EtOH at reflux 16 hrs. to give 8-methoxysulfostyril. Similarly prepd. were 3,6-dimethylsulfostyril, 5-methyl-8-chlorosulfostyril, and 7-trifluoromethylsulfostyril. Also prepd. were N-acetylsulfostyril, 4-methylsulfostyril, m. 80-5.degree. (alc.-water), N-methylsulfostyril, m. 80-5.degree. (aq. alc.), 6-bromo-N-methylsulfostyril, m. 102-3.degree., N-phenylsulfostyril, m. 156-7.degree., N-.beta.-hydroxyethylsulfostyril, N-.beta.-tosyloxyethylsulfostyril, N-butylaminoethylsulfostyril, N-piperazinylethylsulfostyril, N-ethylaminoethylsulfostyril, N-cyanoethylsulfostyril, N-aminoethylsulfostyril, N-[2-(N-methylpyrrolidin-3-yl)ethyl]-6-trifluoromethylsulfostyril, N-[2-(N-methylpiperidin-2-yl)ethyl]sulfostyril, N-methyldihydrosulfostyril, tribromosulfostyril, m. 179-80.degree., 6-nitrosulfostyril, and 6-aminosulfostyril.

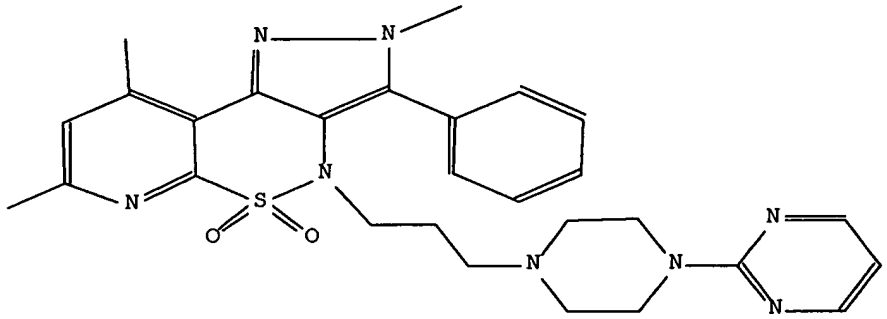
IT **13618-03-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 13618-03-6 CAPLUS
CN 1H-2,1-Benzothiazine, 1-[2-(1-piperazinyl)ethyl]-, 2,2-dioxide (8CI)
(CA
INDEX NAME)



L14 ANSWER 1 OF 22 COPYRIGHT 2002 BEILSTEIN CDS MDLI

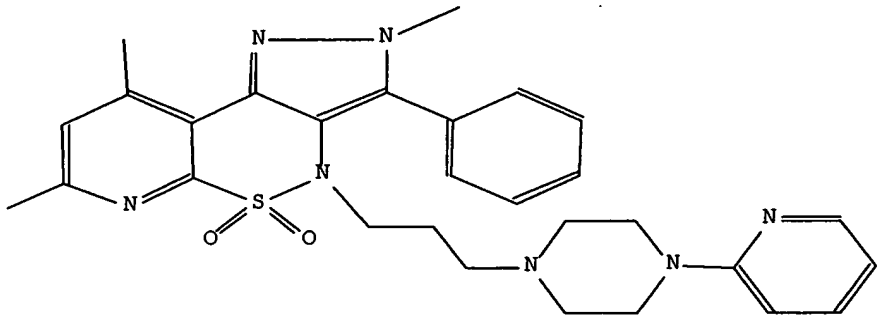
Beilstein Reg. No. (BRN): 7240381 Beilstein
Molecular Formula (MF): C28 H32 N8 O2 S
Autonom Name (AUN): 2,7,9-trimethyl-3-phenyl-4-<3-(4-pyrimidin-2-yl-piperazin-1-yl)-propyl>-2,4-dihydro-5-thia-1,2,4,6-tetraaza-cyclopenta<a>naphthalene 5,5-dioxide
Beilstein Reference (SO): 6-27
Formula Weight (FW): 544.67
Lawson Number (LN): 32531; 29553; 28000; 3633; 3027



Preparation:
PRE
Start: BRN=7256674 2H-2,7,9-trimethyl-3-phenyl-2,4-dihydropyrazolo<4,3-c>pyrido<3,2-e>-1,2-thiazine-5,5-dioxide, BRN=7209780 1-chloropropyl-4-pyrimidin-2-yl-piperazine
Reag: NaOEt
Time: 7 hour(s)
Yield: 52.00 %
Solv: ethanol
Heating
Reference(s):
1. Malinka, Wieslaw; Sieklucka-Dziuba, Maria; Raitar-Cynke, Grazyna; Borowicz, Kinga; Kleinrok, Zdzislaw, Farmaco, 49 <1994> 12, 783-792, LA: EN, CODEN: FRMCE8

L14 ANSWER 2 OF 22 COPYRIGHT 2002 BEILSTEIN CDS MDLI

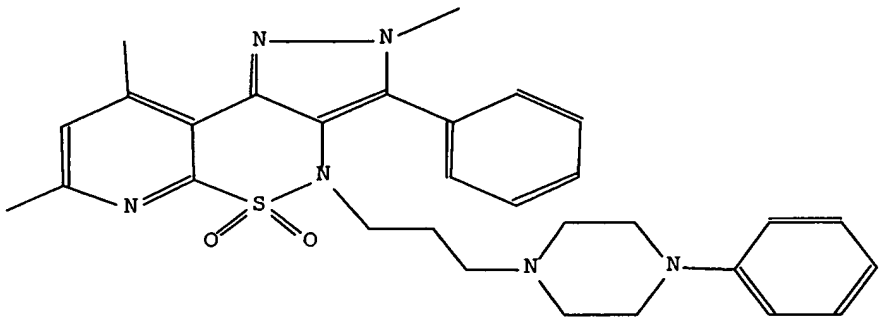
Beilstein Reg. No. (BRN): 7240027 Beilstein
Molecular Formula (MF): C29 H33 N7 O2 S
Autonom Name (AUN): 2,7,9-trimethyl-3-phenyl-4-<3-(4-pyridin-2-yl-piperazin-1-yl)-propyl>-2,4-dihydro-5-thia-1,2,4,6-tetraaza-cyclopenta<a>naphthalene 5,5-dioxide
Beilstein Reference (SO): 6-27
Formula Weight (FW): 543.69
Lawson Number (LN): 32531; 28000; 27378; 3633; 3027



Preparation:
PRE
Start: BRN=7256674 2H-2,7,9-trimethyl-3-phenyl-2,4-dihydropyrazolo<4,3-c>pyrido<3,2-e>-1,2-thiazine-5,5-dioxide, BRN=7207969 1-chloropropyl-4-pyridin-2-yl-piperazine
Reag: NaOEt
Time: 7 hour(s)
Yield: 45.00 %
Solv: ethanol
Heating
Reference(s):
1. Malinka, Wieslaw; Sieklucka-Dziuba, Maria; Raitar-Cynke, Grazyna; Borowicz, Kinga; Kleinrok, Zdzislaw, Farmaco, 49 <1994> 12, 783-792, LA: EN, CODEN: FRMCE8

L14 ANSWER 3 OF 22 COPYRIGHT 2002 BEILSTEIN CDS MDLI

Beilstein Reg. No. (BRN): 7239665 Beilstein
Molecular Formula (MF): C30 H34 N6 O2 S
Autonom Name (AUN): 2,7,9-trimethyl-3-phenyl-4-<3-(4-phenyl-piperazin-1-yl)-propyl>-2,4-dihydro-5-thia-1,2,4,6-tetraaza-cyclopenta<a>naphthalene 5,5-dioxide
Beilstein Reference (SO): 6-27
Formula Weight (FW): 542.70
Lawson Number (LN): 32531; 28000; 14131; 3633; 3027

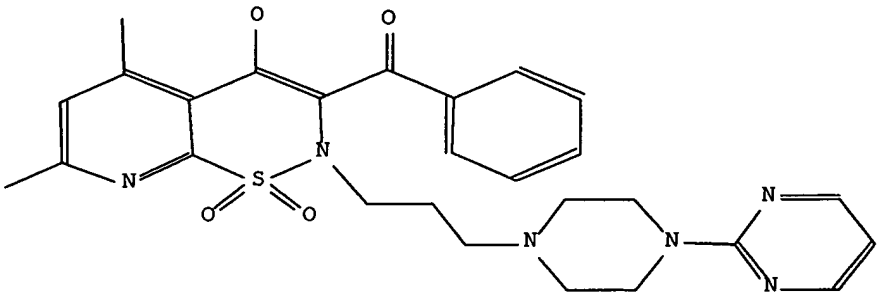


Preparation:
PRE
Start: BRN=7232235 2H-2,7,9-trimethyl-3-phenyl-4-(3-chloropropyl)-2,4-dihydropyrazolo<4,3-c>pyrido<3,2-e>-1,2-thiazine-5,5-dioxide, BRN=132157 1-phenyl-piperazine
Time: 15 hour(s)
Yield: 57.00 %
Solv: xylene
Heating
Reference(s):
1. Malinka, Wieslaw; Sieklucka-Dziuba, Maria; Raitar-Cynke, Grazyna; Borowicz, Kinga; Kleinrok, Zdzislaw, Farmaco, 49 <1994> 12, 783-792, LA: EN, CODEN: FRMCE8

PRE
Start: BRN=7256674 2H-2,7,9-trimethyl-3-phenyl-2,4-dihydropyrazolo<4,3-c>pyrido<3,2-e>-1,2-thiazine-5,5-dioxide, BRN=186532 1-(3-chloro-propyl)-4-phenyl-piperazine
Reag: NaOEt
Time: 7 hour(s)
Yield: 85.00 %
Solv: ethanol
Heating
Reference(s):
1. Malinka, Wieslaw; Sieklucka-Dziuba, Maria; Raitar-Cynke, Grazyna; Borowicz, Kinga; Kleinrok, Zdzislaw, Farmaco, 49 <1994> 12, 783-792, LA: EN, CODEN: FRMCE8

L14 ANSWER 4 OF 22 COPYRIGHT 2002 BEILSTEIN CDS MDLI

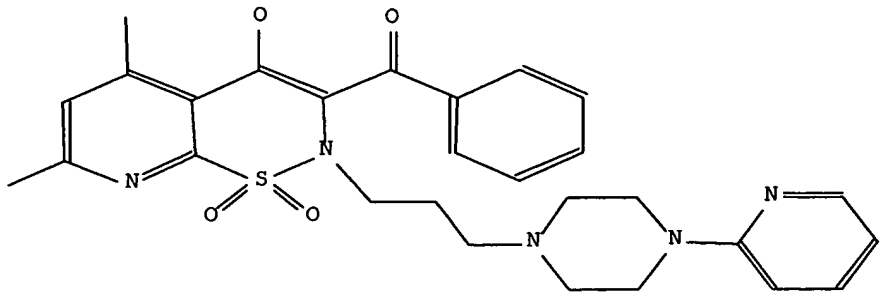
Beilstein Reg. No. (BRN): 7239640 Beilstein
Molecular Formula (MF): C27 H30 N6 O4 S
Autonom Name (AUN): (4-hydroxy-5,7-dimethyl-1,1-dioxo-2-<3-(4-pyrimidin-
2-yl-piperazin-1-yl)-propyl>-1,2-dihydro-1.lambda.6-
pyrido<3,2-e><1,2>thiazin-3-yl)-phenyl-methanone
Beilstein Reference (SO): 6-27
Formula Weight (FW): 534.63
Lawson Number (LN): 32175; 29553; 28000; 3027



Preparation:
PRE
Start: BRN=6980884 (4-hydroxy-5,7-dimethyl-1,1-dioxo-1,2-dihydro-1.lambda.6-pyrido<3,2-e><1,2>thiazin-3-yl)-phenyl-methanone, BRN=7209780 1-chloropropyl-4-pyrimidin-2-yl-piperazine
Reag: NaOEt
Time: 15 hour(s)
Yield: 38.00 %
Solv: ethanol
Heating
Reference(s):
1. Malinka, Wieslaw; Sieklucka-Dziuba, Maria; Raitar-Cynke, Grazyna; Borowicz, Kinga; Kleinrok, Zdzislaw, Farmaco, 49 <1994> 12, 783-792, LA: EN, CODEN: FRMCE8

L14 ANSWER 5 OF 22 COPYRIGHT 2002 BEILSTEIN CDS MDLI

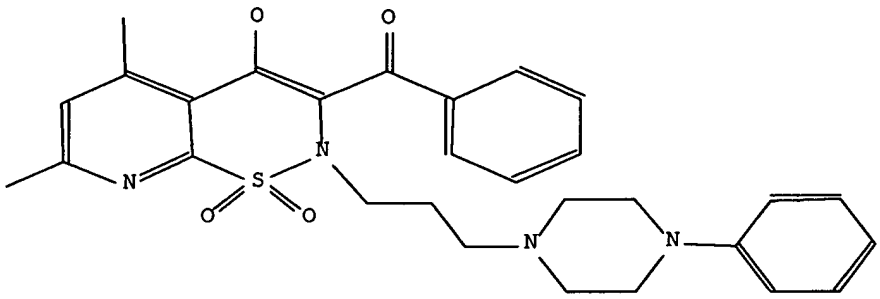
Beilstein Reg. No. (BRN): 7239322 Beilstein
Molecular Formula (MF): C28 H31 N5 O4 S
Autonom Name (AUN): (4-hydroxy-5,7-dimethyl-1,1-dioxo-2-<3-(4-pyridin-2-yl-piperazin-1-yl)-propyl>-1,2-dihydro-1.lambda.6-pyrido<3,2-e><1,2>thiazin-3-yl)-phenyl-methanone
Beilstein Reference (SO): 6-27
Formula Weight (FW): 533.64
Lawson Number (LN): 32175; 28000; 27378; 3027



Preparation:
PRE
Start: BRN=6980884 (4-hydroxy-5,7-dimethyl-1,1-dioxo-1,2-dihydro-1.lambda.6-pyrido<3,2-e><1,2>thiazin-3-yl)-phenyl-methanone, BRN=7207969 1-chloropropyl-4-pyridin-2-yl-piperazine
Reag: NaOEt
Time: 15 hour(s)
Yield: 48.00 %
Solv: ethanol
Heating
Reference(s):
1. Malinka, Wieslaw; Sieklucka-Dziuba, Maria; Raitar-Cynke, Grazyna; Borowicz, Kinga; Kleinrok, Zdzislaw, Farmaco, 49 <1994> 12, 783-792, LA: EN, CODEN: FRMCE8

L14 ANSWER 6 OF 22 COPYRIGHT 2002 BEILSTEIN CDS MDLI

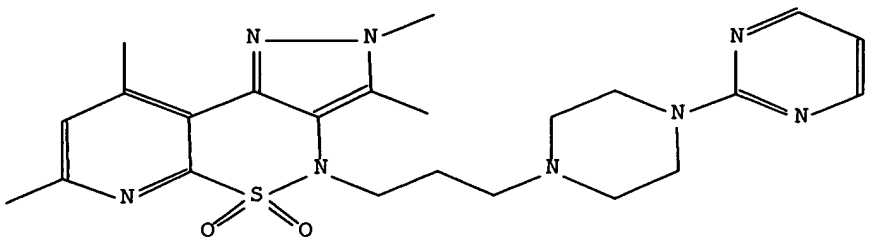
Beilstein Reg. No. (BRN): 7238879 Beilstein
Molecular Formula (MF): C29 H32 N4 O4 S
Autonom Name (AUN): (4-hydroxy-5,7-dimethyl-1,1-dioxo-2-<3-(4-phenyl-piperazin-1-yl)-propyl>-1,2-dihydro-1.lambda.6-pyrido<3,2-e><1,2>thiazin-3-yl)-phenyl-methanone
Beilstein Reference (SO): 6-27
Formula Weight (FW): 532.66
Lawson Number (LN): 32175; 28000; 14131; 3027



Preparation:
PRE
Start: BRN=6980884 (4-hydroxy-5,7-dimethyl-1,1-dioxo-1,2-dihydro-1.lambda.6-pyrido<3,2-e><1,2>thiazin-3-yl)-phenyl-methanone, BRN=186532 1-(3-chloro-propyl)-4-phenyl-piperazine
Reag: NaOEt
Time: 15 hour(s)
Yield: 54.00 %
Solv: ethanol
Heating
Reference(s):
1. Malinka, Wieslaw; Sieklucka-Dziuba, Maria; Raitar-Cynke, Grazyna; Borowicz, Kinga; Kleinrok, Zdzislaw, Farmaco, 49 <1994> 12, 783-792, LA: EN, CODEN: FRMCE8

L14 ANSWER 7 OF 22 COPYRIGHT 2002 BEILSTEIN CDS MDLI

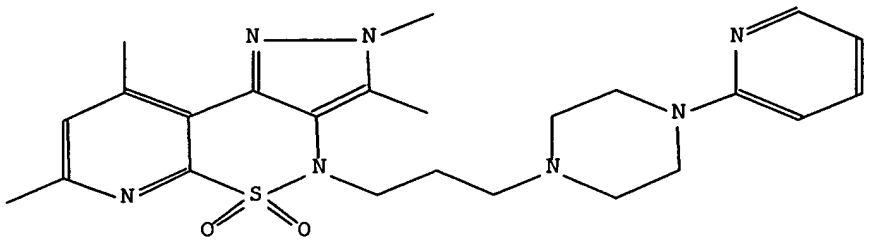
Beilstein Reg. No. (BRN): 7236554 Beilstein
Molecular Formula (MF): C23 H30 N8 O2 S
Autonom Name (AUN): 2,3,7,9-tetramethyl-4-<3-(4-pyrimidin-2-yl-piperazin-1-yl)-propyl>-2,4-dihydro-5-thia-1,2,4,6-tetraaza-cyclopenta<a>naphthalene 5,5-dioxide
Beilstein Reference (SO): 6-27
Formula Weight (FW): 482.60
Lawson Number (LN): 32535; 29553; 28000; 3633; 3027



Preparation:
PRE
Start: BRN=7253565 2H-2,3,7,9-tetramethyl-2,4-dihydropyrazolo<4,3-c>pyrido<3,2-e>-1,2-thiazine-5,5-dioxide, BRN=7209780 1-chloropropyl-4-pyrimidin-2-yl-piperazine
Reag: NaOEt
Time: 7 hour(s)
Yield: 65.00 %
Solv: ethanol
Heating
Reference(s):
1. Malinka, Wieslaw; Sieklucka-Dziuba, Maria; Raitar-Cynke, Grazyna; Borowicz, Kinga; Kleinrok, Zdzislaw, Farmaco, 49 <1994> 12, 783-792, LA: EN, CODEN: FRMCE8

L14 ANSWER 8 OF 22 COPYRIGHT 2002 BEILSTEIN CDS MDLI

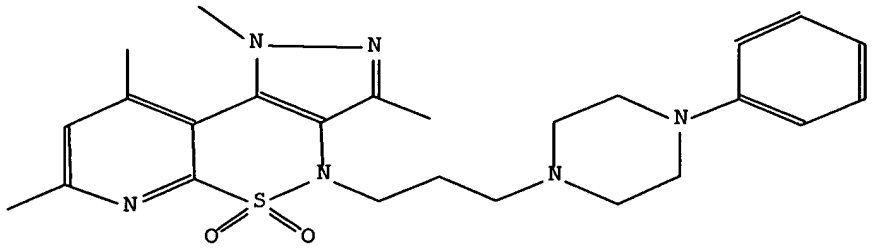
Beilstein Reg. No. (BRN): 7236090 Beilstein
Molecular Formula (MF): C24 H31 N7 O2 S
Autonom Name (AUN): 2,3,7,9-tetramethyl-4-<3-(4-pyridin-2-yl-piperazin-
1-yl)-propyl>-2,4-dihydro-5-thia-1,2,4,6-tetraaza-
cyclopenta<a>naphthalene 5,5-dioxide
Beilstein Reference (SO): 6-27
Formula Weight (FW): 481.61
Lawson Number (LN): 32535; 28000; 27378; 3633; 3027



Preparation:
PRE
Start: BRN=7253565 2H-2,3,7,9-tetramethyl-2,4-dihydropyrazolo<4,3-c>pyrido<3,2-e>-1,2-thiazine-5,5-dioxide, BRN=7207969 1-chloropropyl-4-pyridin-2-yl-piperazine
Reag: NaOEt
Time: 7 hour(s)
Yield: 65.00 %
Solv: ethanol
Heating
Reference(s):
1. Malinka, Wieslaw; Sieklucka-Dziuba, Maria; Raitar-Cynke, Grazyna; Borowicz, Kinga; Kleinrok, Zdzislaw, Farmaco, 49 <1994> 12, 783-792, LA: EN, CODEN: FRMCE8

L14 ANSWER 9 OF 22 COPYRIGHT 2002 BEILSTEIN CDS MDLI

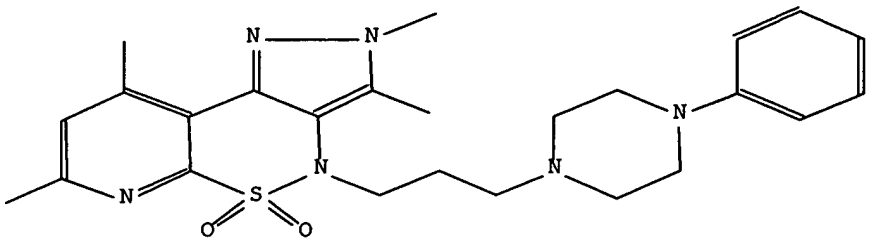
Beilstein Reg. No. (BRN): 7235971 Beilstein
Molecular Formula (MF): C25 H32 N6 O2 S
Autonom Name (AUN): 1,3,7,9-tetramethyl-4-<3-(4-phenyl-piperazin-1-yl)-propyl>-1,4-dihydro-5-thia-1,2,4,6-tetraaza-cyclopenta<a>naphthalene 5,5-dioxide
Beilstein Reference (SO): 6-27
Formula Weight (FW): 480.63
Lawson Number (LN): 32535; 28000; 14131; 3633; 3027



Preparation:
PRE
Start: BRN=7235030 2H-3-acetyl-4-hydroxy-5,7-dimethyl-2-<3-(4-phenyl-1-piperazinyl)propyl>-pyrido<3,2-e>-1,2-thiazine-1,1-dioxide, BRN=635645 methylhydrazine
Time: 3 hour(s)
Solv: ethanol
Heating
ByProd: BRN=7235395 2H-2,3,7,9-tetramethyl-4-<3-(4-phenyl-1-piperazinyl)propyl>-2,4-dihydropyrazolo<4,3-c>pyrido<3,2-e>-1,2-thiazine-5,5-dioxide \8 percent
Reference(s):
1. Malinka, Wieslaw; Sieklucka-Dziuba, Maria; Raitar-Cynke, Grazyna; Borowicz, Kinga; Kleinrok, Zdzislaw, Farmaco, 49 <1994> 12, 783-792, LA: EN, CODEN: FRMCE8
Note(s):
2. Yield: 0.5 g

L14 ANSWER 10 OF 22 COPYRIGHT 2002 BEILSTEIN CDS MDLI

Beilstein Reg. No. (BRN): 7235395 Beilstein
Molecular Formula (MF): C25 H32 N6 O2 S
Autonom Name (AUN): 2,3,7,9-tetramethyl-4-<3-(4-phenyl-piperazin-1-yl)-propyl>-2,4-dihydro-5-thia-1,2,4,6-tetraaza-cyclopenta<a>naphthalene 5,5-dioxide
Beilstein Reference (SO): 6-27
Formula Weight (FW): 480.63
Lawson Number (LN): 32535; 28000; 14131; 3633; 3027

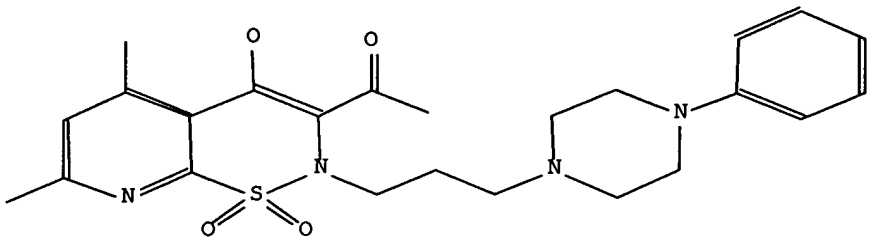


Preparation:
PRE
Start: BRN=7224939 2H-2,3,7,9-tetramethyl-4-(3-chloropropyl)-2,4-dihydropyrazolo<4,3-c>pyrido<3,2-e>-1,2-thiazine-5,5-dioxide, BRN=132157 1-phenyl-piperazine
Time: 15 hour(s)
Yield: 55.00 %
Solv: xylene
Heating
Reference(s):
1. Malinka, Wieslaw; Sieklucka-Dziuba, Maria; Raitar-Cynke, Grazyna; Borowicz, Kinga; Kleinrok, Zdzislaw, Farmaco, 49 <1994> 12, 783-792, LA: EN, CODEN: FRMCE8

PRE
Start: BRN=7235030 2H-3-acetyl-4-hydroxy-5,7-dimethyl-2-<3-(4-phenyl-1-piperazinyl)propyl>-pyrido<3,2-e>-1,2-thiazine-1,1-dioxide, BRN=635645 methylhydrazine
Time: 3 hour(s)
Yield: 8.00 %
Solv: ethanol
Heating
ByProd: BRN=7235971 1H-1,3,7,9-tetramethyl-4-<3-(4-phenyl-1-piperazinyl)propyl>-1,4-dihydropyrazolo<4,3-c>pyrido<3,2-e>-1,2-thiazine-5,5-dioxide \0.5 g

L14 ANSWER 11 OF 22 COPYRIGHT 2002 BEILSTEIN CDS MDLI

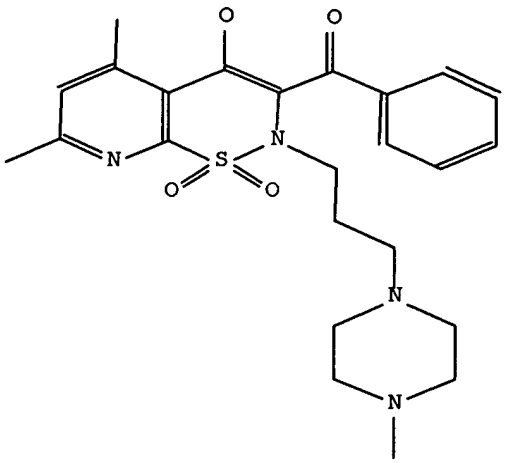
Beilstein Reg. No. (BRN): 7235030 Beilstein
Molecular Formula (MF): C24 H30 N4 O4 S
Autonom Name (AUN): 1-(4-hydroxy-5,7-dimethyl-1,1-dioxo-2-<3-(4-phenyl-
piperazin-1-yl)-propyl>-1,2-dihydro-1.lambda.6-pyrido<3,2-e><1,2>thiazin-3-yl)-ethanone
Beilstein Reference (SO): 6-27
Formula Weight (FW): 470.59
Lawson Number (LN): 32173; 28000; 14131; 3027



Preparation:
PRE
Start: BRN=6976045 1-(4-hydroxy-5,7-dimethyl-1,1-dioxo-1,2-dihydro-1.lambda.6-pyrido<3,2-e><1,2>thiazin-3-yl)-ethanone, BRN=186532 1-(3-chloro-propyl)-4-phenyl-piperazine
Reag: NaOEt
Time: 15 hour(s)
Yield: 56.00 %
Solv: ethanol
Heating
Reference(s):
1. Malinka, Wieslaw; Sieklucka-Dziuba, Maria; Raitar-Cynke, Grazyna; Borowicz, Kinga; Kleinrok, Zdzislaw, Farmaco, 49 <1994> 12, 783-792, LA: EN, CODEN: FRMCE8

L14 ANSWER 12 OF 22 COPYRIGHT 2002 BEILSTEIN CDS MDLI

Beilstein Reg. No. (BRN): 6995465 Beilstein
Molecular Formula (MF): C24 H30 N4 O4 S . 2 Cl H
Lin. Struct. Formula (LSF): C24H30N4O4S*2HCl
Synonym (SY): 2H-3-benzoyl-4-hydroxy-5,7-dimethyl-2-<3-(1-methyl-
4-piperazinyl)propyl>pyrido<3,2-e>-1,2-thiazine-1,1-
dioxide dihydrochloride
Beilstein Reference (SO): 6-27



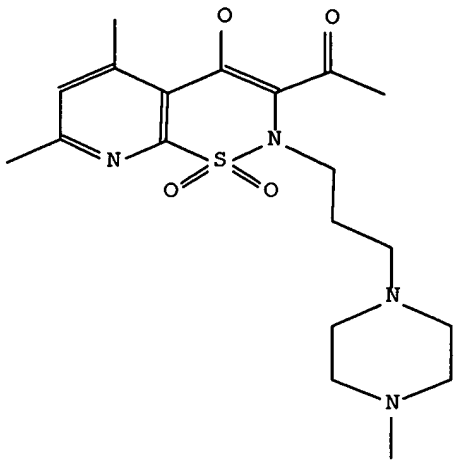
CM 2

CBRN 1098214
CMF Cl H

Preparation:
PRE
Start: BRN=6980884 2H-3-benzoyl-4-hydroxy-5,7-dimethylpyrido<3,2-e>-1,2-
thiazine-1,1-dioxide, BRN=106074 1-(3-chloro-propyl)-4-methyl-
piperazine
Reag: 1.) sodium; 2.) hydrogen chloride
Time: 5 hour(s)
Yield: 40.00 %
Solv: ethanol
Heating
Reference(s):
1. Zawisza, T.; Malinka, W., Farmaco Ed.Sci., 41 <1986> 10, 819-826, LA: EN, CODEN: FRPSAX

L14 ANSWER 13 OF 22 COPYRIGHT 2002 BEILSTEIN CDS MDLI

Beilstein Reg. No. (BRN): 6994407 Beilstein
Molecular Formula (MF): C19 H28 N4 O4 S . 2 Cl H
Lin. Struct. Formula (LSF): C19H28N4O4S*2HCl
Synonym (SY): 2H-3-acetyl-4-hydroxy-5,7-dimethyl-2-<3-(1-methyl-4-piperazinyl)propyl>pyrido<3,2-e>-1,2-thiazine-1,1-dioxide dihydrochloride
Beilstein Reference (SO): 6-27



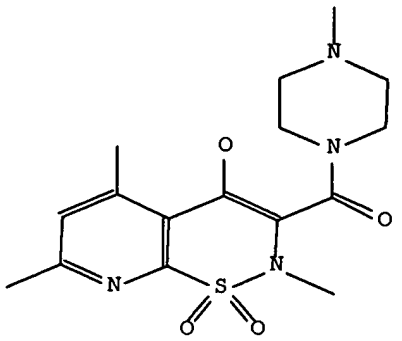
CM 2

CBRN 1098214
CMF Cl H

Preparation:
PRE
Start: BRN=6976045 2H-3-acetyl-4-hydroxy-5,7-dimethylpyrido<3,2-e>-1,2-thiazine-1,1-dioxide, BRN=106074 1-(3-chloro-propyl)-4-methyl-piperazine
Reag: 1.) sodium; 2.) hydrogen chloride
Time: 5 hour(s)
Yield: 60.00 %
Solv: ethanol
Heating
Reference(s):
1. Zawisza, T.; Malinka, W., Farmaco Ed.Sci., 41 <1986> 10, 819-826, LA: EN, CODEN: FRPSAX

L14 ANSWER 14 OF 22 COPYRIGHT 2002 BEILSTEIN CDS MDLI

Beilstein Reg. No. (BRN):	6985897 Beilstein
Molecular Formula (MF):	C16 H22 N4 O4 S
Autonom Name (AUN):	(4-hydroxy-2,5,7-trimethyl-1,1-dioxo-1,2-dihydro-1.lambda.6-thia-2,8-diaza-naphthalen-3-yl)-(4-methyl-piperazin-1-yl)-methanone
Beilstein Reference (SO):	6-27
Formula Weight (FW):	366.43
Lawson Number (LN):	32204; 28000; 2817



Preparation:
PRE
Start: BRN=6980776 2H-3-ethoxycarbonyl-4-hydroxy-2,5,7-trimethylpyrido<3,2-e>-1,2-thiazine-1,1-dioxide, BRN=102724 1-methyl-piperazine
Yield: 33.00 %
Solv: xylene
Heating
Detail: Soxhlet apparatus with type 4A molecular sieves
Reference(s):
1. Zawisza, T.; Malinka, W., Farmaco Ed.Sci., 41 <1986> 11, 892-898, LA: EN, CODEN: FRPSAX

L14 ANSWER 15 OF 22 COPYRIGHT 2002 BEILSTEIN CDS MDLI

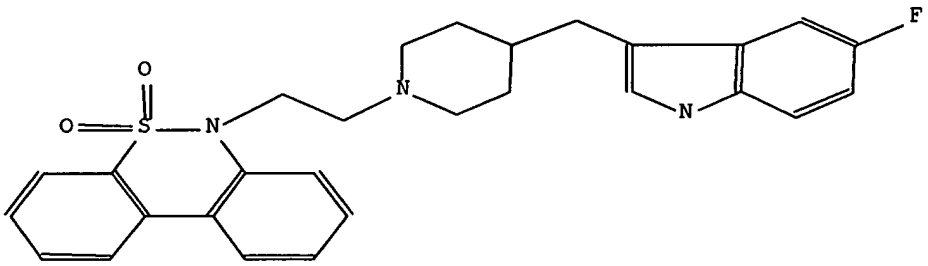
Beilstein Reg. No. (BRN): 6245731 Beilstein
Molecular Formula (MF): C23 H30 N4 O2 S
Synonym (SY): 4,6-dimethyl-2-<3'-(N'-methylpiperazinyl)propyl>-8-
phenyl-2H-pyrido-<2,3-c>-1,2-thiazine 1,1-dioxide
Autonom Name (AUN): 5,7-dimethyl-1-<3-(4-methyl-piperazin-1-yl)-propyl>-
3-phenyl-1H-pyrido<2,3-c><1,2>thiazine 2,2-dioxide
Beilstein Reference (SO): 6-27
Formula Weight (FW): 426.58
Lawson Number (LN): 32020; 28000; 3027; 2817

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Preparation:
PRE
Start: BRN=6216734 4,6-dimethyl-8-phenyl-2H-pyrido-<2,3-c>-1,2-thiazine 1,1-dioxide, BRN=106074 1-(3-chloro-propyl)-4-methyl-piperazine
Reag: Na/ethanol
Time: 18 hour(s)
Yield: 40.00 %
Solv: benzene
Heating
ByProd: BRN=6242893 4,6-dimethyl-3-<3'-(N'-methylpiperazinyl)propyl>-8-phenyl-3H-pyrido-<2,3-c>-1,2-thiazine 1,1-dioxide \34 percent of Input
Reference(s):
1. ZAWISZA, Tadeusz; MILIAN, Anna; JAKOBIEC, Tadeusz, Pol.J.Chem., 54 <1980> 7/8, 1413-1424, LA: EN, CODEN: PJCHDQ

L14 ANSWER 16 OF 22 COPYRIGHT 2002 BEILSTEIN CDS MDLI

Beilstein Reg. No. (BRN): 6183454 Beilstein
Molecular Formula (MF): C28 H28 F N3 O2 S
Autonom Name (AUN): 10-(2-<4-(5-fluoro-1H-indol-3-ylmethyl)-piperidin-1-
yl>-ethyl)-10H-9-thia-10-aza-phenanthrene 9,9-dioxide
Beilstein Reference (SO): 6-27
Formula Weight (FW): 489.61
Lawson Number (LN): 30935; 28157; 3018



Preparation:
PRE
Start: BRN=6149735 6-(2-chloroethyl)-6H-dibenz<ce>-1,2-thiazine 5,5-dioxide, BRN=5544309 5-fluoro-3-(4-piperidinylmethyl)indole
Reag: NaHCO3
Time: 5 hour(s)
Yield: 67.00 %
Solv: dimethylformamide, tetrahydrofuran
Heating
Reference(s):
1. Malleron, Jean-Luc; Gueremy, Claude; Mignani, Serge; Peyronel, Jean-Francois; Truchon, Alain; et al., J.Med.Chem., 36 <1993> 9, 1194-1202, LA: EN, CODEN: JMCMAR

L14 ANSWER 17 OF 22 COPYRIGHT 2002 BEILSTEIN CDS MDLI

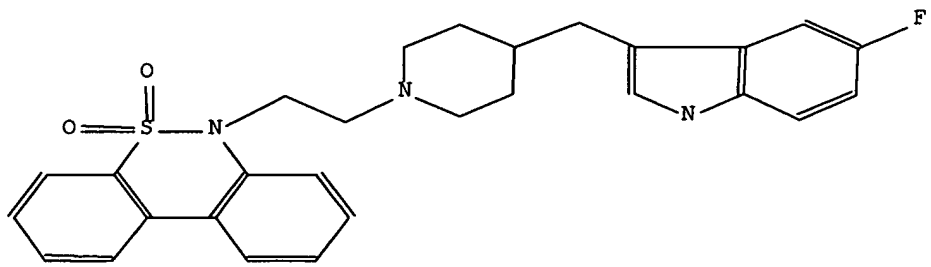
Beilstein Reg. No. (BRN): 6172271 Beilstein
Molecular Formula (MF): C28 H28 F N3 O2 S . C2 H2 O4
Synonym (SY): 6-<2-<4-((5-fluoro-1H-indol-3-yl)methyl)-1-piperidinyl>ethyl>-6H-dibenz<ce>-1,2-thiazine 5,5-dioxide oxalate
Beilstein Reference (SO): 6-27

Component Data:

Component Reg. No. (CBRN)	Component Molec. Formula (CMF)	Formula Weight (FW)	Lawson Number (LN)
6183454	C28 H28 F N3 O2 S	489.61	30935, 28157, 3018
385686	C2 H2 O4	90.04	1516

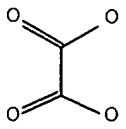
CM 1

CBRN 6183454
CMF C28 H28 F N3 O2 S



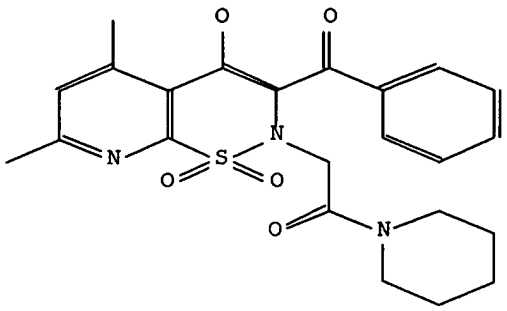
CM 2

CBRN 385686
CMF C2 H2 O4



L14 ANSWER 18 OF 22 COPYRIGHT 2002 BEILSTEIN CDS MDLI

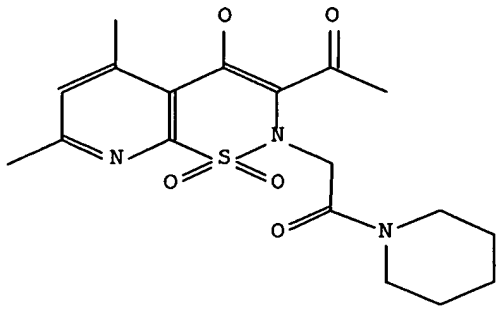
Beilstein Reg. No. (BRN): 5892992 Beilstein
Molecular Formula (MF): C23 H25 N3 O5 S
Autonom Name (AUN): 2-(3-benzoyl-4-hydroxy-5,7-dimethyl-1,1-dioxo-1H-1.lambda.6-thia-2,8-diaza-naphthalen-2-yl)-1-piperidin-1-yl-ethanonen
Beilstein Reference (SO): 6-27
Formula Weight (FW): 455.53
Lawson Number (LN): 32175; 24081; 3379



Preparation:
PRE
Start: BRN=5888355 (3-benzoyl-4-hydroxy-5,7-dimethyl-1,1-dioxo-1H-1.lambda.6-thia-2,8-diaza-naphthalen-2-yl)-acetyl chloride , BRN=102438 piperidine
Time: 24 hour(s)
Solv: CHCl3
Ambient Temperature
Reference(s):
1. MALINKA, W.; DEREN, A., Pol.J.Chem., 66 <1992> 12, 1953-1960, LA: EN, CODEN: PJCHDQ
Note(s):
2. Yield given

L14 ANSWER 19 OF 22 COPYRIGHT 2002 BEILSTEIN CDS MDLI

Beilstein Reg. No. (BRN):	5889002 Beilstein
Molecular Formula (MF):	C18 H23 N3 O5 S
Autonom Name (AUN):	2-(3-acetyl-4-hydroxy-5,7-dimethyl-1,1-dioxo-1H-1.lambda.6-thia-2,8-diaza-naphthalen-2-yl)-1-piperidin-1-yl-ethanoney
Beilstein Reference (SO):	6-27
Formula Weight (FW):	393.46
Lawson Number (LN):	32173; 24081; 3379



Preparation:
PRE

Start: BRN=5884394 (3-acetyl-4-hydroxy-5,7-dimethyl-1,1-dioxo-1H-1.lambda.6-thia-2,8-diaza-naphthalen-2-yl)-acetyl chloride , BRN=102438 piperidine
Time: 24 hour(s)
Solv: CHCl3
Ambient Temperature
Reference(s):
1. MALINKA, W.; DEREN, A., Pol.J.Chem., 66 <1992> 12, 1953-1960, LA: EN, CODEN: PJCHDQ
Note(s):
2. Yield given

L14 ANSWER 20 OF 22 COPYRIGHT 2002 BEILSTEIN CDS MDLI

Beilstein Reg. No. (BRN):	1178633 Beilstein
Molecular Formula (MF):	C22 H25 Cl N2 O2 S
Chemical Name (CN):	6-chloro-4-methyl-3-phenyl-1-(2-piperidin-1-yl-ethyl)-1H-benzo<c><1,2>thiazine 2,2-dioxide
Autonom Name (AUN):	6-chloro-4-methyl-3-phenyl-1-(2-piperidin-1-yl-ethyl)-1H-benzo<c><1,2>thiazine 2,2-dioxide
Beilstein Reference (SO):	5-27
Formula Weight (FW):	416.96
Lawson Number (LN):	30948; 24081; 3018

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Preparation:
PRE

Reference(s):

1. Sianesi; Redaelli, Anal.Chem., 57 <1967>, 1426,1428,1429,1430, CODEN: ANCHAM

L14 ANSWER 21 OF 22 COPYRIGHT 2002 BEILSTEIN CDS MDLI

Beilstein Reg. No. (BRN):	1173737 Beilstein
Molecular Formula (MF):	C22 H26 N2 O2 S
Chemical Name (CN):	4-methyl-3-phenyl-1-(2-piperidin-1-yl-ethyl)-1H-benzo<c><1,2>thiazine 2,2-dioxide
Autonom Name (AUN):	4-methyl-3-phenyl-1-(2-piperidin-1-yl-ethyl)-1H-benzo<c><1,2>thiazine 2,2-dioxide
Beilstein Reference (SO):	5-27
Formula Weight (FW):	382.52
Lawson Number (LN):	30947; 24081; 3018

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

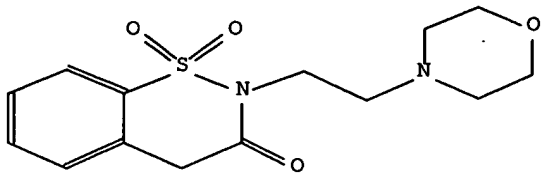
Preparation:
PRE

Reference(s):

1. Sianesi; Redaelli, Anal.Chem., 57 <1967>, 1426,1428,1429,1430, CODEN: ANCHAM

L14 ANSWER 22 OF 22 COPYRIGHT 2002 BEILSTEIN CDS MDLI

Beilstein Reg. No. (BRN): 691238 Beilstein
Molecular Formula (MF): C14 H18 N2 O4 S
Chemical Name (CN): 2-(2-morpholin-4-yl-ethyl)-1,1-dioxo-1,4-dihydro-2H-
1.lambda.6-benzo<e><1,2>thiazin-3-one
Autonom Name (AUN): 2-(2-morpholin-4-yl-ethyl)-1,1-dioxo-1,4-dihydro-2H-
1.lambda.6-benzo<e><1,2>thiazin-3-oneh
Beilstein Reference (SO): 5-27
Formula Weight (FW): 310.37
Lawson Number (LN): 31166; 30824; 3018



Preparation:
PRE
Start: BRN=745644 C8H7NO3S, BRN=3684083 4-(2-chloro-ethyl)-morpholine; hydrochloride
Reag: K2CO3, Cu
Time: 12 hour(s)
Solv: toluene
Heating
Reference(s):
1. Sianesi,E. et al., J.Med.Chem., 16 <1973>, 1133-1137, LA: EN, CODEN: JMCMAR

L20 ANSWER 1 OF 18 MARPAT COPYRIGHT 2002 ACS
AN 136:37528 MARPAT
TI Preparation of indole derivatives for the treatment of CNS disorders
IN Bang-Andersen, Benny; Felding, Jakob; Kehler, Jan; Andersen, Kim
PA H. Lundbeck A/S, Den.
SO PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001096328	A1	20011220	WO 2001-DK406	20010613

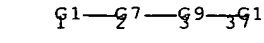
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI DK 2000-919 20000614
US 2000-212445 20000616
GI

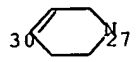
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; one of Y1, Y2 = N, which is bound to Y4, and the other Y1 and Y2 = CO, CS, SO, etc; Y4 = CH2, CO, CS, etc.; Y3 = ZCH2, CH2Z, CH2CH2; Z = O, S; W = a bond, O, S, etc.; n = 0-5; m = 0-5; m + n = 1-10; X = C, CH, N; R1-R9 = H, halo, CN, etc.; R10 = H, alkyl, aryl, etc.] which are dopamine and serotonin receptor ligands, and are useful in the treatment of certain psychiatric and neurol. disorders, i.e. schizophrenia, other psychoses, anxiety disorders, depression, migraine, cognitive disorders, ADHD and sleep improvement, were prepd. and formulated. Thus, reacting 5-fluoro-3-(piperidin-4-yl)-1H-indole with 1-(2-chloroethyl)-3,4-dihydroquinolin-2-(1H)-one in the presence of Et3N in DMF and butanone afforded II which showed 92% inhibition of the binding of [3H]YM-09151-2 to human dopamine D4 receptors at 50 nM.

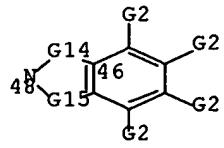
MSTR 1



G7 = 30-1 27-3



G10 = (1-6) CH2
G14 = CH2CH2
G15 = SO2
G16 = 48



MPL: claim 1
NTE: or pharmaceutically acceptable acid addition salts
NTE: substitution is restricted

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 18 MARPAT COPYRIGHT 2002 ACS
AN 135:288798 MARPAT
TI Bicyclic sulfonyl amino inhibitors of factor Xa
IN Li, Wenhao; Marlowe, Charles K.; Scarborough, Robert M.
PA Cor Therapeutics, Inc., USA
SO PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001072725	A1	20011004	WO 2001-US9375	20010326

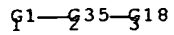
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 2000-191715 20000324
GI

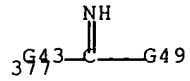
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Heterobicyclics I and II (A = N substituted with H, OH, alkyl, alkenyl, alkynyl, cycloalkyl, carbocyclic aryl, heterocyclic ring with N, O, S; n = 0-3; Z = alkyl, alkenyl, alkynyl, cycloalkyl, carbocyclic aryl, heterocyclic ring with N, O, S; D = link of O, S, SO2, N, OC(=O), CO2, etc groups; R = H, halogen, CN, NO2, OC(=O), or (un)substituted carbon or nitrogen group, etc; R1 and R2 are independently H, S, OC(=O), CO2, (unsubstituted)-chain or -ring; X = N or (un)substituted C; E and J = O, N linked to (unsubstituted)-chain or heterocyclic ring system; G = H, CN, O, C(=N)N where the N is bonded to H or carbon group substituted) and their pharmaceutically acceptable isomers, salts, hydrates, solvates and prodrug derivs. having activity against mammalian factor Xa were prepd. Pharmaceutical compns. contg. I and II have an IC50 of preferably > 10.0.mu.M in the thrombin assay and more preferred compds. have an IC50 of > 100.0.mu.M in the thrombin assay. Compns. and derivs. of I and II are useful in vitro or in vivo for preventing or treating conditions in mammals characterized by undesired thrombosis. Non-bicyclic sulfonyl amino compds. were also prepd. and III had an IC50nM of 133,000 for thrombin and the structure activity relationship of these aniline based diamidine factor Xa inhibitors is documented.

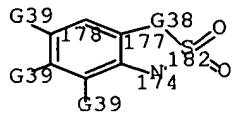
MSTR 1



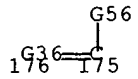
G18 = 377



G35 = 178-1 174-3



G36 = CH (SO)
G38 = 176-177 175-182



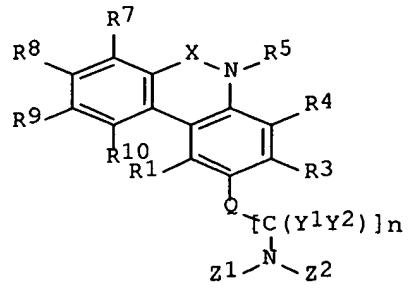
G43 = (1-2) CH2
G49 = morpholino
MPL: claim 1
NTE: additional ring formation also claimed
NTE: and all pharmaceutically acceptable salts, hydrates, solvates and prodrugs
NTE: substitution is restricted
STE: and all pharmaceutically acceptable isomers

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

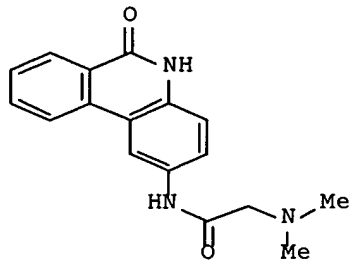
L20 ANSWER 3 OF 18 MARPAT COPYRIGHT 2002 ACS
AN 135:46112 MARPAT
TI Synthesis and use of substituted phenanthridinones as inhibitors of poly-ADP ribose synthase (PARS)
IN Szabo, Csaba; Jagtap, Prakash; Southan, Garry; Salzman, Andrew L.
PA Inotek Corporation, USA
SO PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001042219	A2	20010614	WO 2000-US42656	20001207
	WO 2001042219	A3	20011213		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6277990	B1	20010821	US 1999-454867	19991207
PRAI	US 1999-454867	19991207			
	US 2000-587181	20000602			
	US 2000-602539	20000622			
	US 2000-606587	20000629			

GI



I



II

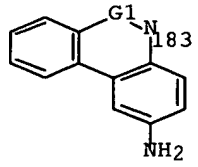
AB Compds. I, their prepn. and use are claimed [wherein; X = CO, CS, SO2, C:NH (or derivs.) or CCl; Q = NHCO, O, CO, OCO2, OCO, etc.; R1-10 = H or alkyl; Y1-2 = H, halo, alkyl(halo), OH, carbocyclic, aryl, etc.; n = 0-10;
Z1-2 = H, alkylhalo, alk(en/yn)yl, etc. or taken together form a fused ring wherein said ring has 4-8 ring members]. Several examples are given.
For instance, II is prepd. from acylation of 2-amino-6(5H)-phenanthridinone with chloroacetyl chloride followed by substitution with

di-Me amine. Compds. I are inhibitors of PARS. Compds. I showed efficacy in inflammation in-vitro; inhibition of TNF-.alpha. (II: EC50 = 5.4.mu.M), MIP-1.alpha., MIP-2 and nitric oxide prodn. when exposed to LPS and in-vivo; LPS-induced mortality reduced from 92% to 50% in mice at 20 mg/kg (II, pretreatment). In an oxidant-stimulated thymocyte assay (in-vitro reperfusion model) II was found to provide cytoprotection (70%) at 10nM to 1.mu.M. Using a MCAO model (2 h occlusion, 24 h reperfusion, rat), administration of II (10 mg/kg i.v. injected 5 min prior to reperfusion) was found to give complete protection against mortality (control group 73% mortality). Compds. of the invention were also found to restore vascular function in diabetic mice without altering systemic glucose, glycated Hb or pancreatic insulin levels. Claimed uses of the compds. include treatment of symptoms of multiple sclerosis, prevention/treatment of local/systemic inflammation, prevention/treatment of conditions related to cardiovascular complications of diabetes and enhancing the function of a transplanted organ.

MSTR 2A

G15—G16

G1 = SO2
G4 = C(O)
G9 = piperidino
G15 = 183

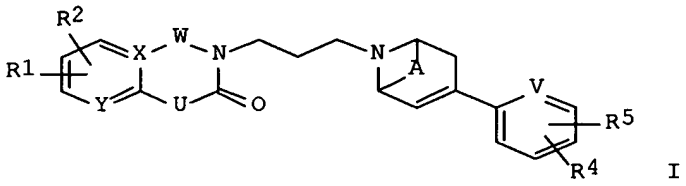


MPL: claim 17

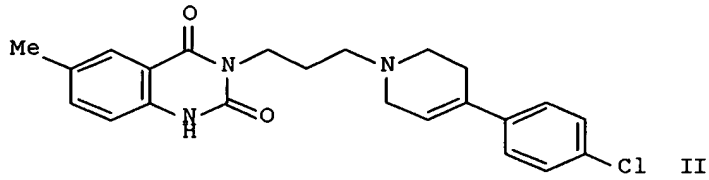
L20 ANSWER 4 OF 18 MARPAT COPYRIGHT 2002 ACS
AN 134:222727 MARPAT
TI Preparation of tetrahydroquinazoline-2,4-diones for inhibiting serotonin reuptake or 5-HT2A serotonin receptor binding
IN Butler, Todd William; Fliri, Anton Franz Josef; Gallaschun, Randall James;
Jones, Brian Patrick; Ragan, John Anthony
PA Pfizer Products Inc., USA
SO Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 1083178	A1	20010314	EP 2000-307433	20000830
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2001114778	A2	20010424	JP 2000-261115	20000830
PRAI US 1999-151725	19990831			

GI



I

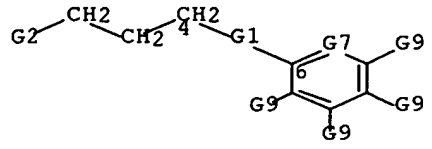


II

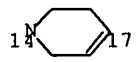
AB The title compds. [I; A = (CH2)n (wherein n = 0-2); U = CH2, NH, NR3; R1, R2 = H, alkyl, Cl, etc.; or R1 and R2, together with the atoms to which they are attached, form 5-6 membered carbocyclic or heterocyclic ring; R3 = H, alkyl, C(O)alkyl; R4, R5 = H, alkyl, Cl, etc.; V = CH, CR3, N; W = CH2, CO, SO2; X = C, N; Y = CH, CR1, CR2, N] and their pharmaceutically acceptable salts, useful in treating diseases, conditions or disorders of the central nervous system, were prepd. Thus, treatment of Me 2-amino-5-methylbenzoate with triphosgene in the presence of Et3N in CH2Cl2 followed by addn. of 3-[4-(4-chlorophenyl)-3,6-dihydro-2H-pyridin-1-yl]propylamine (prepn. given) afforded 79% II. The exemplified compds. I showed more than 50% inhibition at <50 nM in the serotonin reuptake assay

and binding assays for 5-Ht2A serotonin receptor.

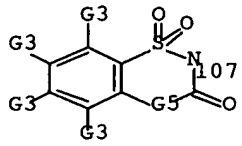
MSTR 1



G1 = 14-4 17-6



G2 = 107



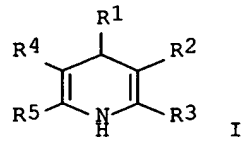
G5 = CH2
MPL: claim 1
NTE: or pharmaceutically acceptable salts

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 5 OF 18 MARPAT COPYRIGHT 2002 ACS
AN 132:308329 MARPAT
TI Preparation of tricyclic heterocycles as potassium channel openers
IN Carroll, William A.; Agrios, Konstantinos A.; Basha, Fatima Z.; Chen, Yiyuan; Kort, Michael E.; Kym, Philip R.; Tang, Rui; Turner, Sean C.; Yi, Lin
PA Abbott Laboratories, USA
SO PCT Int. Appl., 181 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000024741	A2	20000504	WO 1999-US25536	19991028
WO 2000024741	A3	20000713		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1131322	A2	20010912	EP 1999-970991	19991028
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRAI US 1998-181239 19981028
US 1999-421912 19991020
WO 1999-US25536 19991028
GI

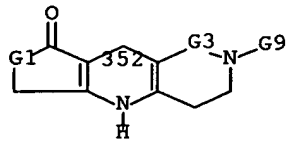


I

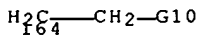
AB Title compds. [I; R1 = aryl or heterocyclyl; R2R3 = D'A'(CHR)m; R = H or alkyl; R4R5 = DA(CH2)n; A = O, S, (un)substituted NH; A' = O, S, (un)substituted NH, CH2; D = CH2 or CO; D' = CH2, CO, SO, SO2; m, n = 1-3] were prepd. Thus, 3,4-BrFC6H3CHO was cyclocondensed with MeCOCH2CO2Et and NH3 and the brominated product treated with liq. NH3 to give I (R1 = C6H3BrF-3,4, R2R3,R4R5 = CONHCH2). Data for biol. activity of I were given.

MSTR 1

G3 = SO2
G6 = 352



G9 = 164



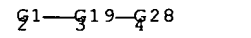
G10 = morpholino
DER: or pharmaceutically acceptable salts, amides, esters or prodrugs
MPL: claim 1
NTE: additional substitution and ring formation also claimed
NTE: substitution is restricted

L20 ANSWER 6 OF 18 MARPAT COPYRIGHT 2002 ACS
AN 131:170632 MARPAT
TI Novel cyclic sulfonamide derivatives as metalloproteinase inhibitors
IN Duan, Jingwu; Chen, Lihua; Cherney, Robert J.; Decicco, Carl P.; Voss, Matthew E.
PA Du Pont Pharmaceuticals Company, USA
SO PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

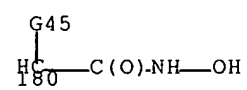
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9941246	A1	19990819	WO 1999-US2767	19990210
W: AU, CA, IL, JP, MX, NZ				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9925947	A1	19990830	AU 1999-25947	19990210
EP 1054877	A1	20001129	EP 1999-905898	19990210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				

PRAI US 1998-74301 19980211
WO 1999-US2767 19990210
AB Cyclic sulfonamides ACR1R2NR3SO2CR4:CR5R6 [A = CHO, alkanoyl, CO2H or esters, CHRCO2H (R = H, Me, Et, i-Pr, vinyl, 1- or 2-propenyl), CHRCONHOH, CONHOH or O-substituted derivs., (un)substituted amino, SH, CH2SH, (un)substituted SONH2 or SNHNH2, P(O)(OH)2, (un)substituted P(O)(OH)NH2; R1 = H, Q (carbocyclic or heterocyclic residue), alkylene-Q, alkenylene-Q, alkynylene-Q, oxa- or aza-alkylene-Q, etc.; R2 = H, alkylene-H, alkenylene-H, alkynylene-H, oxa- or aza-alkylene-H, etc.; R3 and R5 form an (un)substituted 5-10 membered ring contg. 0-2 addnl. heteroatoms and 0-1 double bonds; R4 and R6 form benzo or (un)substituted heteroarom. ring] were prepd. as metalloprotease inhibitors. Thus, (R)-4,5-dihydro-N-hydroxy-.alpha.-methyl-1,2,5-benzothiadiazepine-2(3H)-acetamide 1,2-dioxide was prepd. starting from the reaction of 2-nitrobenzenesulfonyl chloride with D-alanine Me ester hydrochloride.

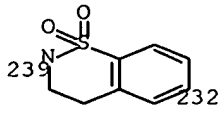
MSTR 1



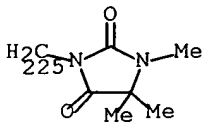
G1 = 180



G19 = 239-2 232-4



G45 = 225



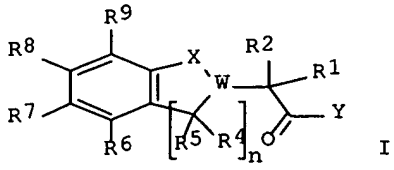
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
STE: or stereoisomers

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 7 OF 18 MARPAT COPYRIGHT 2002 ACS
AN 130:352182 MARPAT
TI Preparation of hydroxamic and carboxylic acid derivatives having MMP and TNF inhibitory activity
IN Baxter, Andrew Douglas; Owen, David Alan; Montana, John Gary; Nicholson, Elisabeth Jane Reed
PA Darwin Discovery Limited, UK
SO PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9924419	A1	19990520	WO 1998-GB3396	19981112
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9910470	A1	19990531	AU 1999-10470	19981112
	EP 1030851	A1	20000830	EP 1998-952928	19981112
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 2001522843	T2	20011120	JP 2000-520433	19981112
	US 6310088	B1	20011030	US 2000-564217	20000504

PRAI GB 1997-23904 19971112
GB 1998-14043 19980629
US 1997-68793 19971224
US 1998-190334 19981112
WO 1998-GB3396 19981112
GI



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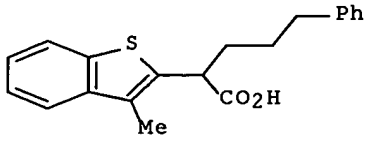


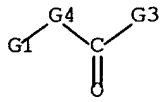
II

AB The title compds. [I; n =1-2; X = O, S(O)0-2; Y = OH, NHOH; W = CR3, N (when X = SO2); R1 = H, alkyl, alkenyl, etc.; R2 = H, alkyl; CR1R2 = (un)substituted cycloalkyl, heterocycloalkyl; R3-R5 = H, alkyl; R3R4 = a bond; R6-R9 = H, alkyl, aryl, etc.; R6 and R7, R7 and R8, R8 and R9, oe when n = 1, R5 and R6, and the carbons to which they are attached may form aryl, heteroaryl, cycloalkenyl, heterocycloalkenyl], useful as therapeutic

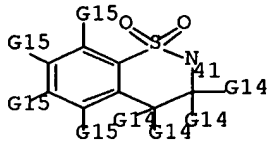
agents, by virtue of having MMP and TNF inhibitory activity, were prepd. Thus, treatment of 3-methylbenzo[b]thiophene-2-acetic acid with BuLi/hexanes followed by addn. of 1-bromo-3-phenylpropane afforded 37% II.

Compds. I are effective at 0.01-50 mg/kg/day.

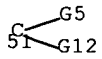
MSTR 1



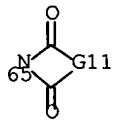
G1 = 41



G4 = 51



G5 = alkyl<(1-6)> (SO (1-) G8)
G8 = 65



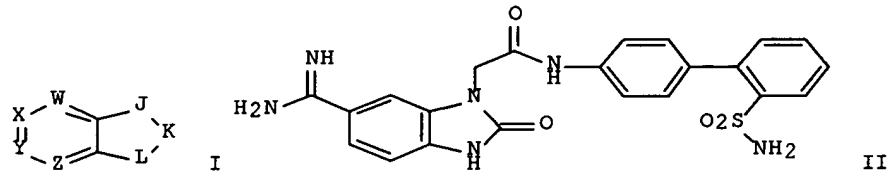
DER: and salts, solvates, hydrates, N-oxides, and protected amine, carboy, and hydroxamic derivatives
MPL: claim 1
NTE: additional ring formation also claimed

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 8 OF 18 MARPAT COPYRIGHT 2002 ACS
AN 130:237589 MARPAT
TI Benzimidazolinones, benzoxazolinones, benzopiperazinones, indanones, and derivatives thereof as inhibitors of factor Xa
IN Han, Qi; Dominguez, Celia; Amparo, Eugene C.; Park, Jeongsong M.; Quan, Mimi L.; Rossi, Karen A.
PA Du Pont Pharmaceuticals Company, USA
SO PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9912903	A1	19990318	WO 1998-US18729	19980908
	W: AU, CA, IL, JP, MX, NZ				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9893098	A1	19990329	AU 1998-93098	19980908
	EP 1015429	A1	20000705	EP 1998-945971	19980908
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	US 6207697	B1	20010327	US 1998-149826	19980908
	JP 2001515887	T2	20010925	JP 2000-510715	19980908
	US 2001021775	A1	20010913	US 2001-772303	20010129
PRAI	US 1997-58288	19970909			
	US 1998-149826	19980908			
	WO 1998-US18729	19980908			

GI

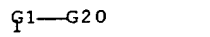


AB The application describes inhibitors of factor Xa of formula I or pharmaceutically acceptable salt forms thereof [wherein W, X, Y, and Z may be N or (un)substituted CH, with one substituent being cyano or (un)substituted carbamoyl, aminoalkyl, amidino, guanidino, or formamidino, etc.; and J, K, and L combine to form certain substituted carbocycles or heterocycles bearing certain (un)substituted carbo- or heterocyclic substituents]. For instance, 5-cyanobenzimidazolin-2-one (prepd. from 4-amino-3-nitrobenzonitrile in 2 steps) was deprotonated with NaH in DMF, N-alkylated with a corresponding 4-[(chloroacetyl)amino]biphenyl deriv. to give 2 regioisomeric products, and finally subjected to Pinner reaction at the cyano group, to give title compd. II and its 5-amidino isomer. In

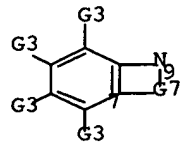
an

assay for factor Xa inhibition in vitro using the chromogenic synthetic substrate S2222, some compds. I had Ki of .ltoreq. 15 .mu.M, indicating effective activity.

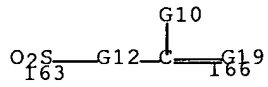
MSTR 1



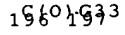
G1 = 9



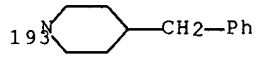
G7 = 163-9 166-7



G8 = 196-1 197-55



G19 = CH (SO)
G22 = 193



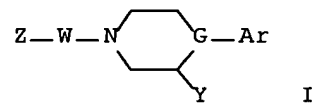
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
STE: or stereoisomers

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

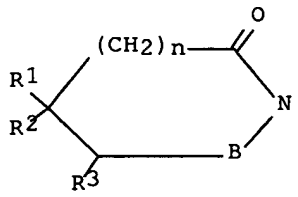
L20 ANSWER 9 OF 18 MARPAT COPYRIGHT 2002 ACS
AN 129:211720 MARPAT
TI Dopamine D4 receptor antagonist
IN Ohno, Yukihiro; Kojima, Atsuyuki; Wakabayashi, Junko; Tagashira, Rie
PA Sumitomo Pharmaceuticals Co., Ltd., Japan
SO PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9837893	A1	19980903	WO 1998-JP744	19980223
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9862306	A1	19980918	AU 1998-62306	19980223
PRAI	JP 1997-59809		19970226		
	WO 1998-JP744		19980223		

GI



I

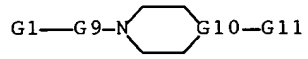


II

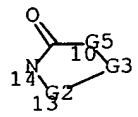
AB An imide deriv. represented by general formula (I) [wherein Z is represented by formula (2) (wherein B represents a carbonyl group or the like; for R1, R2, and R3, R1 and R2 combine with each other to form an optionally substituted hydrocarbon ring with R3 representing a hydrogen atom, or alternatively R1 and R3 may combine with each other to form an optionally substituted hydrocarbon ring with R2 representing a hydrogen atom; and n is 0 or 1), or a group represented by R4CO-NR5-(wherein R4 represents an optionally substituted Ph group or the like; and R5 represents a hydrogen atom or a lower alkyl group); W represents an optionally substituted lower alkylene group or the like, G represents a nitrogen atom or a methine group; Ar represents an optionally substituted pyrimidyl group or the like; and Y represents a hydrogen atom or -(CH2)m- (wherein m is 1, 2 or 3) with the other end being optionally bonded to the o-position of Ar] or a pharmaceutically acceptable salt thereof is an antagonist against a dopamine D4 receptor that does not cause an extrapyramidal syndrom assocd. with dopamine D2 receptor antagonism and

is
useful as a therapeutic agent for mental disorder, e.g., schizophrenia in a neg. state or the like and L-DOPA mental disorder during treatment of Parkinson's disease.

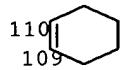
MSTR 1



G1 = 14



G2 = SO2
G3 = 110-10 109-13



G5 = CH2
G9 = loweralkylene (SO)
MPL: claim 1
NTE: additional ring formation also claimed
NTE: additional substitution also claimed

L20 ANSWER 10 OF 18 MARPAT COPYRIGHT 2002 ACS
AN 128:140729 MARPAT
TI Preparation of 3-[2-(4-arylazino)ethyl]-2-indolones and analogs as antiincontinence agents
IN Kato, Kaneyoshi; Doi, Takayuki; Sugiura, Yoshihiro; Kawada, Mitsuru
PA Takeda Chemical Industries, Ltd., Japan; Kato, Kaneyoshi; Doi, Takayuki; Sugiura, Yoshihiro; Kawada, Mitsuru
SO PCT Int. Appl., 185 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9802432	A1	19980122	WO 1997-JP2447	19970715
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9734607	A1	19980209	AU 1997-34607	19970715
	JP 10338672	A2	19981222	JP 1997-188831	19970715
PRAI	JP 1996-186025	19960716			
	JP 1997-87980	19970407			
	WO 1997-JP2447	19970715			

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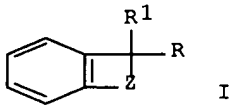

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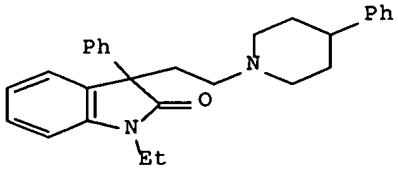
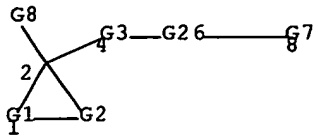


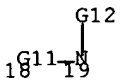
I

AB Title compds. [(ring-substituted) I; R = (CH2)mZ1Z2R2; R1,R2 = (un)substituted aryl; Z = atoms to complete a (heterocyclic) ring; Z1 = (un)substituted N-attached heterocyclylene; Z2 = bond or (oxo)alkylene; m = 1-3] were prepd. Thus, PhCH2CO2Et was arylated by 4-FC6H4NO2 and the cyclized product converted in 3 steps to title compd. II. Data for biol. activity of I were given.

MSTR 1

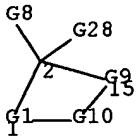


G1 = o-C6H4 (SO G20)
G9 = (0-2) CH2
G10 = 18-1 19-15

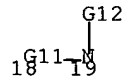


G11 = SO2
G12 = alkyl<(1-6)> (SO (1-5) G21)
G21 = phthalimido
DER: or salts
MPL: claim 1

MSTR 2



G1 = o-C6H4 (SO G20)
G9 = (0-2) CH2
G10 = 18-1 19-15

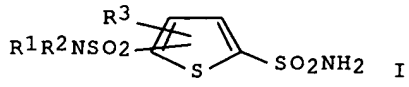


G11 = SO2
G22 = N
G30 = C(O)
DER: or salts
MPL: claim 21

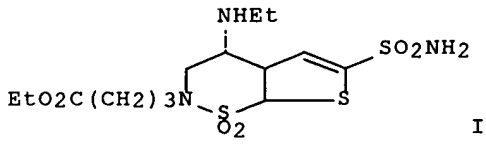
L20 ANSWER 11 OF 18 MARPAT COPYRIGHT 2002 ACS
AN 126:144282 MARPAT
TI Preparation of thieno[3,2-e]-1,2-thiazine-6-sulfonamides useful as carbonic anhydrase inhibitors
IN Dean, Thomas R.; May, Jesse A.; Chen, Hwang-hsing
PA Alcon Laboratories, Inc., USA
SO U.S., 17 pp. Cont.-in-part of U.S. 5,378,703.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5585377	A	19961217	US 1994-362716	19941223
	US 5153192	A	19921006	US 1990-618765	19901127
	ZA 9102580	A	19920129	ZA 1991-2580	19910408
	US 5240923	A	19930831	US 1991-775313	19911009
	US 5378703	A	19950103	US 1993-19011	19930218
PRAI	US 1990-506730	19900409			
	US 1990-618765	19901127			
	US 1991-775313	19911009			
	US 1993-19011	19930218			
	US 1990-506780	19900409			

GI



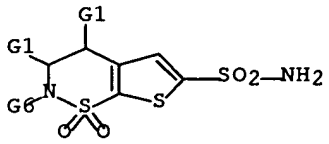
I



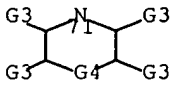
II

AB Thiophenesulfonamides [I; R1 and R3 are each satd. carbon atoms joined together to form an (un)substituted ring of 6 members; R2 = C1-8 alkyl substituted with COR7, C2-8 alkyl substituted with O2CR7, NHCOR7; R7 = C1-8 alkyl, (un)substituted C1-8 alkyl, C1-4 alkoxy, C2-4 alkoxy, (un)substituted NH2, Ph or R10; R10 = a monocyclic ring system selected from the group consisting of furan, thiophene, pyrrole, pyrazole, imidazole, triazole, tetrazole, oxazole, isoxazole, isothiazole, thiazole, thiadiazole, pyridine, pyrimidine, pyridazine, and pyrazine] and pharmaceutical compns. contg. the compds. useful in controlling intraocular pressure are disclosed. Methods for controlling intraocular pressure through administration of the compns. are also disclosed. These compds. are useful as carbonic anhydrase inhibitors and also for treatment of glaucoma (no data). Thus, (S)-N-(1,1-dimethylethyl)-3,4-dihydro-4-hydroxy-2H-thieno[3,2-e]-1,2- thiazine-6-sulfonamide 1,1-dioxide (prepn. given) was treated with NaH in DMF at 0.degree. for 20 min and alkylated by Et 4-bromobutyrate at room temp. for 6 h to give Et (S)-6-[[(1,1-dimethylethyl)amino]sulfonyl]-3,4-dihydro-4-hydroxy-2H-thieno[3,2-e]-1,2-thiazine-2-butanoate hydrochloride. The latter compd. was tosylated by tosyl chloride in THF contg. Et3N and underwent amination with aq. ethylamine at room temp. overnight, followed by treatment with CF3CO2H at room temp. for 18 h to give the title compd. (II.HCl). Ophthalmic gel, soln., and suspension contg. II.HCl were formulated.

MSTR 1A

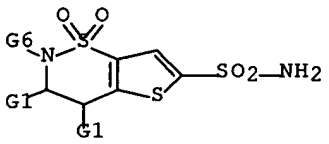


G1 = alkyl<(1-4)> (SO (1-) G8)
G8 = 71

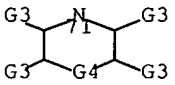


DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: G3 groups may form oxo
STE: 207-S

MSTR 1B

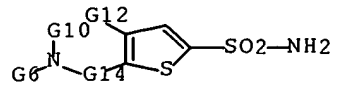


G1 = alkyl<(1-4)> (SO (1-) G8)
G8 = 71

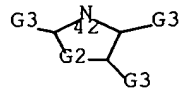


DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: G3 groups may form oxo
STE: 207-S

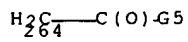
MSTR 2A



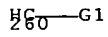
G5 = 42



G6 = 264

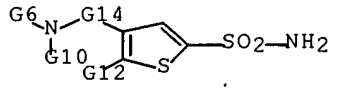


G14 = SO2
G15 = (1-3) 260

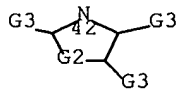


DER: or pharmaceutically acceptable salts
MPL: disclosure
NTE: substitution is restricted
NTE: G3 groups may form oxo
NTE: G6 and G10 may form a ring
STE: 207-S

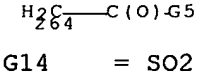
MSTR 2B



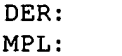
G5 = 42



G6 = 264



G14 = SO2
G15 = (1-3) 260

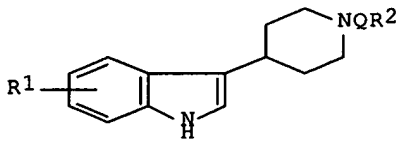


DER: or pharmaceutically acceptable salts
MPL: disclosure
NTE: substitution is restricted
NTE: G3 groups may form oxo
NTE: G6 and G10 may form a ring
STE: 207-S

L20 ANSWER 12 OF 18 MARPAT COPYRIGHT 2002 ACS
AN 125:167794 MARPAT
TI Preparation of indolylpiperidine dopaminergic agonists and antagonists
IN Maerz, Joachim; Greiner, hartmut; Seyfried, Christoph; Bartoszyk, Gerd
PA Merck Patent Gmbh, Germany
SO Ger. Offen., 15 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19500689	A1	19960718	DE 1995-19500689	19950112
	AU 9640862	A1	19960718	AU 1996-40862	19960108
	AU 704484	B2	19990422		
	CA 2166958	AA	19960713	CA 1996-2166958	19960110
	EP 722942	A1	19960724	EP 1996-100253	19960110
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	SK 281080	B6	20001107	SK 1996-43	19960110
	NO 9600125	A	19960715	NO 1996-125	19960111
	ZA 9600228	A	19960726	ZA 1996-228	19960111
	CN 1133840	A	19961023	CN 1996-100424	19960111
	JP 08253474	A2	19961001	JP 1996-20619	19960112
	US 5670511	A	19970923	US 1996-586273	19960116
PRAI	DE 1995-19500689		19950112		

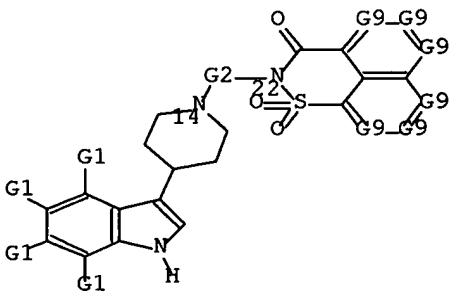
GI



I

AB The title compds. [I; Q = (un)branched alkylene or oxyalkylene; R1 = H, alkyl, alkoxy, halogen, CF3, OCF3, etc.; R2 = arylcarbonylamino, arylsulfonylamino, etc.], useful as CNS agents (no data), are prepd. and I-contg. formulations presented. Thus, 2-(2-chloroethyl)-2,3-dihydro-1H-benz[de]isoquinoline-1,3-dione was reacted with 4-(5-fluoroindol-3-yl)piperidine, producing 2-[2-[4-(5-fluoro-3-indolyl)piperidino]ethyl]-2,3-dihydro-1H-benz[de]isoquinoline-1,3-dione tetrahydrate, m.p. 235.degree..

MSTR 1B

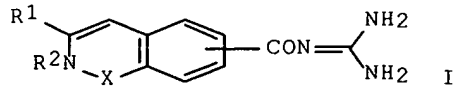


G2 = alkylene<(1-4)>
DER: and physiologically acceptable salts
MPL: claim 1

L20 ANSWER 13 OF 18 MARPAT COPYRIGHT 2002 ACS
AN 123:256744 MARPAT
TI Preparation of 1-oxo-1,2-dihydroisoquinolinoyl- and 1,1-dioxo-2H-1,2-benzothiazinoylguanidines as sodium-proton antiporter inhibitors.
IN Weichert, Andreas; Kleemann, Heinz-Werner; Lang, Hans-Jochen; Schwark, Jan-Robert; Albus, Udo; Scholz, Wolfgang
PA Hoechst A.-G., Germany
SO Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 659748	A1	19950628	EP 1994-120069	19941219
	EP 659748	B1	20000712		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	DE 4344550	A1	19950629	DE 1993-4344550	19931224
	CA 2138466	AA	19950625	CA 1994-2138466	19941219
	AT 194605	E	20000715	AT 1994-120069	19941219
	ES 2149233	T3	20001101	ES 1994-120069	19941219
	FI 9406039	A	19950625	FI 1994-6039	19941222
	AU 9481700	A1	19950629	AU 1994-81700	19941222
	AU 683320	B2	19971106		
	ZA 9410242	A	19950802	ZA 1994-10242	19941222
	JP 07206823	A2	19950808	JP 1994-319592	19941222
	CN 1107840	A	19950906	CN 1994-119193	19941222
	CN 1053899	B	20000628		
	HU 72749	A2	19960528	HU 1994-3759	19941222
	US 5547953	A	19960820	US 1994-362003	19941222
	NO 9405015	A	19950626	NO 1994-5015	19941223
PRAI	DE 1993-4344550		19931224		

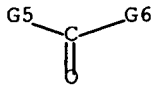
GI



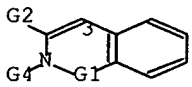
I

AB Title compds. [I; X = CO, SO2; R1 = H, (substituted) alkyl, cycloalkyl, Ph; R2 = H, alkyl], were prepd. Thus, Me 4-bromo-3-sulfamoylbenzoate (prepn. given) was coupled with phenylacetylene using (PPh3)2PdCl2, CuI, and BuNH2 to give the 4-phenylethynyl deriv., which was cyclized using Hg(OAc)2 in conc. H2SO4 to give Me 1,1-dioxo-3-phenyl-2H-1,2-benzothiazin-
7-carboxylate. The latter was transformed to 1,1-dioxo-3-phenyl-2H-1,2-benzothiazin-7-carboxylic acid guanidide hydrochloride. This inhibited sodium-proton antiporter from rabbit erythrocytes with IC50 = 0.9 .times.
10-6 M.

MSTR 2



G1 = SO2
G5 = 3



G6 = 77

MPL: claim 4

L20 ANSWER 14 OF 18 MARPAT COPYRIGHT 2002 ACS
AN 123:83377 MARPAT
TI Sulfonamides useful as carbonic anhydrase inhibitors
IN Dean, Thomas R.; Chen, Hwang-Hsing; May, Jesse A.
PA Alcon Laboratories, Inc., USA
SO U.S., 25 pp. Cont.-in-part of U.S. 5,240,923.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5378703	A	19950103	US 1993-19011	19930218
	US 5153192	A	19921006	US 1990-618765	19901127
	US 5240923	A	19930831	US 1991-775313	19911009
	US 5679670	A	19971021	US 1994-357623	19941215
	US 5585377	A	19961217	US 1994-362716	19941223

PRAI US 1990-506780 19900409
US 1990-618765 19901127
US 1991-775313 19911009
US 1990-506730 19900409
US 1993-19011 19930218
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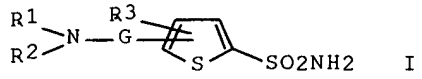
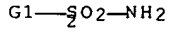


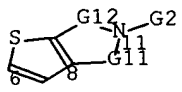
I

AB Sulfonamides I [R1 and R3 are each satd. carbon atoms joined together to form a ring of 6 members in which said carbon atoms can be unsubstituted or substituted optionally with R4; R2 is e.g., H; C1-8 alkyl; C2-8 alkyl substituted with OH; R4 is e.g., OH; C1-4 alkyl unsubstituted or substituted optionally with OH] and pharmaceutical compns. contg. the compds. useful in controlling intraocular pressure (no data) are disclosed. Methods for controlling intraocular pressure through administration of the compns. are also disclosed. Ophthalmic formulations were given.

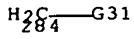
MSTR 2



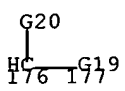
G1 = 6



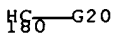
G2 = 284



G11 = 176-8 177-11



G12 = SO2
G19 = (0-2) 180

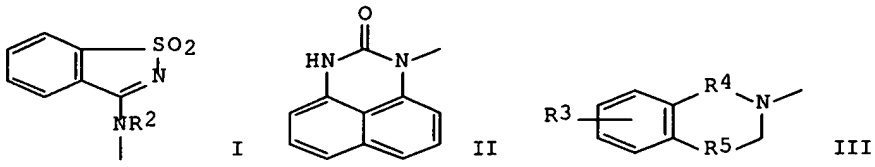


G31 = morpholino
DER: or pharmaceutically acceptable salts
MPL: disclosure
NTE: substitution is restricted

L20 ANSWER 15 OF 18 MARPAT COPYRIGHT 2002 ACS
AN 118:219850 MARPAT
TI Preparation of serotoninergic antagonists for pharmaceuticals
IN Damour, Dominique; Labaudiniere, Richard; Malleron, Jean Luc; Mignani, Serge
PA Rhone-Poulenc Rorer SA, Fr.
SO Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

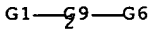
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 511073	A1	19921028	EP 1992-401109	19920421
	R: PT				
	FR 2675802	A1	19921030	FR 1991-5170	19910426
	FR 2675802	B1	19931224		
	CA 2103562	AA	19921027	CA 1992-2103562	19920421
	WO 9219624	A1	19921112	WO 1992-FR354	19920421
	W: CA, FI, JP, NO, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	EP 583322	A1	19940223	EP 1992-909776	19920421
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 06507162	T2	19940811	JP 1992-509239	19920421
	NO 9303121	A	19930901	NO 1993-3121	19930901
	US 5563144	A	19961008	US 1995-470726	19950606
PRAI	FR 1991-5170	19910426			
	WO 1992-FR354	19920421			
	US 1993-137091	19931026			

GI

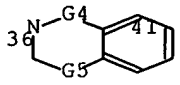


AB R1(CH2)n-Het (where R1 = I, II, III; n = 1-4; Het = e.g., 4-phenyl-1,2,3,6-tetrahydro-1-pyridyl; R2 = H, Ph; R3 = H, halo, heterocycle; R4 = CO, SO2; R5 = SiMe2, CMe2) are prepd. for use in treatment of diseases involving serotonin. Thus, 3-(3-chloropropyl)-1,1-dimethyl-5-fluoro-4-oxo-1,2,3,4-tetrahydro-3,1-benzazasiline was treated with 1-phenylpiperazine in the presence of Et3N in toluene soln. to give 1,1-dimethyl-5-fluoro-4-oxo-3-[3-(4-phenyl-1-piperazinyl)propyl]-1,2,3,4-tetrahydro-3,1-benzazasiline. Tablets contg. 50 mg of this compd. were prepd.

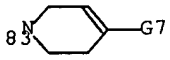
MSTR 1



G3 = 36-2 41-34



G4 = SO2
G5 = CMe2
G6 = 83



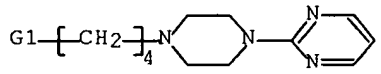
G9 = (1-4) CH2
DER: and mineral or organic acid salts
MPL: claim 1
NTE: substitution is restricted

L20 ANSWER 16 OF 18 MARPAT COPYRIGHT 2002 ACS
AN 115:239772 MARPAT
TI Pharmaceutical compositions containing [4-(2-pyrimidinyl)-1-piperazinyl]butyl derivatives for treatment of intestinal motility disorders
IN Croci, Tiziano; Bianchetti, Alberto; Manara, Luciano
PA Midy S.p.A., Italy
SO Fr. Demande, 12 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

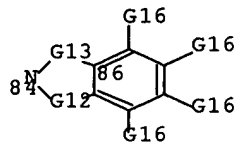
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI FR 2654934	A1	19910531	FR 1989-15734	19891129
FR 2654934	B1	19940930		

AB Pharmaceutical compns. contg. the title derivs. (Markush included) are provided for treatment of intestinal motility disorders, esp. constipation. Tablet formulations of buspirone-HCl and of gepirone-HCl and a dragee formulation of buspirone-HCl are included.
Anticonstipation activity was tested in rats.

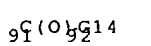
MSTR 1



G1 = 84



G12 = SO2
G13 = 91-84 92-86 / 92-84 91-86



G14 = CH2
DER: and pharmaceutically acceptable salts
MPL: claim 1

L20 ANSWER 17 OF 18 MARPAT COPYRIGHT 2002 ACS
AN 113:115087 MARPAT
TI Aminomethyltetralins, -chromanes, and related compounds as CNS agents
IN Junge, Bodo; Schohe, Rudolf; Seidel, Peter Rudolf; Glaser, Thomas; Traber,
Joerg; Benz, Ulrich; Schuurman, Teunis; De Vry, Jean Marie Viktor
PA Bayer A.-G., Fed. Rep. Ger.
SO Ger. Offen., 63 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3901814	A1	19900201	DE 1989-3901814	19890123
	NO 8902892	A	19900129	NO 1989-2892	19890713
	NO 177144	B	19950418		
	NO 177144	C	19950726		
	EP 352613	A2	19900131	EP 1989-113220	19890719
	EP 352613	A3	19901128		
	EP 352613	B1	19940420		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	AT 104668	E	19940515	AT 1989-113220	19890719
	ES 2052829	T3	19940716	ES 1989-113220	19890719
	FI 8903571	A	19900129	FI 1989-3571	19890726
	FI 95246	B	19950929		
	FI 95246	C	19960110		
	AU 8938989	A1	19900201	AU 1989-38989	19890726
	AU 627478	B2	19920827		
	DD 287500	A5	19910228	DD 1989-331171	19890726
	DK 8903713	A	19900129	DK 1989-3713	19890727
	ZA 8905713	A	19900425	ZA 1989-5713	19890727
	HU 58036	A2	19920128	HU 1989-3833	19890727
	IL 91126	A1	19950330	IL 1989-91126	19890727
	CN 1039809	A	19900221	CN 1989-105487	19890728
	CN 1024667	B	19940525		
	JP 02096552	A2	19900409	JP 1989-194467	19890728
	JP 2963107	B2	19991012		
	US 5137901	A	19920811	US 1989-412694	19890926
	US 5300523	A	19940405	US 1992-864953	19920407
	US 5506246	A	19960409	US 1993-171941	19931221
	US 5585392	A	19961217	US 1995-484541	19950607

PRAI	DE 1988-3825609	19880728
	DE 1989-3901814	19890123
	US 1989-378732	19890712
	EP 1989-113220	19890719
	US 1989-412694	19890926
	US 1992-864853	19920407
	US 1992-864953	19920407
	US 1993-171941	19931221

GI

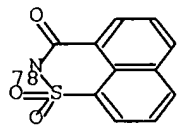
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; A, D = CH2, O, S, NH, CH, N; B, C = CH2, CH, N; E, F
= H, alkyl, alkoxy, halo, NO2, cyano, CF3, CF3O, aminocarbonyl; EF = atoms
to complete an (unsatd.) carbocyclic ring; Y = (unsatd.) alkylene; Z = NH2, CO2H, alkoxycarbonyl, alkylthio, alkylsulfonyl, CONH2, NHQ1, Q2-Q5, etc.; R1 = H, alkyl, aralkyl, heteroarylalkyl, YZ] were prepd. as 5-HT1A antagonists (no data). Thus, a mixt. of 2-aminomethyl-8-methoxytetralin,
Et3N, 2-(4-bromobutyl)-1,2-benzisothiazol-3(2H)-one 1,1-dioxide, and DMF was stirred 24 h at 40.degree. to give 15% dialkylated deriv. II and 28% of the monoalkylated deriv.

MSTR 5C

G5—C(O)—G1—G2

G1 = Ak<(1-5)>
G2 = 78

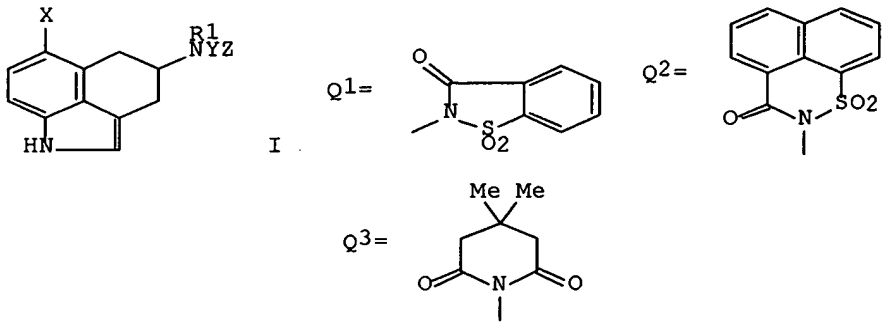


G5 = imidazolyl
MPL: claim 5

L20 ANSWER 18 OF 18 MARPAT COPYRIGHT 2002 ACS
AN 112:178657 MARPAT
TI Preparation of 1,3,4,5-tetrahydrobenz[c,d]indoles as drugs
IN Junge, Bodo; Richter, Bernd; Glaser, Thomas; Traber, Joerg
PA Bayer A.-G., Fed. Rep. Ger.
SO Eur. Pat. Appl., 50 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 332968	A1	19890920	EP 1989-103871	19890306
	EP 332968	B1	19930602		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	DE 3809155	A1	19890928	DE 1988-3809155	19880318
	NO 8900892	A	19890919	NO 1989-892	19890302
	AT 90093	E	19930615	AT 1989-103871	19890306
	ES 2058365	T3	19941101	ES 1989-103871	19890306
	US 5021438	A	19910604	US 1989-324518	19890315
	IL 89623	A1	19930114	IL 1989-89623	19890315
	FI 8901252	A	19890919	FI 1989-1252	19890316
	DD 283606	A5	19901017	DD 1989-326650	19890316
	DK 8901317	A	19890919	DK 1989-1317	19890317
	ZA 8902049	A	19891129	ZA 1989-2049	19890317
	HU 50767	A2	19900328	HU 1989-1258	19890317
	HU 204034	B	19911128		
	JP 02204479	A2	19900814	JP 1989-64053	19890317
	CN 1036566	A	19891025	CN 1989-101472	19890318
	AU 8931526	A1	19890928	AU 1989-31526	19890320
	AU 614343	B2	19910829		
PRAI	DE 1988-3809155	19880318			
	EP 1989-103871	19890306			

GI



AB The title compds. [I; R1 = H, alkyl, aralkyl, heteroarylalkyl; X = H, OMe,
OH, SMe, halo, cyano, CONH2; Y = alkylene; Z = cyano, NR2R3, OR4, SOmR5, CO2R6, CONR7R8; R2, R3 = H, (cyclo)alkyl, alkenyl, (substituted) aryl,

aralkyl, COR9, SO2R10; R2R3 = Q1, Q2, Q3, etc.; R4 = H, (cyclo)alkyl, alkenyl, aryl, aralkyl, acyl, alkoxycarbonyl, etc.; R5 = (cyclo)alkyl, alkenyl, (substituted) aryl, aralkyl, NR7R8; R6 = H, (cyclo)alkyl, alkenyl, aryl, aralkyl; R7, R8 = H, R6; R9 = H, amino, alkyl, alkoxy, (substituted) aryl, aralkyl, aralkoxy, heteroaryl; R10 = (substituted) alkyl, aryl, aralkyl, heteroaryl, NR7R8; m = 0-2], useful as central nervous system agents, were prepd. Thus, 6-methoxy-4-amino-1,3,4,5-tetrahydrobenz[c,d]indole and Et3N in DMFwere treated dropwise with 2-(4-bromobutyl)-1,2-benzisothiazol-3(2H)-one 1,1-dioxide in DMF and the mixt. was stirred 4 h at 50.degree. to give I [R1 = H, X = OMe, Y = (CH2)4, Z = Q1]. I bound to 5 HT1 receptors with IC values of 0.7-8 nmol/L. Several I showed antidepressant activity.

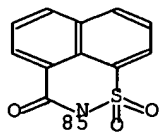
MSTR 6C

G4—G5

G3 = Ak<(-5)>
G4 = 17

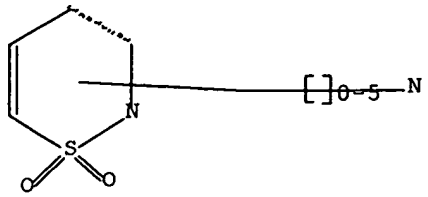
17 G3—C(O)-G8

G5 = 85



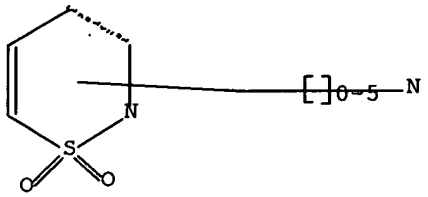
G8 = imidazolyl
MPL: claim 6

=> d l1; d l5; d his
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

L5 HAS NO ANSWERS
L5 STR



Structure attributes must be viewed using STN Express query preparation.

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FILE 'REGISTRY' ENTERED AT 14:39:04 ON 01 FEB 2002
L1 STRUCTURE UPLOADED
L2 8 S L1
L3 274 S L1 FUL

FILE 'CAPLUS' ENTERED AT 14:39:36 ON 01 FEB 2002
L4 52 S L3

FILE 'STNGUIDE' ENTERED AT 14:39:44 ON 01 FEB 2002

FILE 'REGISTRY' ENTERED AT 14:40:06 ON 01 FEB 2002
L5 STRUCTURE UPLOADED
L6 2 S L5 SAM SUB=L3
L7 18 S L5 FUL SUB=L3
L8 256 S L3 NOT L7

FILE 'CAPLUS' ENTERED AT 14:41:08 ON 01 FEB 2002
L9 49 S L8

FILE 'BEILSTEIN' ENTERED AT 14:45:38 ON 01 FEB 2002
L10 5 S L1
L11 50 S L1 FUL
L12 2 S L5 SUB=L11 FUL
L13 48 S L11 NOT L12
L14 22 S L13 NOT L9

FILE 'MARPAT' ENTERED AT 14:48:04 ON 01 FEB 2002
L15 5 S L1
L16 30 S L1 FUL

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L17          19 S L16 NOT L9
L18           0 S L5  SUB=L16 SAM
L19           1 S L5 FUL SUB=L16
L20          18 S L17 NOT L19

=> log y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                  199.30     931.11

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY    SESSION
CA SUBSCRIBER PRICE                                  -10.62     -40.98

STN INTERNATIONAL LOGOFF AT 14:51:38 ON 01 FEB 2002
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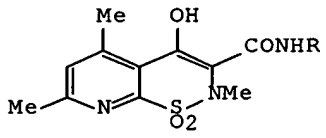
L9 ANSWER 25 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1991:102026 CAPLUS
DN 114:102026
TI Preparation of amides of 2H-4-hydroxy-2,5,7-trimethylpyrido[3,2-e]-1,2-thiazine-2-carboxylic acid 1,1-dioxide as antiinflammatories and immunosuppressants
IN Malinka, Wieslaw; Zawisza, Tadeusz; Gieldanowski, Jerzy
PA Akademia Medyczna, Wroclaw, Pol.
SO Pol., 3 pp.
CODEN: POXXA7
DT Patent
LA Polish
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI PL 139585	B2	19870228	PL 1985-253057	19850422

GI

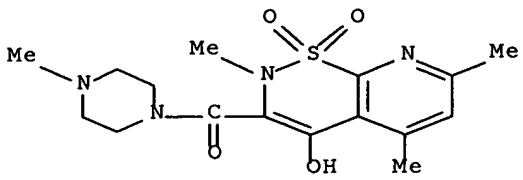


I

AB The title compds. (I; R = Ph, cyclohexyl, 2-thiazolyl, 2-pyridyl; or NHR is replaced by 4-methylpiperazino), with antiinflammatory and immunosuppressive activities (no data), were prepd. by amidation of Et 2H-4-hydroxy-2,5,7-trimethylpyrido[3,2-e]-1,2-thiazine-3-carboxylate 1,1-dioxide with corresponding amines in boiling xylene under N in the presence of type 4A mol. sieves (Soxhlet extractor, 2 equiv amine). Resp. yields were 81, 90, 84, 82, and 35%.

IT **109418-08-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiinflammatory and immunosuppressant)

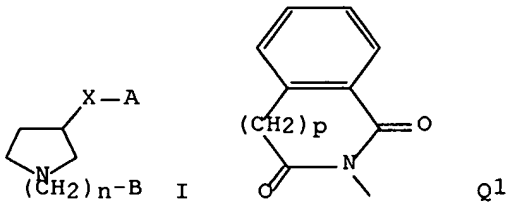
RN 109418-08-8 CAPLUS
CN Piperazine, 1-[(4-hydroxy-2,5,7-trimethyl-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-3-yl)carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

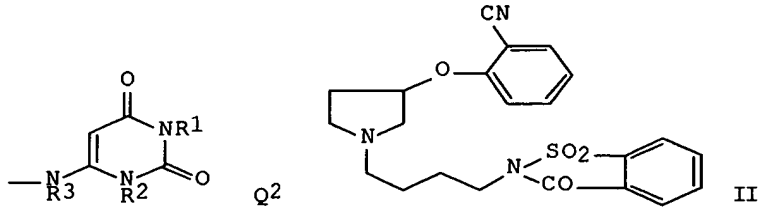


L9 ANSWER 26 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1990:235290 CAPLUS
DN 112:235290
TI Preparation of 1,3-disubstituted pyrrolidines as serotonin (partial) agonists and antagonists
IN Schohe, Rudolf; Seidel, Peter Rudolf; Traber, Jorg; Glaser, Thomas
PA Bayer A.-G., Fed. Rep. Ger.
SO Eur. Pat. Appl., 50 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 338331	A1	19891025	EP 1989-106023	19890406
	EP 338331	B1	19921021		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
	DE 3835291	A1	19891102	DE 1988-3835291	19881015
	AT 81652	E	19921115	AT 1989-106023	19890406
	ES 2045229	T3	19940116	ES 1989-106023	19890406
	US 5037841	A	19910806	US 1989-336977	19890412
	AU 8933059	A1	19891026	AU 1989-33059	19890414
	AU 625817	B2	19920716		
	IL 89973	A1	19930131	IL 1989-89973	19890417
	DK 8901864	A	19891020	DK 1989-1864	19890418
	JP 01311059	A2	19891215	JP 1989-96549	19890418
	ZA 8902823	A	19891227	ZA 1989-2823	19890418
	US 5274097	A	19931228	US 1991-682785	19910409
	US 5453437	A	19950926	US 1993-118376	19930908
PRAI	DE 1988-3812989		19880419		
	DE 1988-3835291		19881015		
	EP 1989-106023		19890406		
	US 1989-336927		19890412		
	US 1989-336977		19890412		
	US 1991-682785		19910409		

OS CASREACT 112:235290; MARPAT 112:235290
GI





AB The title compds. [I; A = (fused) heteroaryl; B = cyano, CO2R1, CONR2R3, SO2NR2R3, SOmR4, NR5R6, C.tplbond.CCH2NR5R6; X = OCH2, CH2O, O; R1 = H, C1-12 alkyl, C5-8 cycloalkyl, C2-12 alkenyl, aryl, aralkyl; R2, R3 = H, C1-17 alkyl, (un)substituted aryl, etc.; R5,R6 = COR2, SO2R8, any of definitions for R2, R3; R7 = NHR9, C1-12 alkyl, C1-17 alkoxy, etc.; R8 = C5-8 cycloalkyl, (un)substituted C1-12 alkyl, (un)substituted (hetero)aryl, NR2R3; R9 = H, C5-8 cycloalkyl, (un)substituted C1-12 alkyl, aralkyl, (hetero)aryl, etc.; NR5R6 can form a (fused) heterocyclic ring, e.g., Q1, Q2, etc.; n = 1-10; n = 0-2] and their salts were prepd. as 5-hydroxytryptamine agonists, partial agonists (no data), and antagonists, useful for treatment of serotoninergic system-related CNS diseases. A mixt. of 3-(2-cyanophenoxy)pyrrolidine, 2-(4-bromobutyl)benzothiazol-3(2H)-one-1,1-dioxide, and Et3N in DMF was stirred 20 h at 45.degree. to give II which was converted to its oxalate. The latter in vitro antagonized serotonin with an inhibition const. Ki = 2 nM.

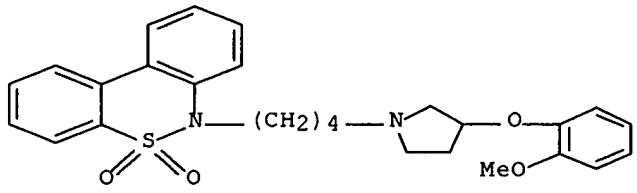
IT **127341-98-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as serotonin agonist or antagonist)

RN 127341-98-4 CAPLUS

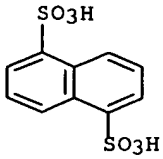
CN 1,5-Naphthalenedisulfonic acid, compd. with 6-[4-[3-(2-methoxyphenoxy)-1-pyrrolidinyl]butyl]-6H-dibenzo[c,e][1,2]thiazine 5,5-dioxide (1:2) (9CI) (CA INDEX NAME)

CM 1

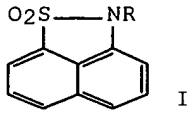
CRN 127341-97-3
CMF C27 H30 N2 O4 S



CM 2
CRN 81-04-9
CMF C10 H8 O6 S2

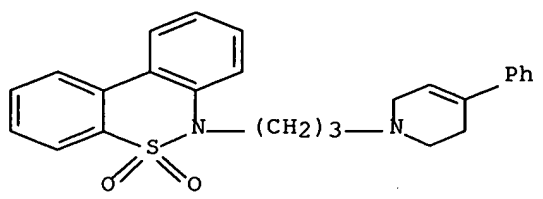


L9 ANSWER 24 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1991:492161 CAPLUS
DN 115:92161
TI Naphthosultam derivatives: a new class of potent and selective 5-HT2 antagonists
AU Malleron, Jean Luc; Comte, Marie Therese; Gueremy, Claude; Peyronel, Jean Francis; Truchon, Alain; Blanchard, Jean Charles; Doble, Adam; Piot, Odile; Zundel, Jean Luc; et al.
CS Cent. Rech. Vitry Alfortville, Rhone-Poulenc Rorer, Vitry-sur-Seine, F-94403, Fr.
SO J. Med. Chem. (1991), 34(8), 2477-83
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
GI



I

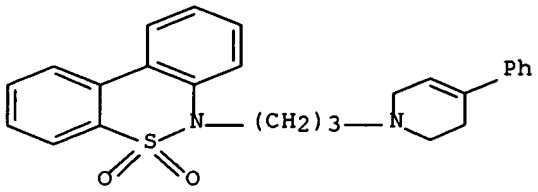
AB A series of 2-(aminoalkyl)naphtho[1,8-cd]isothiazole 1,1-dioxides I (R = aminoalkyl) was synthesized from I (R = H) and examd. in various receptor binding tests. Most compds. demonstrated high affinity for the 5-HT2 receptor with moderate to high selectivity. A member of this series, compd. I [R = 3-[4-(p-fluorophenyl)piperazino]propyl] (RP 62203), displays high 5-HT2 receptor affinity (Ki = 0.26 nM), which is resp. more than 100 and 1000 times higher than its affinity for .alpha.1 (Ki = 38 nM) and D2 (Ki >1000 nM) receptors. This compd. is a potent orally effective and long lasting 5-HT2 antagonist in the mescaline-induced head-twitches test in mice and rats.
IT **134133-55-4P 134133-67-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 134133-55-4 CAPLUS
CN 6H-Dibenzo[c,e][1,2]thiazine, 6-[3-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)propyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)



RN 134133-67-8 CAPLUS
CN 6H-Dibenzo[c,e][1,2]thiazine, 6-[3-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)propyl]-, 5,5-dioxide, ethanedioate (1:1) (9CI) (CA INDEX NAME)

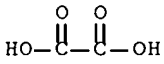
CM 1

CRN 134133-55-4
CMF C26 H26 N2 O2 S

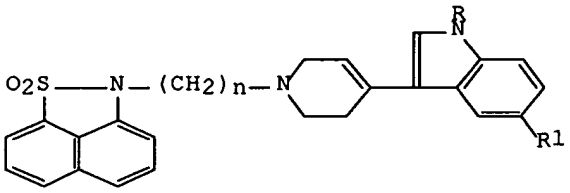


CM 2

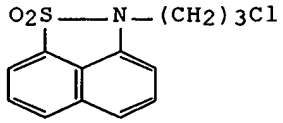
CRN 144-62-7
CMF C2 H2 O4



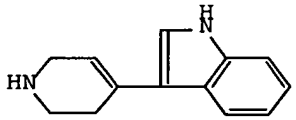
L9 ANSWER 21 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1993:408726 CAPLUS
DN 119:8726
TI New indole derivatives as potent and selective serotonin uptake inhibitors
AU Malleron, Jean Luc; Gueremy, Claude; Mignani, Serge; Peyronel, Jean Francois; Truchon, Alain; Blanchard, Jean Charles; Doble, Adam; Laduron, Pierre; Piot, Odile; et al.
CS Dep. Chim. Pharm. Biol., Cent. Recher. Vitry-Alfortville, Vitry-sur-Seine, F.94403, Fr.
SO J. Med. Chem. (1993), 36(9), 1194-202
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
GI



I



II

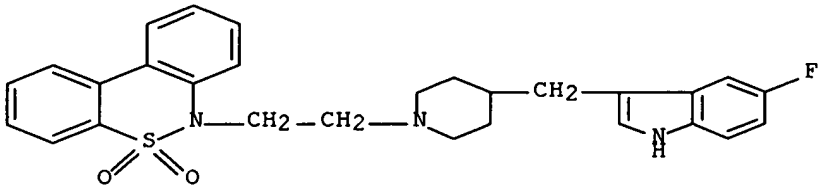


III

AB A series of new indole derivs., e.g., I (R = H, Me, COMe, R1 = H, OMe, OH, Cl, Br, F, n = 2-4), has been prepd. in the search for novel 5-HT uptake inhibitors. These compds. were obtained by the condensation of N-(chloroalkyl)naphthalenesultam derivs., e.g., II, with the appropriate amine, e.g., pyridinylindole III, in presence of a base, at reflux of DMF or THF. The yields were moderate (12-56%), except for a piperazine deriv. (85%). The affinity of the compds. for uptake site and 5-HT2, .alpha.1, and D2 receptors was measured. Some compds. were studied in vivo by their potentiating effect of 5-HTP-induced symptomatol. The most potent and selective (uptake, 5-HT2 vs. A1, D2 sites) compds. contain a 3-[(4-piperidinyl)methyl]indole moiety. 5-Fluoro-3-[(4-piperidinyl)methyl]indole itself displayed a high affinity for the uptake site but was devoided of in vivo activity. N-Methylation of this compd. abolished the affinity. In contrast N-substitution by a two-carbon chain linked to a naphthalenesultam or related heterocycle led to compds. exhibiting high affinity for the uptake site. One of them, 1-[2-[4-((5-fluoro-1H-indol-3-yl)methyl)-1-piperidinyl]ethyl]-5,6-dihydro-

1H,4H-1,2,5-thiadiazolo[4,3,2-ij]quinoline 2,2-dioxide was found as active
as fluoxetine in vivo.

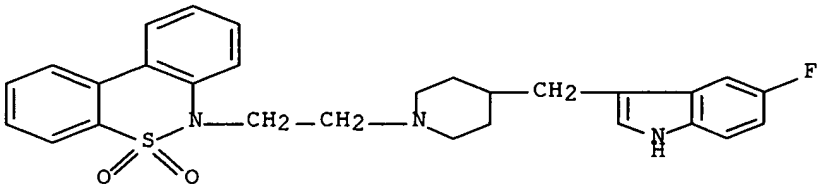
IT **147595-42-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and serotonin antagonist activity of)
RN 147595-42-4 CAPLUS
CN 6H-Dibenzo[c,e][1,2]thiazine, 6-[2-[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-
piperidinyl]ethyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)



IT **148132-68-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 148132-68-7 CAPLUS
CN 6H-Dibenzo[c,e][1,2]thiazine, 6-[2-[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-
piperidinyl]ethyl]-, 5,5-dioxide, ethanedioate (1:1) (9CI) (CA INDEX NAME)

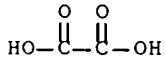
CM 1

CRN 147595-42-4
CMF C28 H28 F N3 O2 S



CM 2

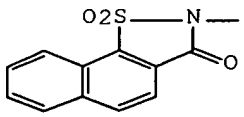
CRN 144-62-7
CMF C2 H2 O4

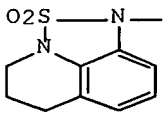


L9 ANSWER 23 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1991:583316 CAPLUS
DN 115:183316
TI Preparation and formulation of thiadiazolo[4,3,2-ij]quinolines and analogs
as serotonin antagonists
IN Comte, Marie Therese; Gueremy, Claude; Malleron, Jean Luc; Peyronnel, Jean
Francois; Truchon, Alain
PA Rhone-Poulenc Sante, Fr.
SO Eur. Pat. Appl., 19 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 433149	A2	19910619	EP 1990-403502	19901210
	EP 433149	A3	19920318		
	EP 433149	B1	19940216		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	FR 2655652	A1	19910614	FR 1989-16459	19891213
	FR 2655652	B1	19940610		
	FR 2662696	A2	19911206	FR 1990-6943	19900605
	AT 101612	E	19940315	AT 1990-403502	19901210
	ES 2062465	T3	19941216	ES 1990-403502	19901210
	FI 9006108	A	19910614	FI 1990-6108	19901212
	NO 9005368	A	19910614	NO 1990-5368	19901212
	CA 2032104	AA	19910614	CA 1990-2032104	19901212
	AU 9067981	A1	19910620	AU 1990-67981	19901212
	AU 643241	B2	19931111		
	HU 56566	A2	19910930	HU 1990-8242	19901212
	HU 209301	B	19940428		
	ZA 9009982	A	19911030	ZA 1990-9982	19901212
	JP 03255063	A2	19911113	JP 1990-410112	19901213
	US 5130313	A	19920714	US 1990-627101	19901213
PRAI	FR 1989-16459		19891213		
	FR 1990-6943		19900605		
	EP 1990-403502		19901210		

OS MARPAT 115:183316
GI

Q1= 

Q2= 

AB R2R3N(CH2)nR1 [I; R1 = (substituted) 1,2,3,6-tetrahydro-1-pyridyl, 1-piperazinyl, etc.; R2 = SO2R4; R4 = alkyl, Ph; R3 = Ph, naphthyl; or NR2R3 = Q1, Q2, etc.; n = 2 to 4] were prepd. I are useful as serotonin antagonists (no data). Treatment of 5,6-dihydro-1H,4H-1,2,5-

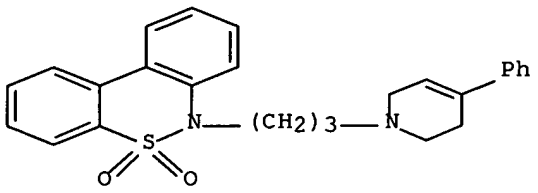
thiadiazolo[4,3,2-ij]quinoline 2,2-dioxide with NaH, followed by reaction
with 1-(3-chloropropyl)-4-phenyl-1,2,3,6-tetrahydropyridine, gave 1-[3-(4-phenyl-1,2,3,6-tetrahydro-1-pyridyl)propyl]-5,6-dihydro-1H,4H-1,2,5-thiadiazolo[4,3,2-ij]quinoline 2,2-dioxide.

IT **134133-67-8P 136481-49-7P 136481-50-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as serotonin antagonist)

RN 134133-67-8 CAPLUS
CN 6H-Dibenzo[c,e][1,2]thiazine, 6-[3-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)propyl]-, 5,5-dioxide, ethanedioate (1:1) (9CI) (CA INDEX NAME)

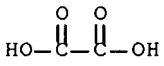
CM 1

CRN 134133-55-4
CMF C26 H26 N2 O2 S

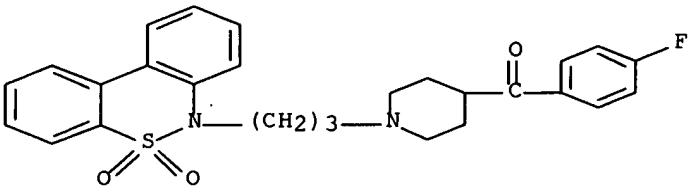


CM 2

CRN 144-62-7
CMF C2 H2 O4

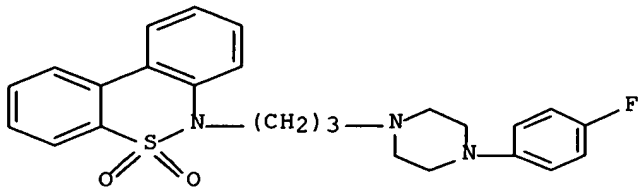


RN 136481-49-7 CAPLUS
CN Methanone, [1-[3-(5,5-dioxido-6H-dibenzo[c,e][1,2]thiazin-6-yl)propyl]-4-piperidinyl](4-fluorophenyl)- (9CI) (CA INDEX NAME)

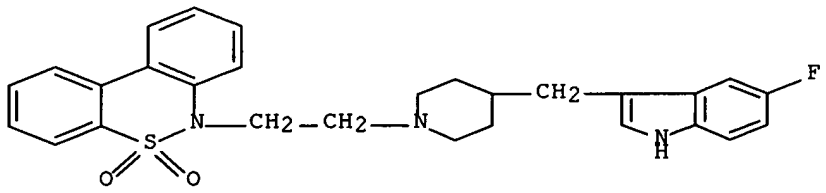


RN 136481-50-0 CAPLUS
CN 6H-Dibenzo[c,e][1,2]thiazine, 6-[3-[4-(4-fluorophenyl)-1-

piperazinyl]propyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)



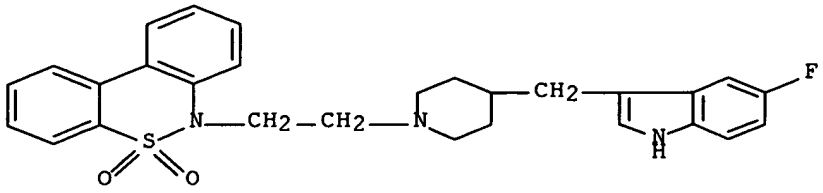
L9 ANSWER 19 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1993:517168 CAPLUS
DN 119:117168
TI New indole derivatives as potent and selective serotonin uptake inhibitors. [Erratum to document cited in CA119(2):8726n]
AU Malleron, Jean Luc; Gueremy, Claude; Mignani, Serge; Peyronel, Jean Francois; Truchon, Alain; Blanchard, Jean Charles; Doble, Adam; Laduron, Pierre; Piot, Odile; et al.
CS Dep. Chim. Pharm. Biol., Cent. Recher. Vitry-Alfortville, Vitry-sur-Seine, F94403, Fr.
SO J. Med. Chem. (1993), 36(15), 2242
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB The omission of an author name has been cor. The error was not reflected in the abstr. or the index entries.
IT **147595-42-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and serotonin antagonist activity of (Erratum))
RN 147595-42-4 CAPLUS
CN 6H-Dibenzo[c,e][1,2]thiazine, 6-[2-[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]ethyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)



IT **148132-68-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of (Erratum))
RN 148132-68-7 CAPLUS
CN 6H-Dibenzo[c,e][1,2]thiazine, 6-[2-[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]ethyl]-, 5,5-dioxide, ethanedioate (1:1) (9CI) (CA INDEX NAME)

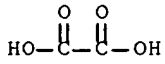
CM 1

CRN 147595-42-4
CMF C28 H28 F N3 O2 S



CM 2

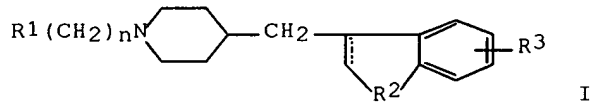
CRN 144-62-7
CMF C2 H2 O4



L9 ANSWER 20 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1993:472498 CAPLUS
DN 119:72498
TI Preparation of 1-alkyl-4-(arylmethyl)piperidines and their pharmaceutical formulations as inhibitors of 5-HT reuptake
IN Damour, Dominique; Labaudiniere, Richard; Malleron, Jean Luc; Mignani, Serge
PA Rhone-Poulenc Rorer SA, Fr.
SO Fr. Demande, 43 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

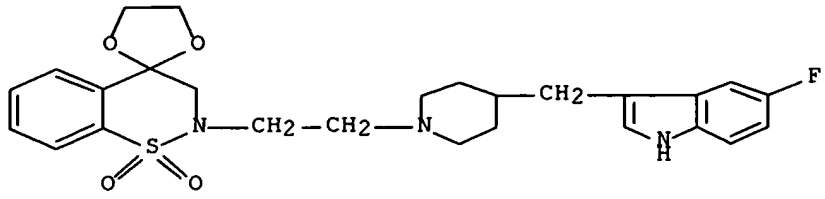
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2675801	A1	19921030	FR 1991-5048	19910424

OS MARPAT 119:72498
GI

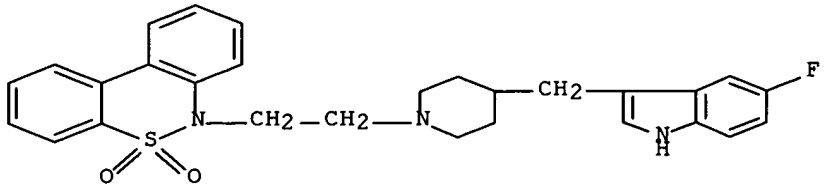


I

AB Title piperidines I [R1 = OH, (un)substituted Ph, heterocyclyl, R4SO2NR5 (R4 = Ph, quinolyl, R5 = H, alkyl), or N(CO2R8)NHCO2R8 (R8 = alkyl); R2 = CH2, CH2CH2, NH, N-alkylimino; R3 = H, halo; R4 = Ph, quinolyl; n = 1-3; partial bond represents single or double C-C bond, where for R2 = NH, it is a double bond, and for R2 = CH2CH2, it a single bond] are prepd. by condensation of an appropriate alkyl halide R1(CH2)nX with 4-(arylmethyl)piperidine. The prepn. of racemates and enantiomers of compds. I contg. at least one chiral center, and their salts with mineral or org. acids, are claimed. Formulations of I for medical use are given (3 examples). The compds. exhibit inhibitory activity of 5-HT recapture.
IT **148287-49-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and acid hydrolysis of)
RN 148287-49-4 CAPLUS
CN Spiro[4H-1,2-benzothiazine-4,2'-[1,3]dioxolane], 2-[2-[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]ethyl]-2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



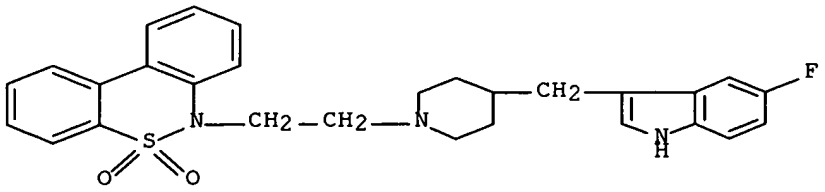
IT **147595-42-4P 148132-68-7P 148287-50-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as inhibitor of 5-HT recapture)
RN 147595-42-4 CAPLUS
CN 6H-Dibenzo[c,e][1,2]thiazine, 6-[2-[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-
piperidinyl]ethyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)



RN 148132-68-7 CAPLUS
CN 6H-Dibenzo[c,e][1,2]thiazine, 6-[2-[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-
piperidinyl]ethyl]-, 5,5-dioxide, ethanedioate (1:1) (9CI) (CA INDEX NAME)

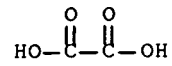
CM 1

CRN 147595-42-4
CMF C28 H28 F N3 O2 S



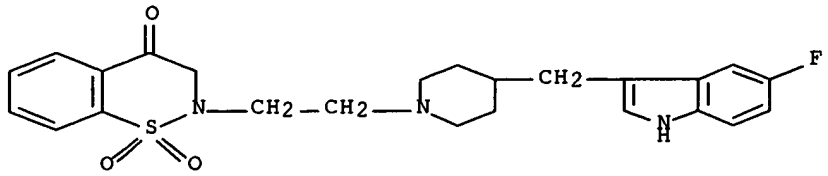
CM 2

CRN 144-62-7
CMF C2 H2 O4

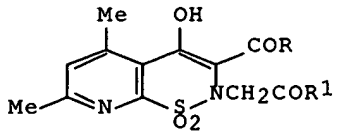


RN 148287-50-7 CAPLUS

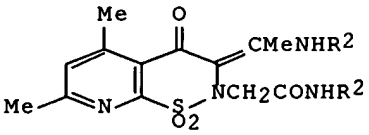
CN 4H-1,2-Benzothiazin-4-one, 2-[2-[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]ethyl]-2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



L9 ANSWER 16 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1994:534056 CAPLUS
DN 121:134056
TI Synthesis of some amides of 4-hydroxy-5,7-dimethyl-2H-pyrido[3,2-e]-1,2-thiazine-2-acetic acid 1,1-dioxide
AU Malinka, W.; Deren, A.
CS Dep. Chem. Drugs, Sch. Med., Wroclaw, 50-137, Pol.
SO Pol. J. Chem. (1992), 66(12), 1953-60
CODEN: PJCHDQ; ISSN: 0137-5083
DT Journal
LA English
GI

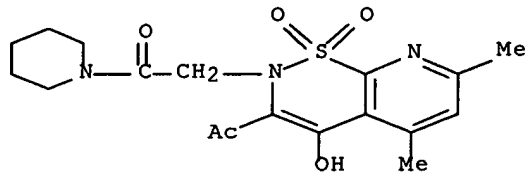


I

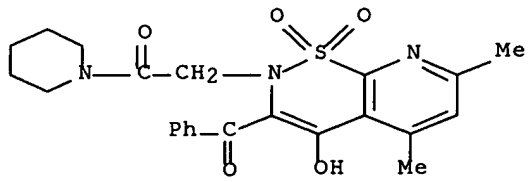


II

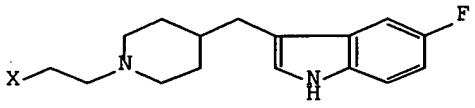
AB 3-Acetyl(benzoyl)-4-hydroxy-5,7-dimethyl-2H-pyrido[3,2-e]-1,2-thiazine-2-acetic acid 1,1-dioxides I (R = Me, Ph; R1 = OH) react on treatment with SOCl2 and alkylamine to yield the title amides I (R = Me, Ph; R1 = cyclohexylamino, piperidino, butylamino, allylamino) with potential antiinflammatory activity. In reaction of acid I (R = Me; R1 = OH) with primary n-alkylamines amido-enamines II (R2 = Bu, allyl, Me) were obtained unexpectedly.
IT **157253-66-2P 157253-70-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 157253-66-2 CAPLUS
CN Piperidine, 1-[(3-acetyl-4-hydroxy-5,7-dimethyl-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-2-yl)acetyl]- (9CI) (CA INDEX NAME)



RN 157253-70-8 CAPLUS
CN Piperidine, 1-[(3-benzoyl-4-hydroxy-5,7-dimethyl-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-2-yl)acetyl]- (9CI) (CA INDEX NAME)

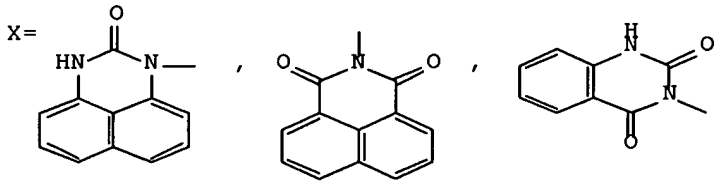


L9 ANSWER 17 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1994:435514 CAPLUS
DN 121:35514
TI New indole derivatives as potent and selective serotonin uptake inhibitors
AU Mignani, Serge; Damour, Dominique; Doble, Adam; Labaudiniere, Richard; Malleron, Jean Luc; Piot, Odile; Gueremy, Claude
CS Cent. Rech. Vitry-Alfortville, Rhone-Poulenc Rorer S.A., Vitry-sur-Seine, 94403, Fr.
SO Bioorg. Med. Chem. Lett. (1993), 3(10), 1913-18
CODEN: BMCLE8; ISSN: 0960-894X
DT Journal
LA English
GI



I

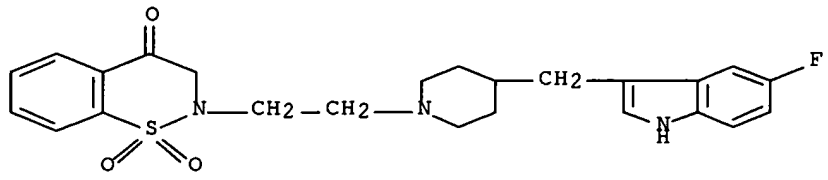
X=



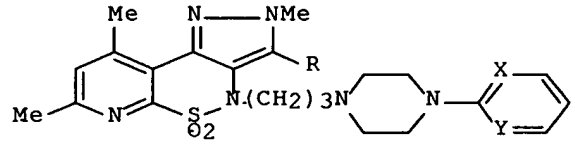
AB A new series of serotonin uptake inhibitors is described. Indole derivs., e.g. I, were prepd. and exhibit potent and selective activities in a binding assay for the 5-HT uptake site and also behave like strong in vivo serotonin uptake inhibitors.

IT **148287-50-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as serotonin uptake antagonist)

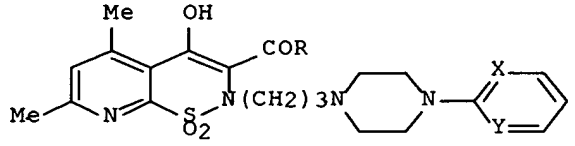
RN 148287-50-7 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[2-[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]ethyl]-2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



L9 ANSWER 15 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1995:418701 CAPLUS
DN 123:55786
TI Studies on synthesis and biological properties of pyrazolo[4,3-c]pyrido[3,2-e]-1,2-thiazine 5,5-dioxide bearing 4-substituted-1-piperazinylpropyl moiety
AU Malinka, Wieslaw; Sieklucka-Dziuba, Maria; Rajtar-Cynke, Grazyna; Borowicz, Kinga; Kleinrok, Zdzislaw
CS Dep. Drug Chem., Wroclaw Univ. Med., Wroclaw, 50-137, Pol.
SO Farmaco (1994), 49(12), 783-92
CODEN: FRMCE8
DT Journal
LA English
GI



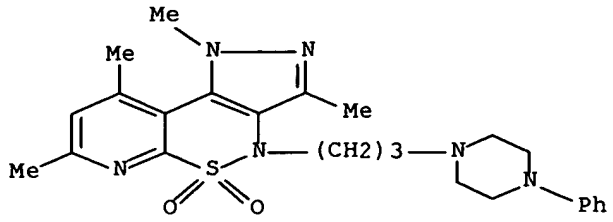
I



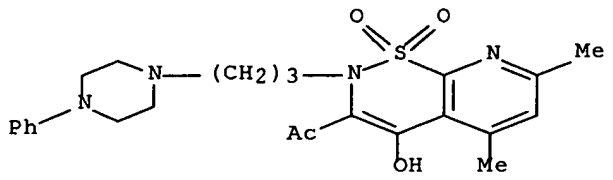
II

AB Pyrazolopyridothiazine 5,5-dioxides (I, R = Me, Ph; X = Y = CH, N; X = N, Y = CH) and pyridothiazine 1,1-dioxides (II, R = Me, Ph; X = Y = CH, N; X = N, Y = CH) bearing 1-piperazinylpropyl substituents were synthesized. The acute toxicity and preliminary results on the CNS activity of I and II are described. A structure-activity relationship is discussed.

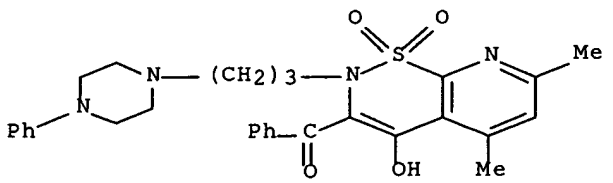
IT **164357-43-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 164357-43-1 CAPLUS
CN Pyrazolo[4,3-c]pyrido[3,2-e][1,2]thiazine, 1,4-dihydro-1,3,7,9-tetramethyl-
4-[3-(4-phenyl-1-piperazinyl)propyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)



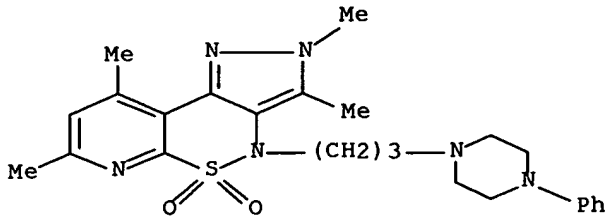
IT **164357-31-7P**
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant);
SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and CNS activity of pyrazolopyridothiazine dioxides)
RN 164357-31-7 CAPLUS
CN Ethanone, 1-[4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-(4-phenyl-1-piperazinyl)propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]- (9CI) (CA INDEX NAME)



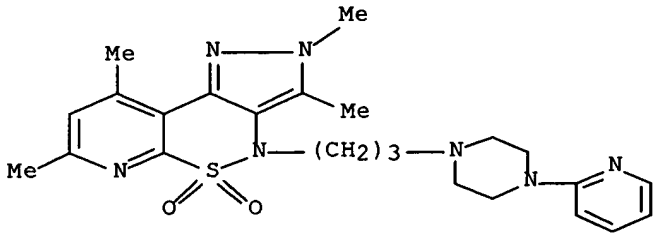
IT **164357-32-8P 164357-33-9P 164357-36-2P**
164357-37-3P 164357-38-4P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and CNS activity of pyrazolopyridothiazine dioxides)
RN 164357-32-8 CAPLUS
CN Methanone, [4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-(4-phenyl-1-piperazinyl)propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]phenyl- (9CI) (CA INDEX NAME)



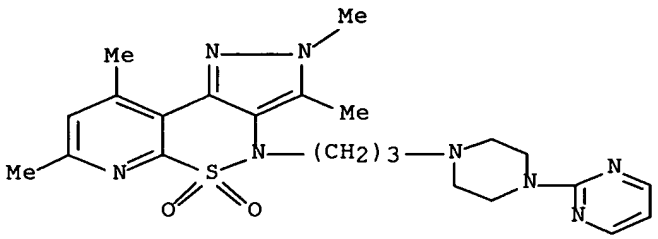
RN 164357-33-9 CAPLUS
CN Pyrazolo[4,3-c]pyrido[3,2-e][1,2]thiazine, 2,4-dihydro-2,3,7,9-tetramethyl-
4-[3-(4-phenyl-1-piperazinyl)propyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)



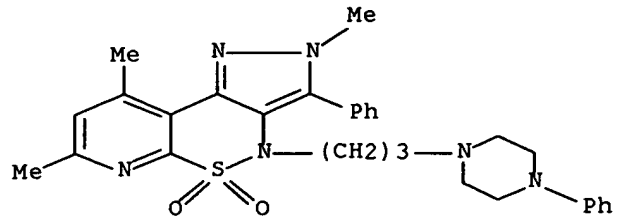
RN 164357-36-2 CAPLUS
CN Pyrazolo[4,3-c]pyrido[3,2-e][1,2]thiazine, 2,4-dihydro-2,3,7,9-tetramethyl-
4-[3-[4-(2-pyridinyl)-1-piperazinyl]propyl]-, 5,5-dioxide (9CI) (CA INDEX
NAME)



RN 164357-37-3 CAPLUS
CN Pyrazolo[4,3-c]pyrido[3,2-e][1,2]thiazine, 2,4-dihydro-2,3,7,9-tetramethyl-
4-[3-[4-(2-pyrimidinyl)-1-piperazinyl]propyl]-, 5,5-dioxide (9CI) (CA
INDEX NAME)

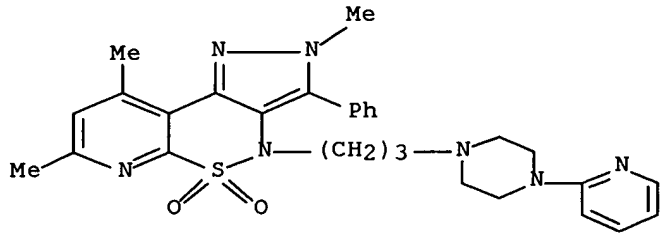


RN 164357-38-4 CAPLUS
CN Pyrazolo[4,3-c]pyrido[3,2-e][1,2]thiazine, 2,4-dihydro-2,7,9-trimethyl-3-
phenyl-4-[3-(4-phenyl-1-piperazinyl)propyl]-, 5,5-dioxide (9CI) (CA INDEX
NAME)

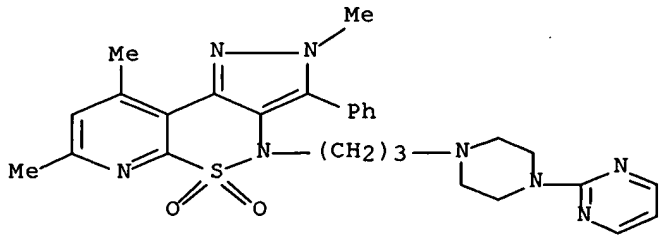


IT **164357-34-0P 164357-35-1P 164357-39-5P**
164357-40-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and CNS activity of pyrazolopyridothiazine dioxides)

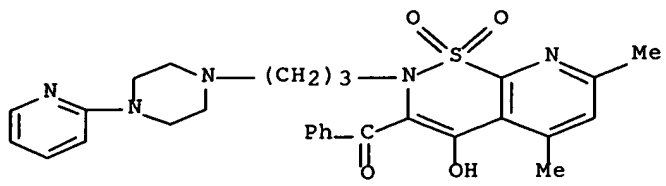
RN 164357-34-0 CAPLUS
CN Pyrazolo[4,3-c]pyrido[3,2-e][1,2]thiazine, 2,4-dihydro-2,7,9-trimethyl-3-phenyl-4-[3-[4-(2-pyridinyl)-1-piperazinyl]propyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)



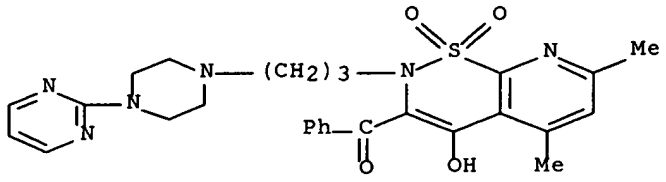
RN 164357-35-1 CAPLUS
CN Pyrazolo[4,3-c]pyrido[3,2-e][1,2]thiazine, 2,4-dihydro-2,7,9-trimethyl-3-phenyl-4-[3-[4-(2-pyrimidinyl)-1-piperazinyl]propyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)



RN 164357-39-5 CAPLUS
CN Methanone, [4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-[4-(2-pyridinyl)-1-piperazinyl]propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]phenyl- (9CI) (CA INDEX NAME)



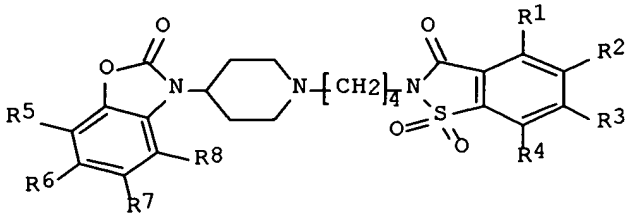
RN 164357-40-8 CAPLUS
CN Methanone, [4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-[4-(2-pyrimidinyl)-1-
piperazinyl]propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]phenyl- (9CI) (CA INDEX NAME)



L9 ANSWER 11 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1995:998362 CAPLUS
DN 124:176079
TI Preparation of heterocycles as .alpha.1c adrenergic receptor antagonists
IN Huff, Joel R.; Lee, Hee-Yoon; Nerenberg, Jennie B.; Thompson, Wayne J.
PA Merck and Co., Inc., USA
SO PCT Int. Appl., 209 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9528397	A1	19951026	WO 1995-US4590	19950413
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, US, UZ				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2187767	AA	19951026	CA 1995-2187767	19950413
	AU 9523566	A1	19951110	AU 1995-23566	19950413
	AU 688498	B2	19980312		
	EP 755392	A1	19970129	EP 1995-917565	19950413
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 09512016	T2	19971202	JP 1995-527097	19950413
	US 5760054	A	19980602	US 1996-722001	19961001
PRAI	US 1994-229276		19940414		
	WO 1995-US4590		19950413		

OS MARPAT 124:176079
GI



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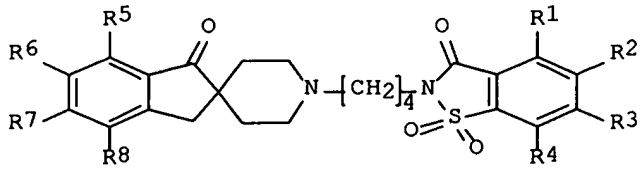


II

AB Title compds. such as I (R1, R2, R3, R4 = H, NO2, NH2, etc.; R5, R6, R7, R8 = H, alkyl, alkenyl, alkoxy, etc.) and II, effective testosterone reductase inhibitors useful in treatment of benign prostatic

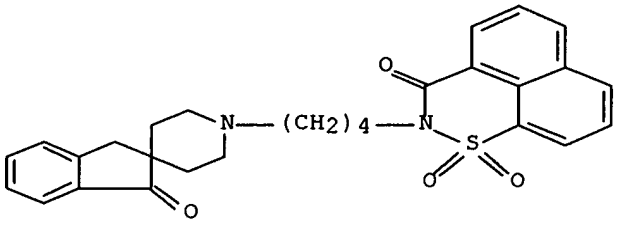
hyperplasia,
were prepd. Alkylation of 1-(4-piperidinyl)-3-benzoxazolin-2-one.HCl with
2-(4-bromobutyl)-1,1-dioxo-1,2-benzothiazol-3(2H)-one in the presence of
(i-Pr)2NEt in DMF afforded 40% I (R1-R8 = H). Title compds. are effective
at 0.001 mg/kg - 7 mg/kg per day in humans.

IT **173842-47-2P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
(prepn. of heterocycles as .alpha.1c adrenergic receptor antagonists)

RN 173842-47-2 CAPLUS

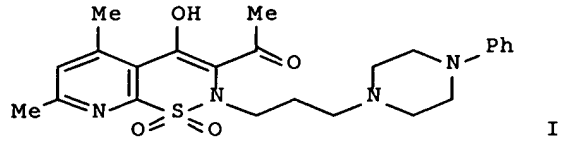
CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-[4-(1,1-dioxido-3-oxonaphtho[1,8-de]-1,2-thiazin-2(3H)-yl)butyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1997:257352 CAPLUS
DN 126:238385
TI Preparation of novel pyrido[3,2-e]-1,2-thiazine derivative as psychotropic
agent
IN Malinka, Wieslaw; Kleinrok, Zdzislaw; Sieklucka, Maria
PA Akademia Medyczna, Pol.
SO Pol., 3 pp.
CODEN: POXXA7
DT Patent
LA Polish
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PL 170394	B1	19961231	PL 1993-299530	19930701

GI

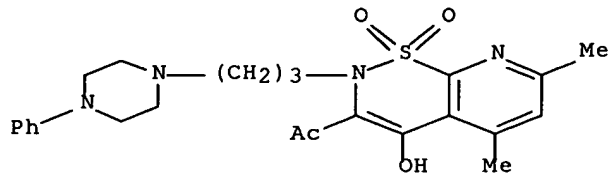


I

AB The title compd. I, useful as psychotropic agent, was prepd. in 56% yield
by reaction of 2H-3-acetyl-4-hydroxy-5,7-dimethylpyrido[3,2-e]-1,2-thiazine 1,1-dioxide with 1-chloro-3-(4-phenyl-1-piperazinyl)propane in the presence of NaOEt in EtOH. Compd. I showed LD50 of 1753.9 mg/kg, and,
e.g., decreased spontaneous mobility in mice at 1/80 LD50.

IT **164357-31-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel pyrido[3,2-e]-1,2-thiazine deriv. as psychotropic agent)

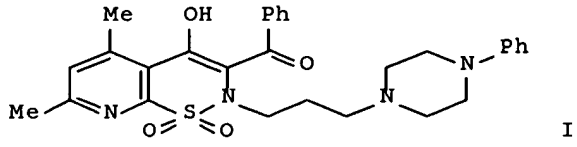
RN 164357-31-7 CAPLUS
CN Ethanone, 1-[4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-(4-phenyl-1-piperazinyl)propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]- (9CI) (CA INDEX NAME)



L9 ANSWER 8 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1997:257351 CAPLUS
DN 126:238384
TI Preparation of novel pyrido[3,2-e]-1,2-thiazine as psychotropic agent
IN Malinka, Wieslaw; Kleinrok, Zdzislaw; Sieklucka, Maria
PA Akademia Medyczna, Pol.
SO Pol., 4 pp.
CODEN: POXXA7
DT Patent
LA Polish
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI PL 170371	B1	19961231	PL 1993-299532	19930701

GI

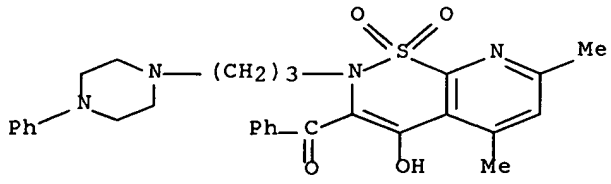


I

AB The title compd. I, useful as psychotropic agent, was prepd. in 60% yield
by reaction of 2H-3-benzoyl-4-hydroxy-5,7-dimethylpyrido[3,2-e]-1,2-thiazine 1,1-dioxide with 1-chloro-3-(4-phenyl-1-piperazinyl)propane in the presence of NaOEt in EtOH. Compd. I showed LD50 of > 2000 mg/kg, and,
e.g., decreased spontaneous mobility in mice and rats at 1/40 LD50.

IT **164357-32-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel pyrido[3,2-e]-1,2-thiazine as psychotropic agent)

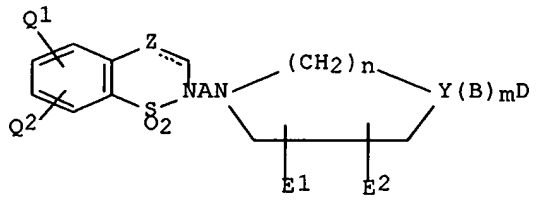
RN 164357-32-8 CAPLUS
CN Methanone, [4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-(4-phenyl-1-piperazinyl)propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]phenyl- (9CI) (CA INDEX NAME)



L9 ANSWER 9 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1997:134766 CAPLUS
DN 126:144283
TI Preparation of benzothiazine derivatives as serotonin-2-receptor antagonists
IN Mizuno, Akira; Shibata, Makoto; Iwamori, Tomoe; Inomata, Norio
PA Suntory Limited, Japan
SO Eur. Pat. Appl., 62 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 749967	A1	19961227	EP 1996-110050	19960621
	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 09012562	A2	19970114	JP 1995-177976	19950622
	CA 2179679	AA	19961223	CA 1996-2179679	19960621
PRAI	JP 1995-177976	A	19950622		

OS MARPAT 126:144283
GI



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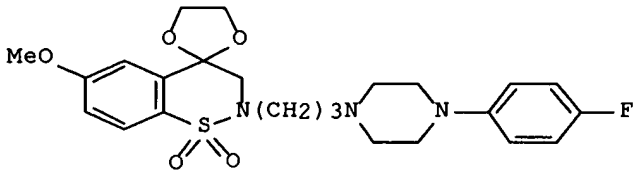


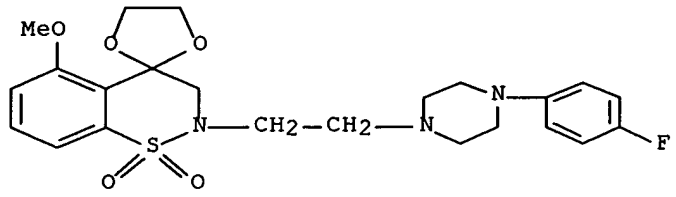
II

AB The title compds. [I; Z = C(O), CH2, CH, etc.; Q1 = H, OH, halo, etc.; Q2 = OH, halo, alkyl, etc.; A = (un)substituted alkylene, alkenylene, alkynylene; Y = CH, C, N; m = 0, 1; n = 1-3; B = O, S, C(O), etc.; E1, E2 = H, lower alkyl; D = an arom. hydrocarbon group or an arom. heterocyclic group], having strong serotonin-2 blocking action, excellent selectivity to this action against .alpha.1 blocking action, high safety, and therefore useful as therapeutics for various circulatory diseases such as ischemic heart diseases, cerebrovascular disturbances and peripheral circulatory disturbances, were prepd. Thus, reaction of 2-(3-chloropropyl)-6-methoxy-3,4-dihydro-2H-1,2-benzothiazin-4-one 1,1-dioxide ethylene acetal with 1-(4-fluorophenyl)piperazine in the presence of NaHCO3, NaI in MeCN afforded 93% II which showed 63.0% and 62.3% (of the control) contractions of the superior mesenteric artery

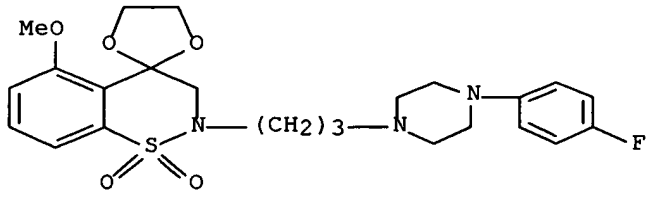
and
thoracic aorta of Hartley male guinea pig, resp., at 10-7 M as anti-serotonin and anti-.alpha.1 action.

IT **186491-81-6P 186491-82-7P 186491-83-8P 186491-84-9P 186491-85-0P 186491-86-1P 186491-87-2P 186491-88-3P 186491-89-4P 186491-90-7P 186491-91-8P 186491-92-9P 186491-93-0P 186491-94-1P 186491-95-2P 186491-96-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzothiazine derivs. as serotonin-2-receptor antagonists)

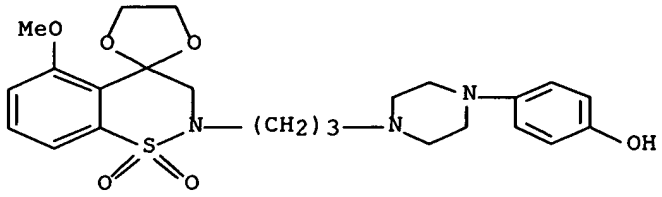
RN 186491-81-6 CAPLUS
CN Spiro[4H-1,2-benzothiazine-4,2'-[1,3]dioxolane], 2-[2-[4-(4-fluorophenyl)-1-piperazinyl]ethyl]-2,3-dihydro-5-methoxy-, 1,1-dioxide (9CI) (CA INDEX NAME)



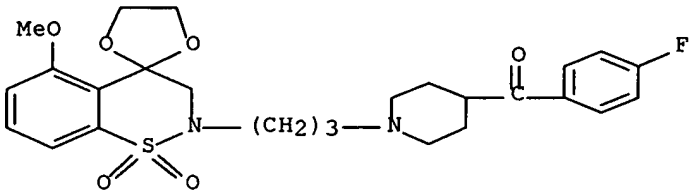
RN 186491-82-7 CAPLUS
CN Spiro[4H-1,2-benzothiazine-4,2'-[1,3]dioxolane], 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-2,3-dihydro-5-methoxy-, 1,1-dioxide (9CI) (CA INDEX NAME)



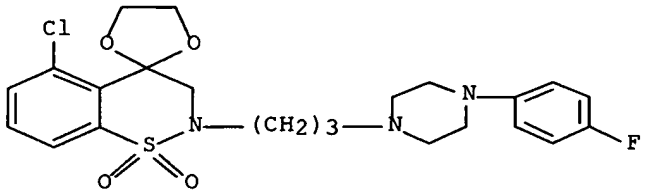
RN 186491-83-8 CAPLUS
CN Phenol, 4-[4-[3-(5-methoxy-1,1-dioxidospiro[4H-1,2-benzothiazine-4,2'-[1,3]dioxolan]-2(3H)-yl)propyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



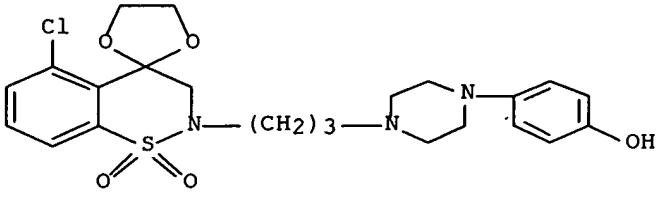
RN 186491-84-9 CAPLUS
CN Methanone, (4-fluorophenyl)[1-[3-(5-methoxy-1,1-dioxidospiro[4H-1,2-benzothiazine-4,2'-[1,3]dioxolan]-2(3H)-yl)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 186491-85-0 CAPLUS
CN Spiro[4H-1,2-benzothiazine-4,2'-[1,3]dioxolane], 5-chloro-2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

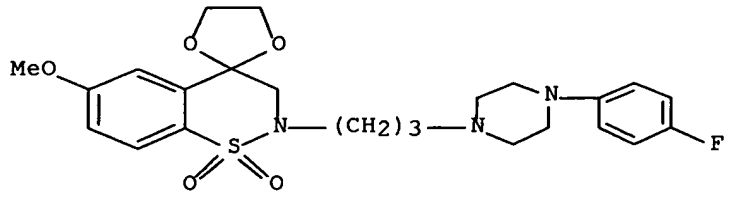


RN 186491-86-1 CAPLUS
CN Phenol, 4-[4-[3-(5-chloro-1,1-dioxidospiro[4H-1,2-benzothiazine-4,2'-[1,3]dioxolan]-2(3H)-yl)propyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

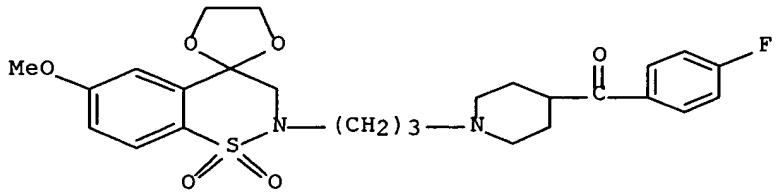


RN 186491-87-2 CAPLUS
CN Spiro[4H-1,2-benzothiazine-4,2'-[1,3]dioxolane], 2-[3-[4-(4-

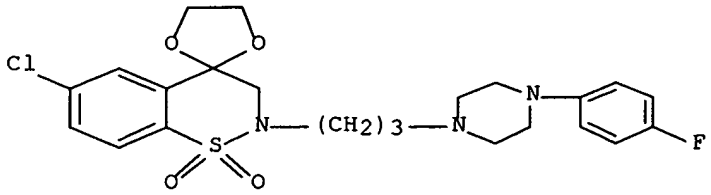
fluorophenyl)-
1-piperazinyl]propyl]-2,3-dihydro-6-methoxy-, 1,1-dioxide (9CI) (CA INDEX
NAME)



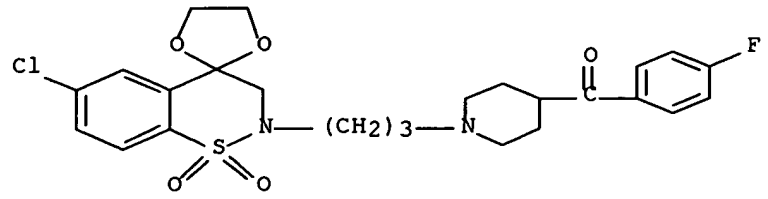
RN 186491-88-3 CAPLUS
CN Methanone, (4-fluorophenyl)[1-[3-(6-methoxy-1,1-dioxidospiro[4H-1,2-benzothiazine-4,2'-[1,3]dioxolan]-2(3H)-yl)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



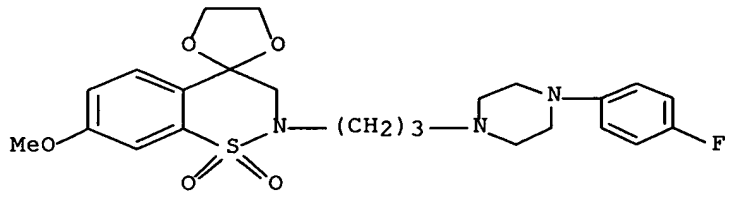
RN 186491-89-4 CAPLUS
CN Spiro[4H-1,2-benzothiazine-4,2'-[1,3]dioxolane], 6-chloro-2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



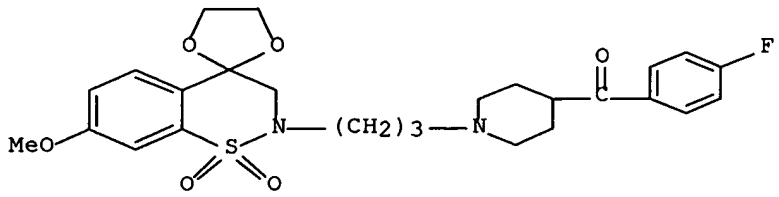
RN 186491-90-7 CAPLUS
CN Methanone, [1-[3-(6-chloro-1,1-dioxidospiro[4H-1,2-benzothiazine-4,2'-[1,3]dioxolan]-2(3H)-yl)propyl]-4-piperidinyl](4-fluorophenyl)- (9CI) (CA
INDEX NAME)



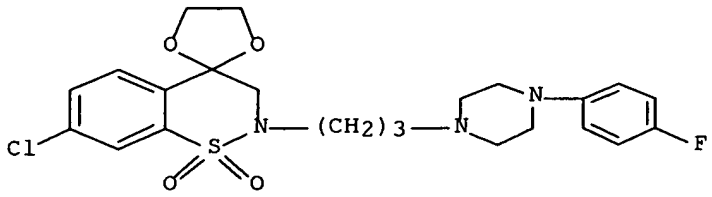
RN 186491-91-8 CAPLUS
CN Spiro[4H-1,2-benzothiazine-4,2'-[1,3]dioxolane], 2-[3-[4-(4-fluorophenyl)-
1-piperazinyl]propyl]-2,3-dihydro-7-methoxy-, 1,1-dioxide (9CI) (CA INDEX
NAME)



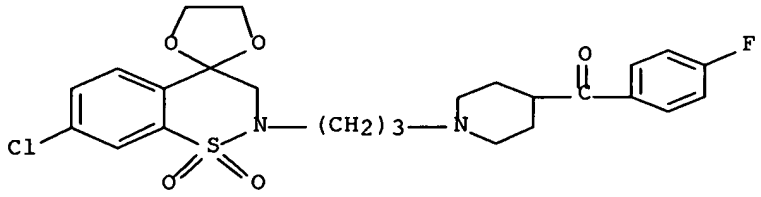
RN 186491-92-9 CAPLUS
CN Methanone, (4-fluorophenyl)[1-[3-(7-methoxy-1,1-dioxidospiro[4H-1,2-benzothiazine-4,2'-[1,3]dioxolan]-2(3H)-yl)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



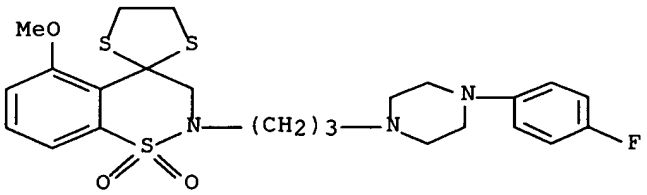
RN 186491-93-0 CAPLUS
CN Spiro[4H-1,2-benzothiazine-4,2'-[1,3]dioxolane], 7-chloro-2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



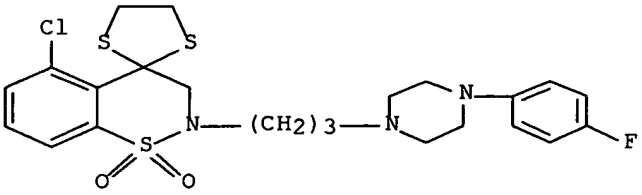
RN 186491-94-1 CAPLUS
CN Methanone, [1-[3-(7-chloro-1,1-dioxidospiro[4H-1,2-benzothiazine-4,2'-[1,3]dioxolan]-2(3H)-yl)propyl]-4-piperidinyl](4-fluorophenyl)- (9CI) (CA INDEX NAME)



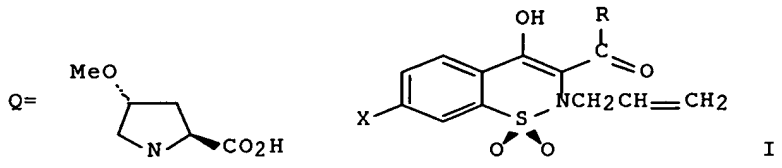
RN 186491-95-2 CAPLUS
CN Spiro[4H-1,2-benzothiazine-4,2'-[1,3]dithiolane], 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-2,3-dihydro-5-methoxy-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 186491-96-3 CAPLUS
CN Spiro[4H-1,2-benzothiazine-4,2'-[1,3]dithiolane], 5-chloro-2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



L9 ANSWER 6 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1998:74309 CAPLUS
DN 128:114933
TI Synthesis of antiinflammatory novel 3-pyrrolidinylcarbonyl-1,2-benzothiazine derivatives
AU Park, Myung-Sook
CS Coll. Pharm., Duksung Women's Univ., Seoul, 132-714, S. Korea
SO Yakhak Hoechi (1997), 41(6), 724-729
CODEN: YAHOA3; ISSN: 0513-4234
PB Pharmaceutical Society of Korea
DT Journal
LA Korean
OS CASREACT 128:114933
GI



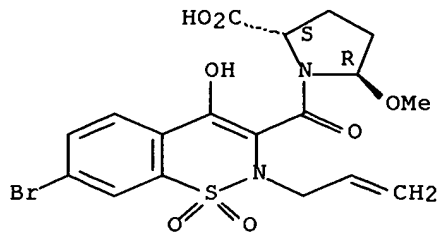
I

AB New 7-Halo-4-hydroxy-2-allyl-3-(4-methoxy-2-carboxy-1-pyrrolidinyl)carbonyl-2H-1,2-benzothiazine 1,1-dioxide derivs. (I; R = Q; X = Br, Cl) were synthesized through the condensation of 7-halo-4-hydroxy-2-allyl-1,2-benzothiazine-3-carboxylic acid Me ester 1,1-dioxide I (R = OMe; X = same as above) with .gamma.-methoxy L-proline (Q-OH).

IT **201421-93-4P 201421-94-5P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of antiinflammatory (pyrrolidinylcarbonyl)benzothiazine derivs. by condensation of Me halohydroxyallylbenzothiazinecarboxylate 1,1-dioxide with .gamma.-methoxy L-proline)

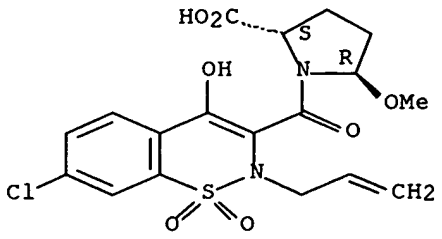
RN 201421-93-4 CAPLUS
CN L-Proline, 1-[[7-bromo-4-hydroxy-1,1-dioxido-2-(2-propenyl)-2H-1,2-benzothiazin-3-yl]carbonyl]-5-methoxy-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 201421-94-5 CAPLUS
CN L-Proline, 1-[[7-chloro-4-hydroxy-1,1-dioxido-2-(2-propenyl)-2H-1,2-benzothiazin-3-yl]carbonyl]-5-methoxy-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

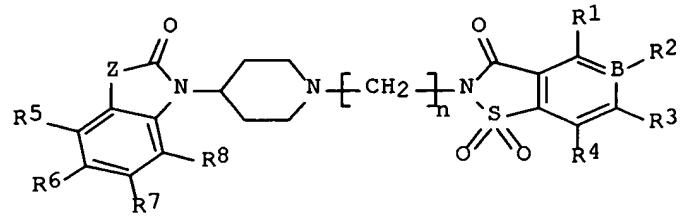


L9 ANSWER 5 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1998:392146 CAPLUS
DN 129:54361
TI Preparation of benzisothiazolones and analogs as .alpha.1C-adrenergic receptor antagonists
IN Huff, Joel R.; Lee, Hee-yoon; Nerenberg, Jennie B.; Thompson, Wayne J.; Bell, Ian M.
PA Merck and Co., Inc., USA
SO U.S., 57 pp. Cont.-in-part of U. S. Ser. No. 229,276, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5760054	A	19980602	US 1996-722001	19961001
WO 9528397	A1	19951026	WO 1995-US4590	19950413

W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, US, UZ
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

PRAI US 1994-229276 19940413
WO 1995-US4590 19950413
OS MARPAT 129:54361
GI



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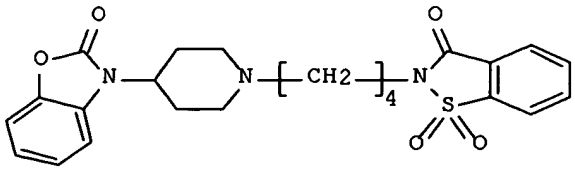


II

AB The invention relates to the claimed title compds. I [n = 3-5; B = C or N;

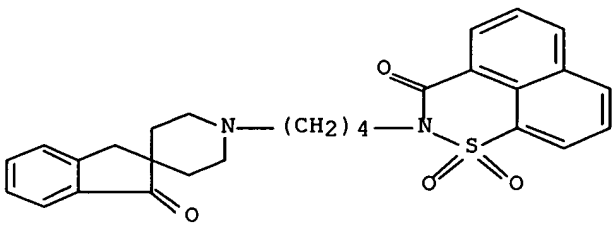
R1, R2, R3, R4 = H, halo, NO2, NH2, (un)substituted alkyl, alkoxy, aryl, heteroaryl, etc.; R5, R6, R7, R8 = H, alkyl, alkenyl, alkoxy; Z = O, S, CH2, NH, NMe] and analogs. Also disclosed are the synthesis and use of the compds. as selective .alpha.1C-adrenergic receptor antagonists. The primary application of the compds. is in the treatment of benign

prostatic
hypertrophy (BPH). The compds. selectively relax smooth muscle tissue enriched in the .alpha.1C receptor subtype without inducing orthostatic hypotension. The compds. provide acute relief of BPH by permitting less hindered urine flow. I and analogs are also useful in combination with human 5.alpha.-reductase inhibitors, providing both acute and chronic relief from the effects of BPH. Approx. 130 specific invention compds. are disclosed. The cloning and use of a cDNA for a human .alpha.1C adrenoceptor in an in vitro assay is described. For instance,
alkylation
of 1-(4-piperidinyl)-3-benzoxazolin-2-one.HCl (prepd. in 4 steps) with 2-(4-bromobutyl)-1,1-dioxido-1,2-benzisothiazol-3(2H)-one in the
presence
of (i-Pr)2NEt in DMF gave 40% title compd. II. Selected compds. showed nanomolar or subnanomolar affinity for human .alpha.1C receptor subtype while showing 30-fold lower affinity for human .alpha.1A and .alpha.1B subtypes (no data).

IT **173842-47-2P**
RL: BAC (Biological activity or effector, except adverse); SPN
(Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzisothiazolones and analogs as .alpha.1C-adrenergic antagonists)

RN 173842-47-2 CAPLUS

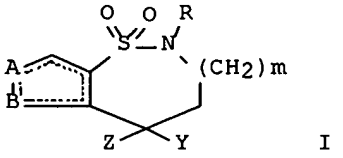
CN Spiro[2H-indene-2,4'-piperidin]-1(3H)-one, 1'-[4-(1,1-dioxido-3-oxonaphtho[1,8-de]-1,2-thiazin-2(3H)-yl)butyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 3 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 1999:449035 CAPLUS
DN 131:116257
TI Preparation of pyrrole sulfonamide derivatives as serotonin-2 receptor antagonists
IN Mizuno, Akira; Shibata, Makoto; Iwamori, Chie; Fukami, Harukazu; Inomata, Norio
PA Suntory, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 31 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 11193289	A2	19990721	JP 1997-366756	19971226
	WO 9933840	A2	19990708	WO 1998-JP5954	19981225
	WO 9933840	A3	19990910		
	W: AU, CA, CN, HU, KR, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9916906	A1	19990719	AU 1999-16906	19981225
	EP 970088	A2	20000112	EP 1998-961598	19981225
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 6271223	B1	20010807	US 1999-367841	19990826
PRAI	JP 1997-366756	A	19971226		
	WO 1998-JP5954	W	19981225		

OS MARPAT 131:116257
GI



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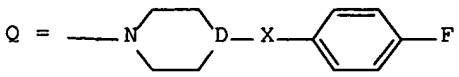
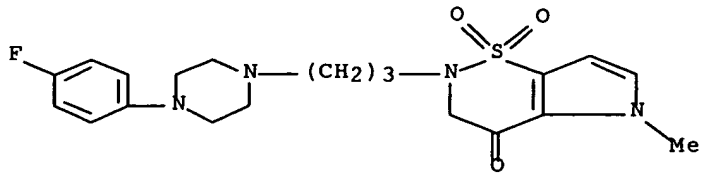


AB Title compds. [I; A = CH, NMe; B = NMe, CH; dotted bonds = single, double; m = 0, 1; D = CH, N; X = bond, CO; Y-Z = :O, :NOH; Y = H; Z = OH; R = CH2CH2CH2Q] and their salts are prepd. as serotonin 2 receptor antagonists on treatment of circulatory system disease with low side effect. Thus, the title compd. I (A = CH; B = NMe; m = 1; D = N; Y-Z = :O; X = bond; dotted bonds were single and double related to B) was prepd. and tested for anti-5-HT and anti-.alpha.1 actions in guinea pig.

IT **232619-90-8P**
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)(prepn. of pyrrolothiazinones and pyrrolothiazepinones as serotonin-2 receptor antagonists)

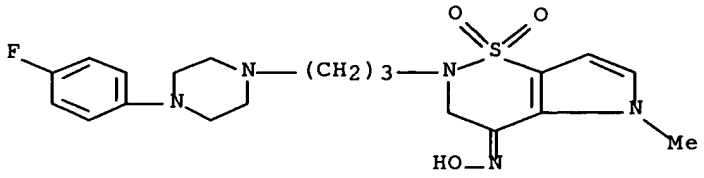
RN 232619-90-8 CAPLUS
CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-2,3-dihydro-5-methyl-, 1,1-dioxide (9CI) (CA INDEX

NAME)

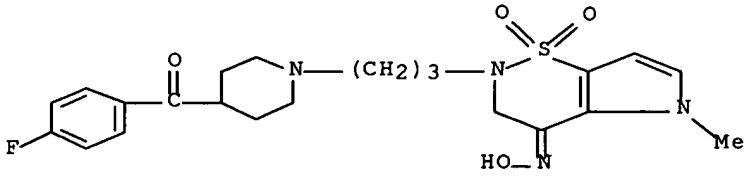


IT **232619-94-2P 232619-95-3P 232619-98-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of pyrrolothiazinones and pyrrolothiazepinones as serotonin-2 receptor antagonists)

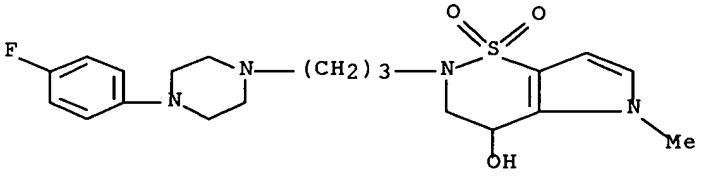
RN 232619-94-2 CAPLUS
CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-2,3-dihydro-5-methyl-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



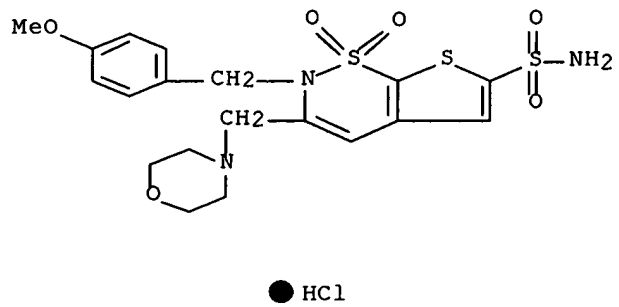
RN 232619-95-3 CAPLUS
CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]propyl]-2,3-dihydro-5-methyl-, 4-oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 232619-98-6 CAPLUS
CN Pyrrolo[2,3-e]-1,2-thiazin-4-ol, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-2,3,4,5-tetrahydro-5-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

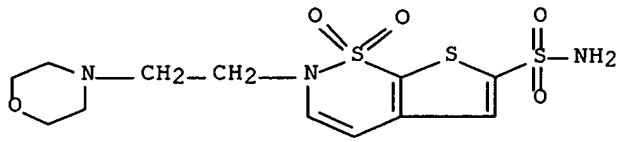


L9 ANSWER 2 OF 49 CAPLUS COPYRIGHT 2002 ACS
AN 2000:395926 CAPLUS
DN 133:129514
TI 2H-Thieno[3,2-e]- and [2,3-e]-1,2-thiazine-6-sulfonamide 1,1-dioxides as ocular hypotensive agents: synthesis, carbonic anhydrase inhibition and evaluation in the rabbit
AU Chen, H.-H.; Gross, S.; Liao, J.; McLaughlin, M.; Dean, T.; Sly, W. S.; May, J. A.
CS Ophthalmic Products Research, Alcon Research, Ltd., Fort Worth, TX, 76134, USA
SO Bioorganic & Medicinal Chemistry (2000), 8(5), 957-975
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Science Ltd.
DT Journal
LA English
AB Novel non-chiral 2H-thieno[3,2-e]- and [2,3-e]-1,2-thiazine-6-sulfonamide 1,1-dioxides were synthesized for evaluation as potential candidates for the treatment of glaucoma. All of the compds. prepd. were potent high affinity inhibitors of human carbonic anhydrase II, Ki<0.5 nM. Addnl., inhibition of recombinant human carbonic anhydrase IV was detd. for selected compds.; these were shown to be moderate to potent inhibitors of this isoenzyme with IC50 values ranging from 4.25 to 73.6 nM. Of the compds. evaluated for their ability to lower intraocular pressure in naturally hypertensive Dutch-belted rabbits, several showed significant efficacy (>20% decrease) in this model following topical ocular administration.
IT **171272-89-2P**
RL: BAC (Biological activity or effector, except adverse); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(thieno and thiazine sulfonamide dioxides as ocular hypotensive agents:
synthesis and carbonic anhydrase inhibition)
RN 171272-89-2 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[(4-methoxyphenyl)methyl]-3-(4-morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



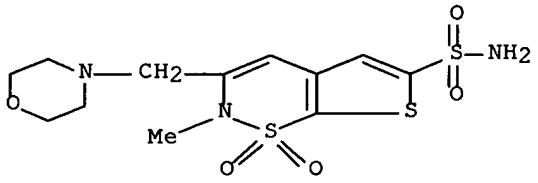
IT **171272-69-8P 171272-71-2P 171272-77-8P**
171272-78-9P 171272-80-3P 171272-82-5P
171272-83-6P 171272-84-7P 171272-87-0P
171272-91-6P 171273-12-4P 171273-18-0P
171273-96-4P 286958-28-9P 286958-30-3P
286958-32-5P 286958-33-6P 286958-34-7P
286958-35-8P
RL: BAC (Biological activity or effector, except adverse); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(thieno and thiazine sulfonamide dioxides as ocular hypotensive agents:
synthesis and carbonic anhydrase inhibition)

RN 171272-69-8 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



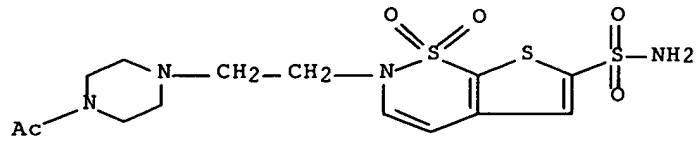
● HCl

RN 171272-71-2 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-methyl-3-(4-morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

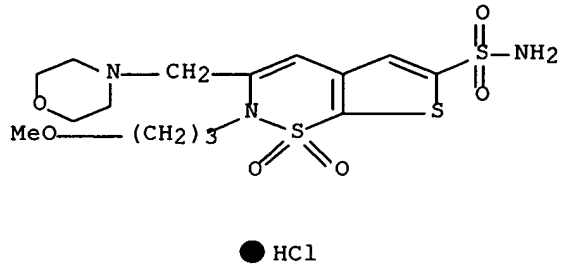


● HCl

RN 171272-77-8 CAPLUS
CN Piperazine, 1-acetyl-4-[2-[6-(aminosulfonyl)-1,1-dioxido-2H-thieno[3,2-e]-1,2-thiazin-2-yl]ethyl]- (9CI) (CA INDEX NAME)

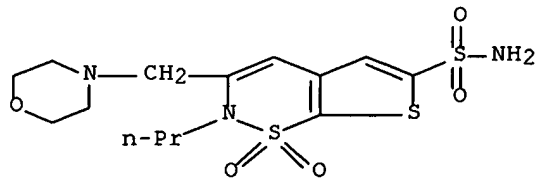


RN 171272-78-9 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(3-methoxypropyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



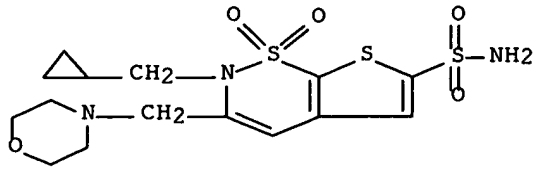
● HCl

RN 171272-80-3 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3-(4-morpholinylmethyl)-2-propyl-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



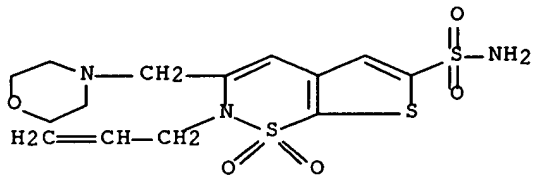
● HCl

RN 171272-82-5 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(cyclopropylmethyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

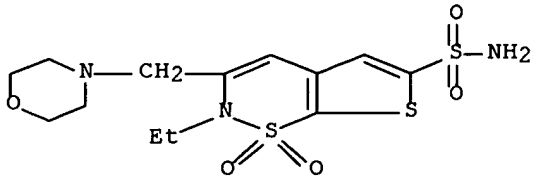


● HCl

RN 171272-83-6 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3-(4-morpholinylmethyl)-2-(2-
propenyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

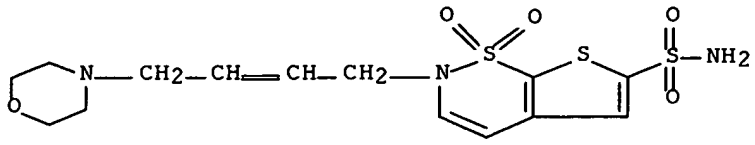


RN 171272-84-7 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-ethyl-3-(4-
morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



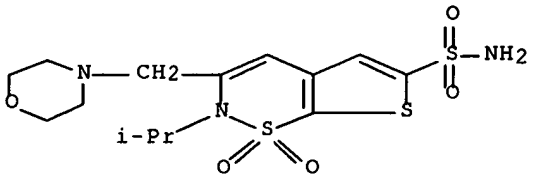
● HCl

RN 171272-87-0 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[4-(4-morpholinyl)-2-
butenyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

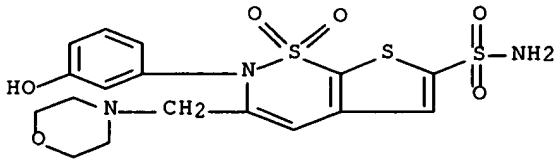


● HCl

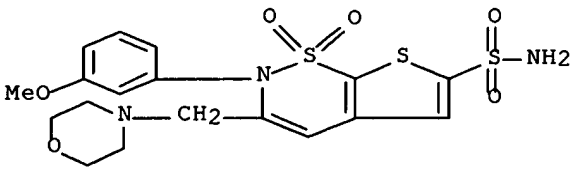
RN 171272-91-6 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(1-methylethyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 171273-12-4 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(3-hydroxyphenyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

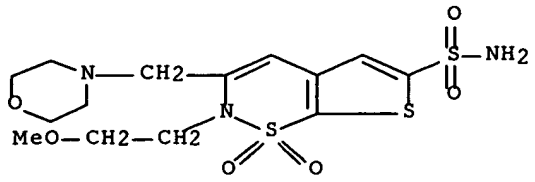


RN 171273-18-0 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(3-methoxyphenyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

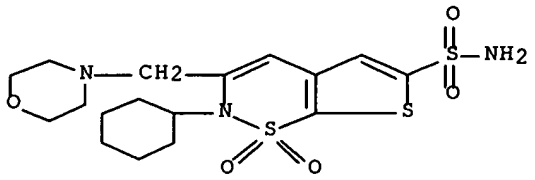


● HCl

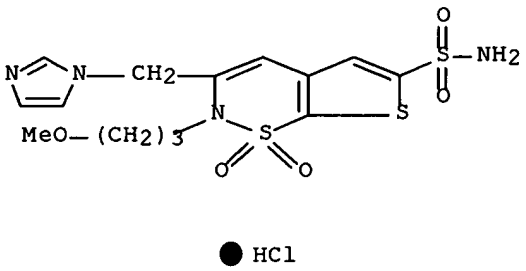
RN 171273-96-4 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(2-methoxyethyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



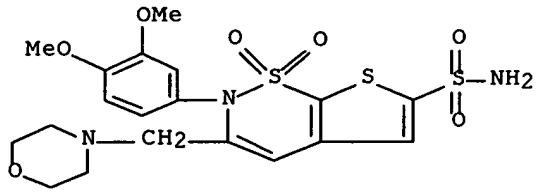
RN 286958-28-9 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-cyclohexyl-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 286958-30-3 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3-(1H-imidazol-1-ylmethyl)-2-(3-methoxypropyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

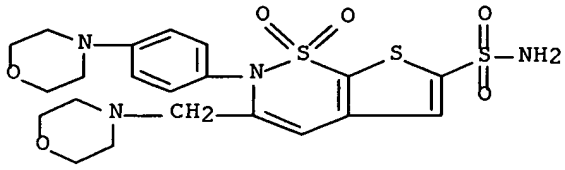


RN 286958-32-5 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(3,4-dimethoxyphenyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



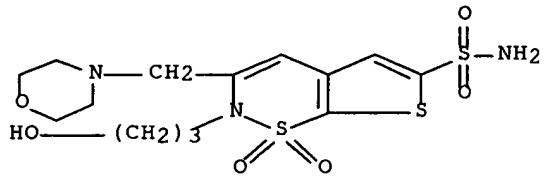
● HCl

RN 286958-33-6 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3-(4-morpholinylmethyl)-2-[4-
(4-morpholinyl)phenyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

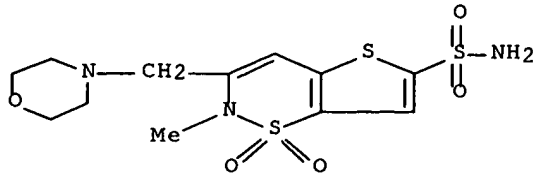


● HCl

RN 286958-34-7 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(3-hydroxypropyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

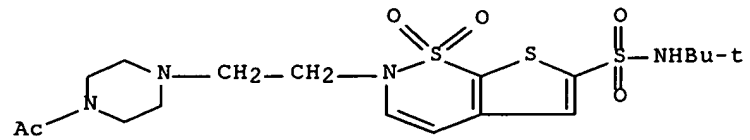


RN 286958-35-8 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-6-sulfonamide, 2-methyl-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

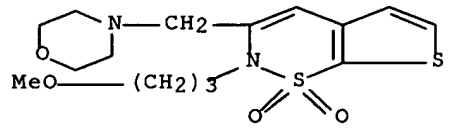


IT **171273-55-5P 171273-60-2P 171273-66-8P**
171273-67-9P 286958-36-9P 286958-84-7P
286958-88-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(thieno and thiazine sulfonamide dioxides as ocular hypotensive agents:
synthesis and carbonic anhydrase inhibition)

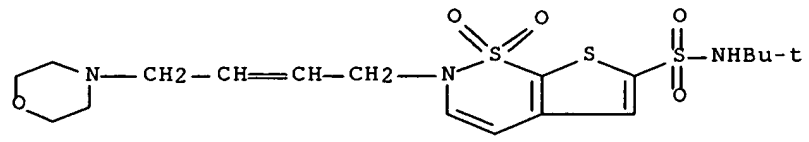
RN 171273-55-5 CAPLUS
CN Piperazine, 1-acetyl-4-[2-[6-[[(1,1-dimethylethyl)amino]sulfonyl]-1,1-dioxido-2H-thieno[3,2-e]-1,2-thiazin-2-yl]ethyl]- (9CI) (CA INDEX NAME)



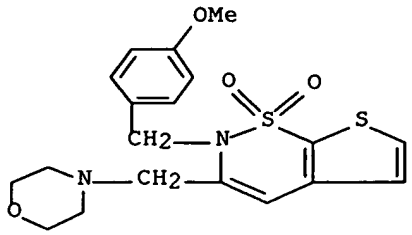
RN 171273-60-2 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine, 2-(3-methoxypropyl)-3-(4-morpholinylmethyl)-
, 1,1-dioxide (9CI) (CA INDEX NAME)



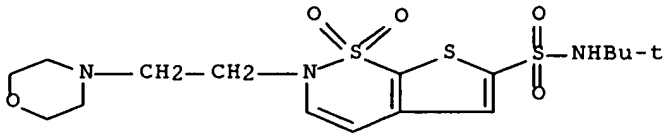
RN 171273-66-8 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, N-(1,1-dimethylethyl)-2-[4-(4-
morpholinyl)-2-butenyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



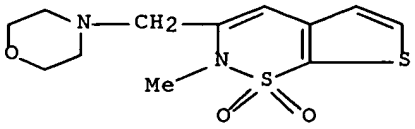
RN 171273-67-9 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine, 2-[(4-methoxyphenyl)methyl]-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



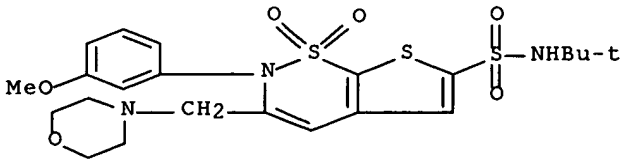
RN 286958-36-9 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, N-(1,1-dimethylethyl)-2-[2-(4-
morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 286958-84-7 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine, 2-methyl-3-(4-morpholinylmethyl)-,
1,1-dioxide (9CI) (CA INDEX NAME)



RN 286958-88-1 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, N-(1,1-dimethylethyl)-2-(3-
methoxyphenyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX
NAME)

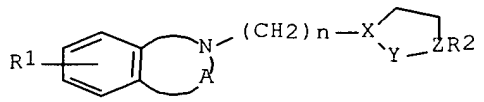


RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 2002:31419 CAPLUS
DN 136:85830
TI Preparation of bicyclic lactams and sulfonamides as 5-HT1A agonists
IN Steiner, Gerd; Schellhaas, Kurt; Szabo, Laszlo; Behl, Berthold; Garcia-Ladona, Francisco Javier; Unger, Liliane
PA Knoll Gmbh, Germany
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002002529	A1	20020110	WO 2001-EP7571	20010702
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	DE 10031391	A1	20020207	DE 2000-10031391	20000703
PRAI	DE 2000-10031391	A	20000703		

OS MARPAT 136:85830
GI

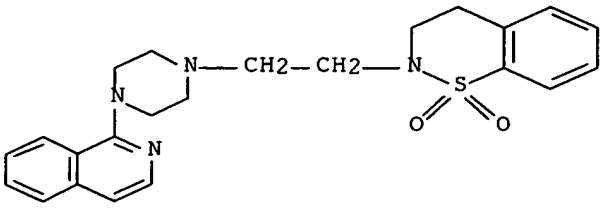


I

AB Title compds. [I; the ring including NA can be a 5-7 membered ring contg. O, S, or double bond; A = CO, SO2; X = N; Y = CH2, CH2CH2, (CH2)3, CH2CH; Z = N, C, CH; n = 2-4; R1 = H, halo, alkyl, CF3, OH, alkoxy, amino; R2 =(substituted) (anellated) Ph, pyridyl, pyrazinyl] and salts thereof, were prepd. Thus, isoquinoline in DMF was stirred with NaH for 30 min. followed by addn. of 1-[4-(2-chloroethyl)-1-piperazinyl]isoquinoline (prepn. given) and stirring for 2 h at 80.degree. to give 82% 2-[2-(4-(1-isoquinolinyl)-1-piperazinyl)ethyl]-1(2H)-isoquinoline.2HCl.2H2O. Tested I showed affinity for the 5-HT1A receptor with Ki = 0.1-5.4 nM in HEK 293 cells.

IT **387399-39-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)(prepn. of bicyclic lactams and sulfonamides as 5-HT1A agonists)

RN 387399-39-5 CAPLUS
CN 2H-1,2-Benzothiazine, 3,4-dihydro-2-[2-[4-(1-isoquinolinyl)-1-piperazinyl]ethyl]-, 1,1-dioxide, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

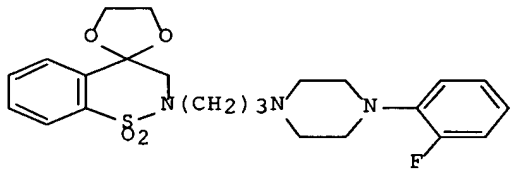
RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

102e?

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1999:152289 CAPLUS
DN 130:196660
TI Benzothiazine derivatives.
IN Mizuno, Akira; Shibata, Makoto; Iwamori, Tomoe; Inomata, Norio
PA Suntory Limited, Japan
SO U.S., 60 pp., Cont.-in-part of U.S. Ser. No. 507,239.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5874429	A	19990223	US 1996-669615	19960624
	WO 9518117	A1	19950706	WO 1994-JP2194	19941222
	W: AU, CA, CN, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	JP 09012562	A2	19970114	JP 1995-177976	19950622
	US 6001827	A	19991214	US 1998-192287	19981116
	US 6316442	B1	20011113	US 1999-379853	19990824
PRAI	JP 1993-345865	A	19931224		
	WO 1994-JP2194	W	19941222		
	JP 1995-177976	A	19950622		
	US 1995-507239	A2	19950824		
	US 1996-669615	A3	19960624		
	US 1998-192287	A3	19981116		

OS MARPAT 130:196660
GI



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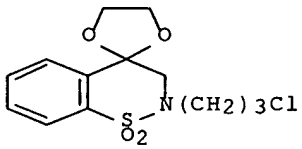


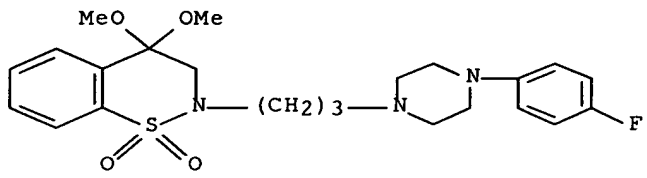
II

AB Benzothiazine derivs. such as I were prepd. as serotonin-2 and .alpha.1 blockers. Thus, 1 mmol of II, 1 mmol of 1-(2-fluorophenyl)piperazine hydrochloride, 4 mmol of NaHCO3, and 2 mmol of NaI were refluxed in 15 mL of MeCN for 18 h to give a 50% yield of I. In tests of anti-serotonin activity in the superior mesenteric artery of guinea pigs, I at 10-7 and 10-6 M lowered contractions to 38.3 and 7.5%, resp., of control (contractions induced by 10-5 M serotonin).

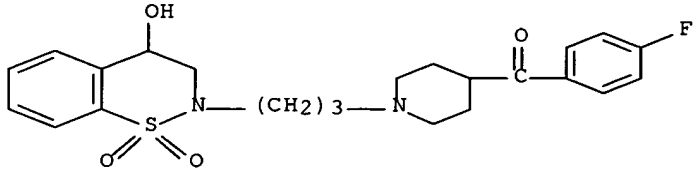
IT **170631-53-5P 170631-74-0P 170631-75-1P**
220716-37-0P 220716-38-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(benzothiazine derivs. as serotonin-2 blockers)

RN 170631-53-5 CAPLUS
CN 2H-1,2-Benzothiazine, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-

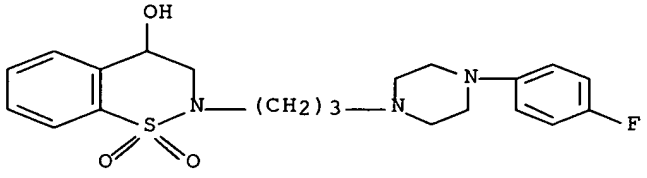
3,4-
dihydro-4,4-dimethoxy-, 1,1-dioxide (9CI) (CA INDEX NAME)



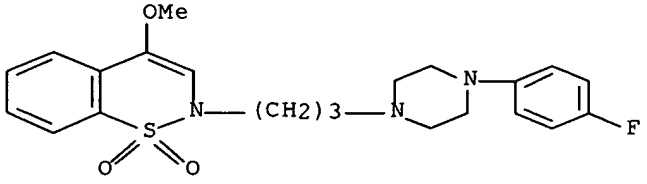
RN 170631-74-0 CAPLUS
CN Methanone, [1-[3-(3,4-dihydro-4-hydroxy-1,1-dioxido-2H-1,2-benzothiazin-2-
yl)propyl]-4-piperidinyl](4-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 170631-75-1 CAPLUS
CN 2H-1,2-Benzothiazin-4-ol, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-
3,4-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

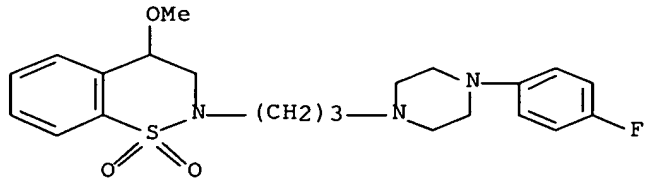


RN 220716-37-0 CAPLUS
CN 2H-1,2-Benzothiazine, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-4-
methoxy-, 1,1-dioxide, dihydrochloride (9CI) (CA INDEX NAME)



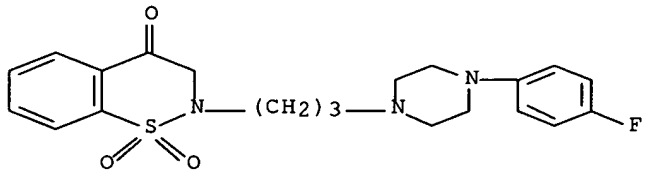
●2 HCl

RN 220716-38-1 CAPLUS
CN 2H-1,2-Benzothiazine, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-
dihydro-4-methoxy-, 1,1-dioxide, dihydrochloride (9CI) (CA INDEX NAME)

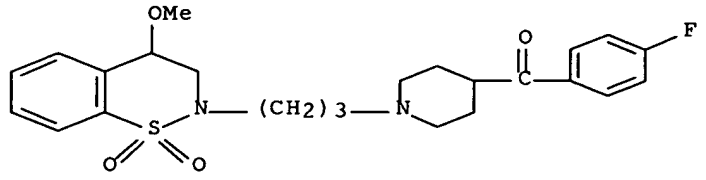


●2 HCl

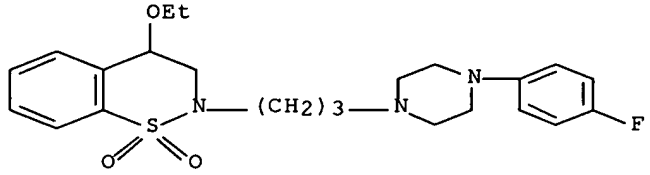
IT **170631-68-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(benzothiazine derivs. as serotonin-2 blockers)
RN 170631-68-2 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-
2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



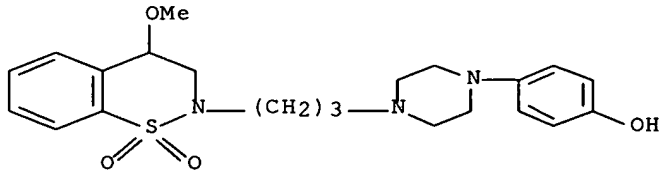
IT **170631-56-8P 170631-57-9P 170631-58-0P**
170631-69-3P 170631-70-6P 170631-71-7P
170631-72-8P 170631-73-9P 170631-76-2P
170631-77-3P 220716-39-2P 220716-42-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(benzothiazine derivs. as serotonin-2 blockers)
RN 170631-56-8 CAPLUS
CN Methanone, [1-[3-(3,4-dihydro-4-methoxy-1,1-dioxido-2H-1,2-benzothiazin-2-
yl)propyl]-4-piperidinyl](4-fluorophenyl)- (9CI) (CA INDEX NAME)



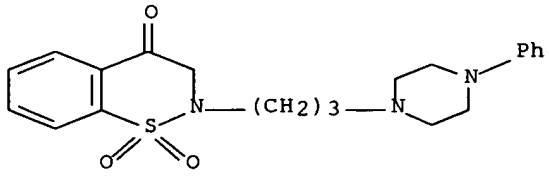
RN 170631-57-9 CAPLUS
CN 2H-1,2-Benzothiazine, 4-ethoxy-2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



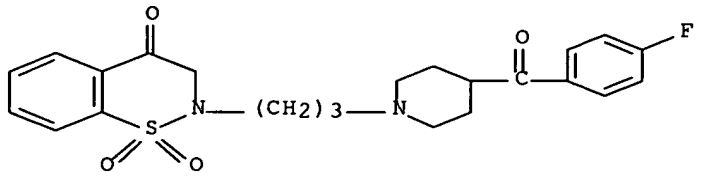
RN 170631-58-0 CAPLUS
CN Phenol, 4-[4-[3-(3,4-dihydro-4-methoxy-1,1-dioxido-2H-1,2-benzothiazin-2-yl)propyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



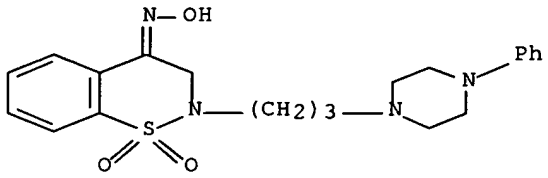
RN 170631-69-3 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-(4-phenyl-1-piperazinyl)propyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



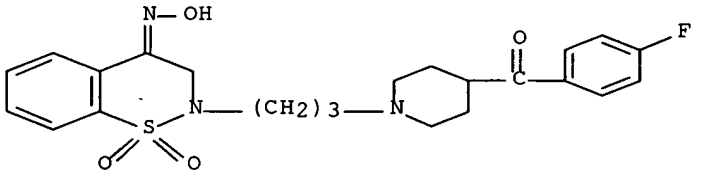
RN 170631-70-6 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]propyl]-2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



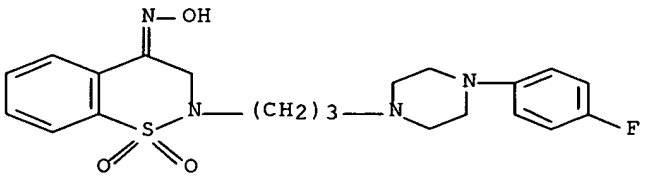
RN 170631-71-7 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-(4-phenyl-1-piperazinyl)propyl]-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



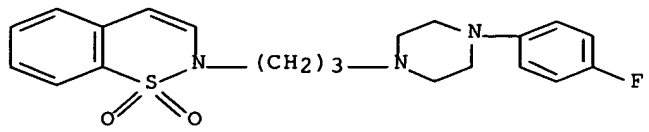
RN 170631-72-8 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]propyl]-
2,3-dihydro-, 4-oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



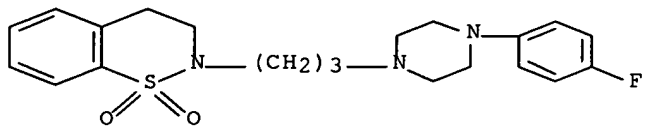
RN 170631-73-9 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-
2,3-dihydro-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



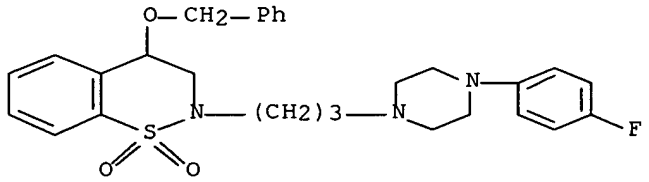
RN 170631-76-2 CAPLUS
CN 2H-1,2-Benzothiazine, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 170631-77-3 CAPLUS
CN 2H-1,2-Benzothiazine, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-
dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

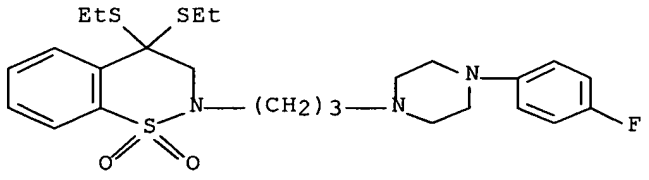


RN 220716-39-2 CAPLUS
CN 2H-1,2-Benzothiazine, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-4-(phenylmethoxy)-, 1,1-dioxide, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 220716-42-7 CAPLUS
CN 2H-1,2-Benzothiazine, 4,4-bis(ethylthio)-2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-, 1,1-dioxide, dihydrochloride (9CI) (CA INDEX NAME)



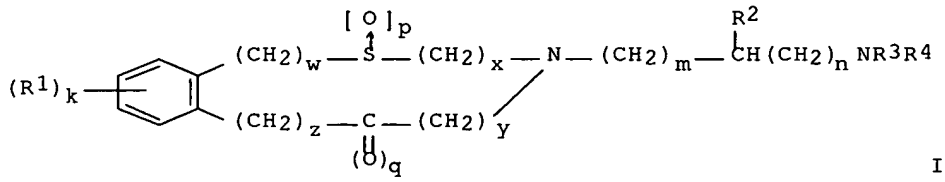
●2 HCl

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1995:996307 CAPLUS
DN 124:146182
TI Preparation of benzothiazine derivatives for inhibiting dysuria
IN Masaki, Mitsuo; Miyake, Norihisa; Tendo, Atsushi; Ishida, Michiko; Shinozaki, Atsuhiko; Nomura, Yutaka; Goto, Yasunori
PA Nippon Chemiphar Co., Ltd., Japan
SO PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9526959	A1	19951012	WO 1995-JP632	19950331
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
JP 07278125	A2	19951024	JP 1994-85831	19940331
AU 9520849	A1	19951023	AU 1995-20849	19950331
JP 08003152	A2	19960109	JP 1995-100505	19950331
EP 753514	A1	19970115	EP 1995-913402	19950331
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1148853	A	19970430	CN 1995-193184	19950331
US 5773437	A	19980630	US 1996-722112	19960930
AU 9897203	A1	19990304	AU 1998-97203	19981218
PRAI JP 1994-85831		19940331		
JP 1994-103345		19940418		
AU 1995-20849		19950331		
WO 1995-JP632		19950331		

OS MARPAT 124:146182
GI



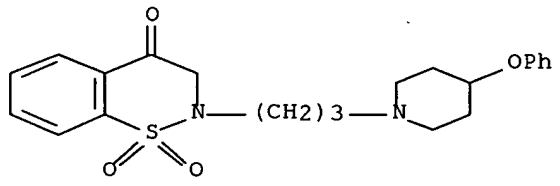
I

AB The title compds. I [R1 represents hydrogen, alkyl, halogen, haloalkyl, hydroxy, alkoxy, nitro, amino, cyano, etc.; R2 represents hydrogen, alkyl, aryl, etc.; R3 and R4 represent each alkyl, etc., or R3 and R4 are combined together to form an optionally substituted heterocyclic group; k represents an integer of 1 to 4; m and n represent each an integer of 0 to

4; p+q = 0 to 4, wherein p is 0, 1 or 2 and q is 0 or 1; and w, x, y and z
represent each an integer of 0 to 2, and w+x+y+z = 1 or 2, provided when R1 to R4 represent each a specifically limited group, w+x+y+z may be 0] are prepd. 2-[3-(4-Phenoxypiperidino)propyl]-2H-1,2-benzothiazin-4(3H)-one 1,1-dioxide hydrochloride (II) was prepd. in several steps starting from 2H-1,2-benzothiazin-4(3H)-one 1,1-dioxide ethylene ketal. II at 1 mg/kg i. v. inhibited urinary bladder contractions in rats.

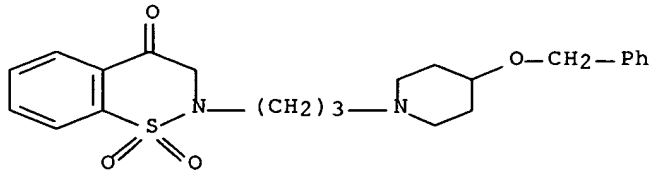
IT **173365-19-0P 173365-20-3P 173365-21-4P**
173365-24-7P 173365-25-8P 173365-32-7P
173365-33-8P 173365-36-1P 173365-38-3P
173365-39-4P 173365-40-7P 173365-41-8P
173365-43-0P 173365-45-2P 173365-46-3P
173365-47-4P 173365-48-5P 173365-49-6P
173365-50-9P 173365-67-8P 173365-68-9P
173365-69-0P 173365-70-3P 173365-71-4P
173365-72-5P 173365-73-6P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzothiazine derivs. for inhibiting dysuria)

RN 173365-19-0 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-(4-phenoxy-1-piperidinyl)propyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

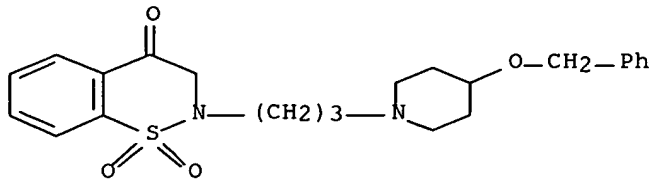


● HCl

RN 173365-20-3 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-[4-(phenylmethoxy)-1-piperidinyl]propyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

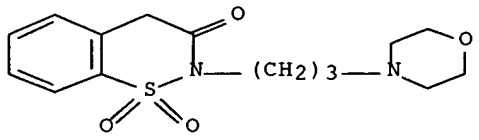


RN 173365-21-4 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-[4-(phenylmethoxy)-1-piperidinyl]propyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

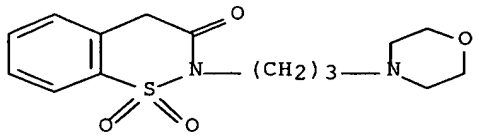
RN 173365-24-7 CAPLUS
CN 2H-1,2-Benzothiazin-3(4H)-one, 2-[3-(4-morpholinyl)propyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 173365-25-8 CAPLUS
CN 2H-1,2-Benzothiazin-3(4H)-one, 2-[3-(4-morpholinyl)propyl]-, 1,1-dioxide,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

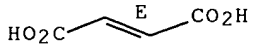
CRN 173365-24-7
CMF C15 H20 N2 O4 S



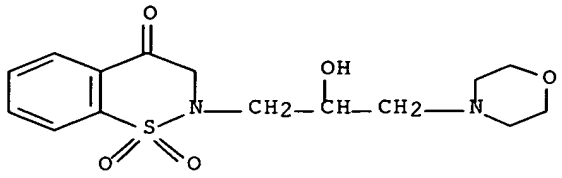
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



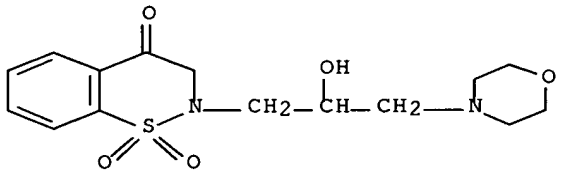
RN 173365-32-7 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[2-hydroxy-3-(4-morpholinyl)propyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 173365-33-8 CAPLUS
CN 2H-1,2-Benzothiazin-3(4H)-one, 2-[2-hydroxy-3-(4-morpholinyl)propyl]-, 1,1-dioxide, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

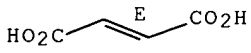
CRN 173365-32-7
CMF C15 H20 N2 O5 S



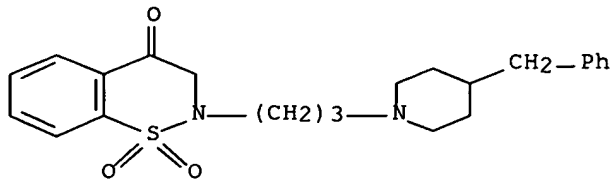
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

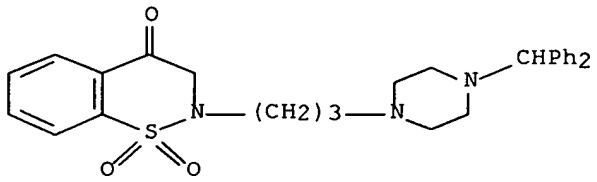


RN 173365-36-1 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-[4-(phenylmethyl)-1-piperidinyl]propyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



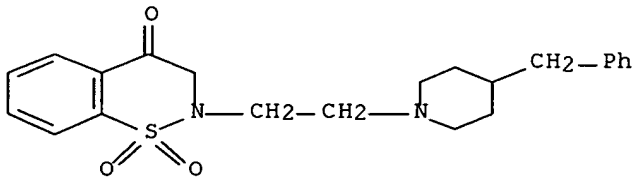
● HCl

RN 173365-38-3 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[3-[4-(diphenylmethyl)-1-piperazinyl]propyl]-
2,3-dihydro-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



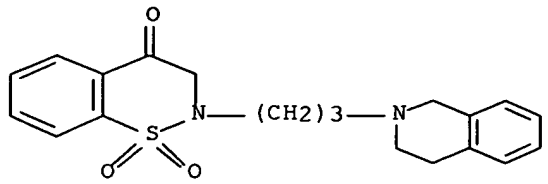
● HCl

RN 173365-39-4 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



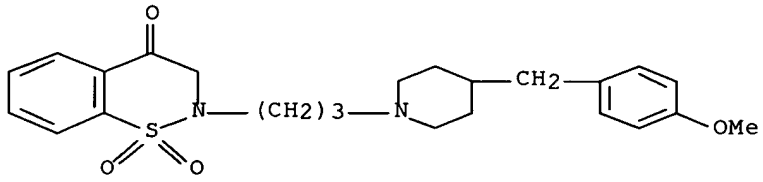
● HCl

RN 173365-40-7 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[3-(3,4-dihydro-2(1H)-isoquinolinyl)propyl]-
2,3-dihydro-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 173365-41-8 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-[4-[(4-methoxyphenyl)methyl]-1-piperidinyl]propyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

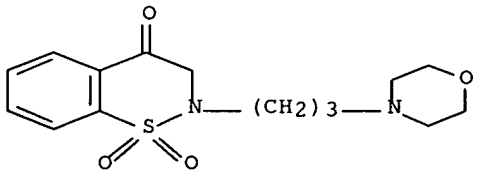


● HCl

RN 173365-43-0 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-(4-morpholinyl)propyl]-, 1,1-dioxide, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

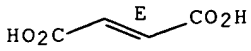
CRN 173365-42-9
CMF C15 H20 N2 O4 S



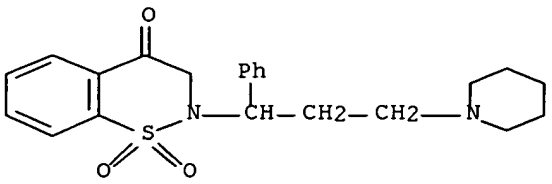
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

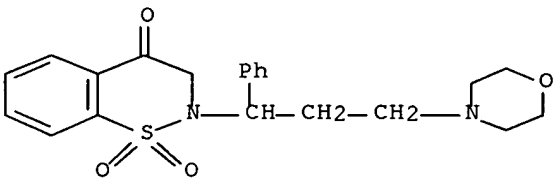


RN 173365-45-2 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[1-phenyl-3-(1-piperidinyl)propyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

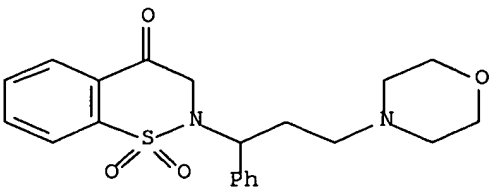
RN 173365-46-3 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-(4-morpholinyl)-1-phenylpropyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 173365-47-4 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-(4-morpholinyl)-1-phenylpropyl]-, 1,1-dioxide, monohydrochloride, (-)- (9CI) (CA INDEX NAME)

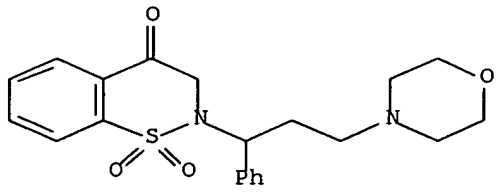
Rotation (-).



● HCl

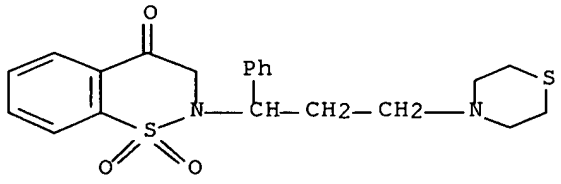
RN 173365-48-5 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-(4-morpholinyl)-1-phenylpropyl]-, 1,1-dioxide, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



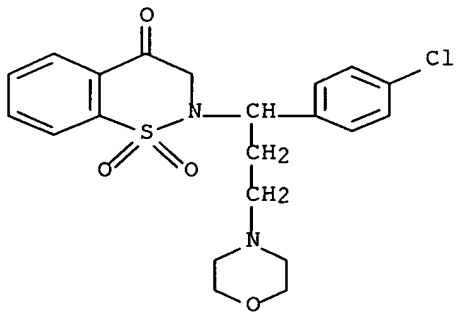
● HCl

RN 173365-49-6 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[1-phenyl-3-(4-thiomorpholinyl)propyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

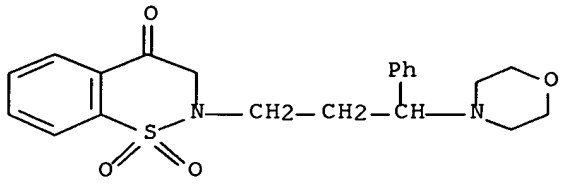


● HCl

RN 173365-50-9 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[1-(4-chlorophenyl)-3-(4-morpholinyl)propyl]-2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

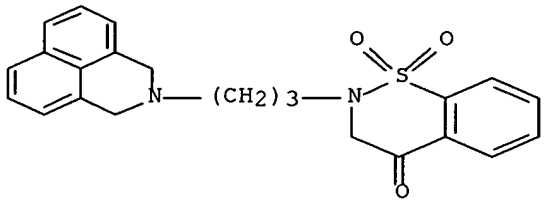


RN 173365-67-8 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-(4-morpholinyl)-3-phenylpropyl]-, 1,1-dioxide, monohydrochloride (9CI). (CA INDEX NAME)

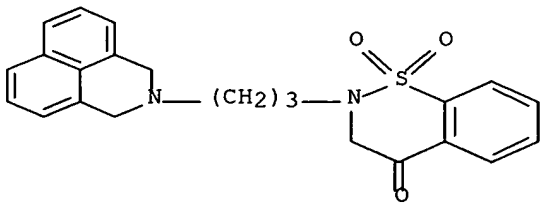


● HCl

RN 173365-68-9 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[3-(1H-benz[de]isoquinolin-2(3H)-yl)propyl]-
2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

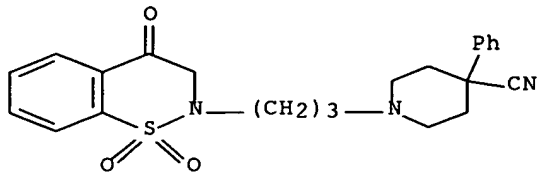


RN 173365-69-0 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[3-(1H-benz[de]isoquinolin-2(3H)-yl)propyl]-
2,3-dihydro-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

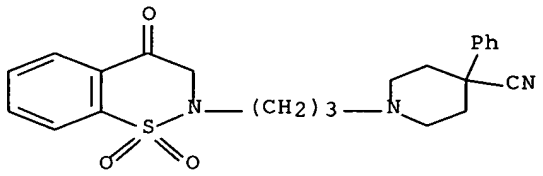
RN 173365-70-3 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[3-(3,4-dihydro-1,1-dioxido-4-oxo-2H-1,2-benzothiazin-2-yl)propyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 173365-71-4 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[3-(3,4-dihydro-1,1-dioxido-4-oxo-2H-1,2-benzothiazin-2-yl)propyl]-4-phenyl-, (2E)-2-butenedioate (1:1) (9CI) (CA
INDEX NAME)

CM 1

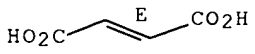
CRN 173365-70-3
CMF C23 H25 N3 O3 S



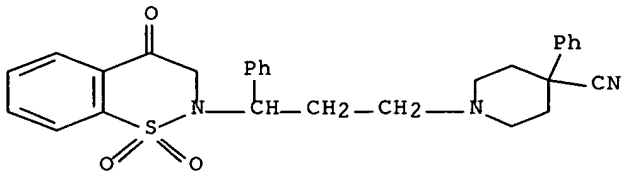
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



RN 173365-72-5 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[3-(3,4-dihydro-1,1-dioxido-4-oxo-2H-1,2-benzothiazin-2-yl)-3-phenylpropyl]-4-phenyl- (9CI) (CA INDEX NAME)

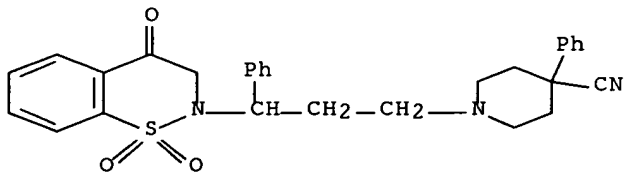


RN 173365-73-6 CAPLUS

CN 4-Piperidinecarbonitrile, 1-[3-(3,4-dihydro-1,1-dioxido-4-oxo-2H-1,2-benzothiazin-2-yl)-3-phenylpropyl]-4-phenyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

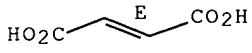
CRN 173365-72-5
CMF C29 H29 N3 O3 S



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1995:933997 CAPLUS
DN 123:340165
TI Preparation of benzothiazine derivatives as serotonin 2 antagonists and .alpha.1 blockers
IN Mizuno, Akira; Shibata, Makoto; Iwamori, Tomoe; Inomata, Norio
PA Suntory Ltd., Japan
SO PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9518117	A1	19950706	WO 1994-JP2194	19941222
	W: AU, CA, CN, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2156849	AA	19950706	CA 1994-2156849	19941222
	AU 9513710	A1	19950717	AU 1995-13710	19941222
	AU 690622	B2	19980430		
	EP 686632	A1	19951213	EP 1995-903941	19941222
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CN 1119859	A	19960403	CN 1994-191572	19941222
	CN 1058492	B	20001115		
	US 5874429	A	19990223	US 1996-669615	19960624
	US 6001827	A	19991214	US 1998-192287	19981116
	US 6316442	B1	20011113	US 1999-379853	19990824
	CN 1281854	A	20010131	CN 2000-103863	20000310
PRAI	JP 1993-345865	A	19931224		
	WO 1994-JP2194	W	19941222		
	JP 1995-177976	A	19950622		
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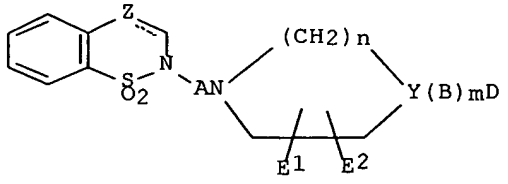

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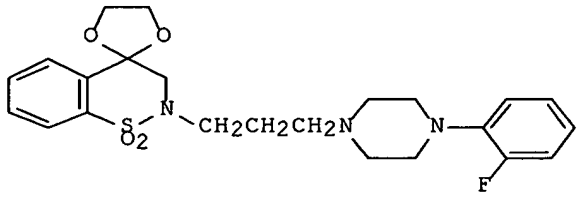


II

AB The title compds. I [broken line indicates the presence or absence of a bond; Z represents C(OR1):, etc.; R1 represents alkyl, aralkyl, etc.; A represents alkylene, alkenylene, etc.; Y represents CH, C: or N, provided when Y is CH, then m represents 0 or 1, n represents 1 or 2, and B represents O, S, carbonyl, etc., when Y is C: , then m represenys 1, n represents 1 or 2, and B represents :CR6 (wherein the double bond is bound to Y, and R6 represents optionally substituted aryl, etc.), and when Y is N, then m represents 0 or 1, n represents 2 of 3, and B represents carbonyl, etc.; E1 and E2 represent each H or lower alkyl; and D represents an arom. hydrocarbon group, arom. heterocyclic group, etc.] are prepd. The title compd. II (prepn. given) at 10-7 M in vitro gave 61.7 % inhibition of serotonin-induced contraction of isolated guinea pig artery.

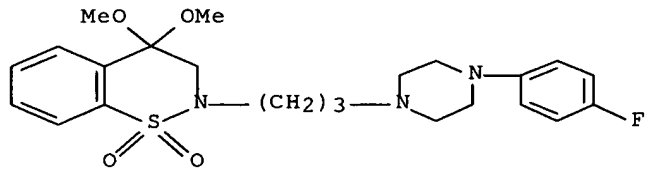
IT **170631-53-5P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(29prepn. of benzothiazine derivs. as serotonin 2 antagonists and .alpha.1 blockers)

RN 170631-53-5 CAPLUS

CN 2H-1,2-Benzothiazine, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-4,4-dimethoxy-, 1,1-dioxide (9CI) (CA INDEX NAME)



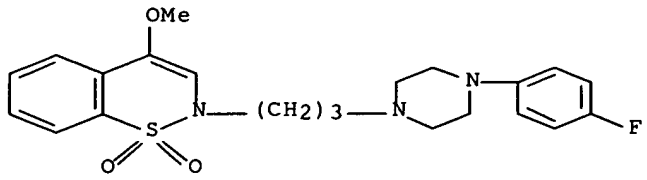
IT **170631-54-6P 170631-55-7P 170631-56-8P**
170631-57-9P 170631-58-0P 170631-59-1P
170631-67-1P 170631-68-2P 170631-69-3P
170631-70-6P 170631-71-7P 170631-72-8P
170631-73-9P 170631-74-0P 170631-75-1P
170631-76-2P 170631-77-3P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

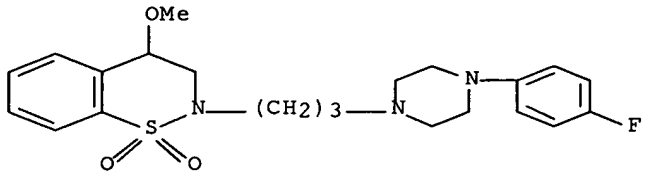
(prepn. of benzothiazine derivs. as serotonin 2 antagonists and .alpha.1 blockers)

RN 170631-54-6 CAPLUS

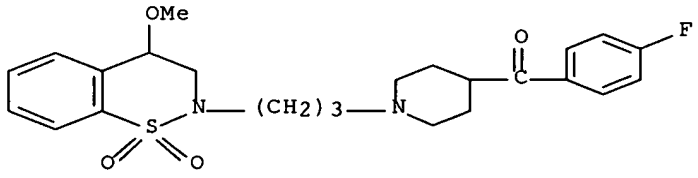
CN 2H-1,2-Benzothiazine, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-4-methoxy-, 1,1-dioxide (9CI) (CA INDEX NAME)



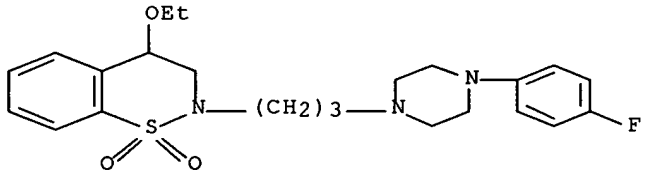
RN 170631-55-7 CAPLUS
CN 2H-1,2-Benzothiazine, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-
dihydro-4-methoxy-, 1,1-dioxide (9CI) (CA INDEX NAME)



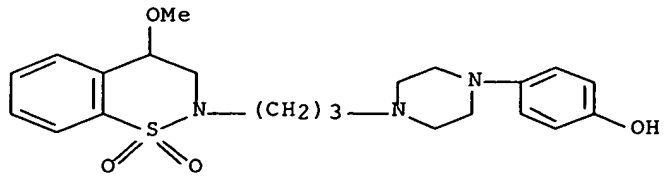
RN 170631-56-8 CAPLUS
CN Methanone, [1-[3-(3,4-dihydro-4-methoxy-1,1-dioxido-2H-1,2-benzothiazin-2-
yl)propyl]-4-piperidinyl](4-fluorophenyl)- (9CI) (CA INDEX NAME)



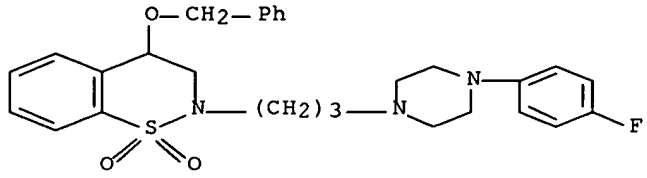
RN 170631-57-9 CAPLUS
CN 2H-1,2-Benzothiazine, 4-ethoxy-2-[3-[4-(4-fluorophenyl)-1-
piperazinyl]propyl]-3,4-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



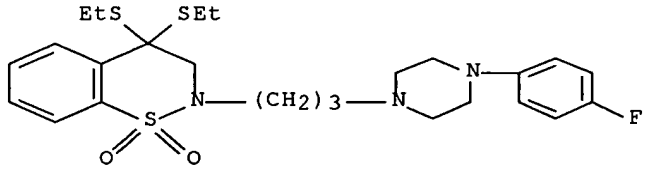
RN 170631-58-0 CAPLUS
CN Phenol, 4-[4-[3-(3,4-dihydro-4-methoxy-1,1-dioxido-2H-1,2-benzothiazin-2-
yl)propyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



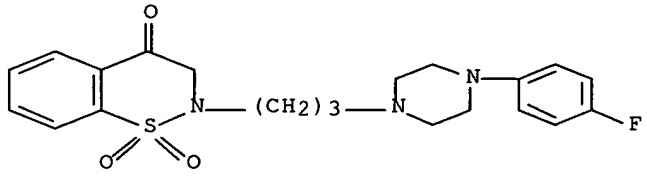
RN 170631-59-1 CAPLUS
CN 2H-1,2-Benzothiazine, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-
dihydro-4-(phenylmethoxy)-, 1,1-dioxide (9CI) (CA INDEX NAME)



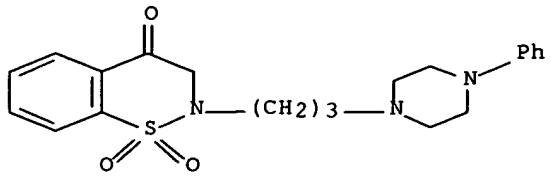
RN 170631-67-1 CAPLUS
CN 2H-1,2-Benzothiazine, 4,4-bis(ethylthio)-2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



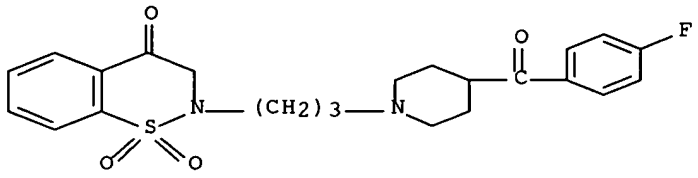
RN 170631-68-2 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-
2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



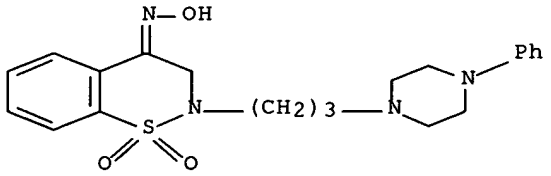
RN 170631-69-3 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-(4-phenyl-1-piperazinyl)propyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



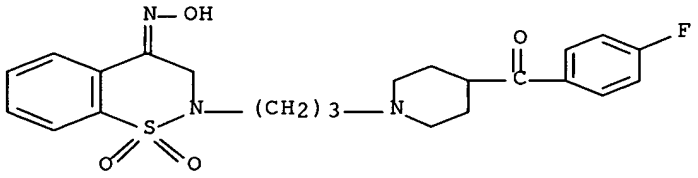
RN 170631-70-6 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]propyl]-
2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



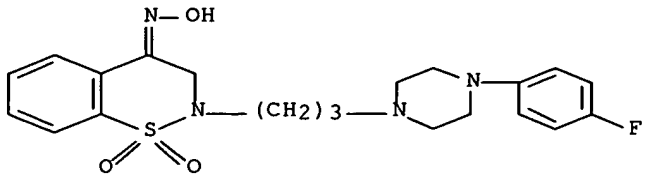
RN 170631-71-7 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-(4-phenyl-1-piperazinyl)propyl]-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



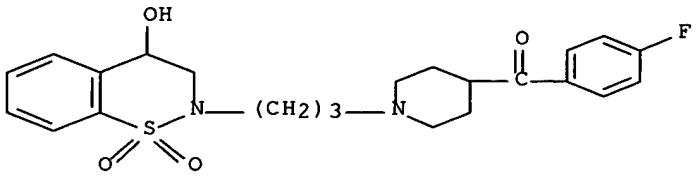
RN 170631-72-8 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]propyl]-
2,3-dihydro-, 4-oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



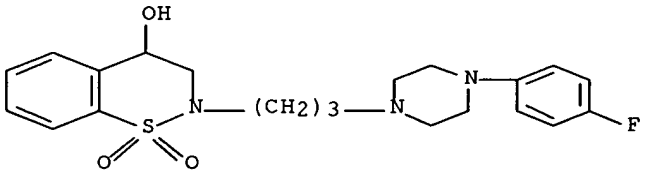
RN 170631-73-9 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-
2,3-dihydro-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



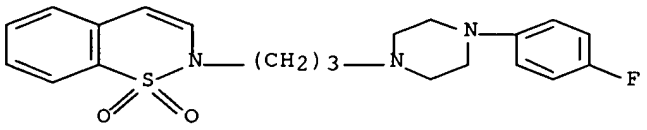
RN 170631-74-0 CAPLUS
CN Methanone, [1-[3-(3,4-dihydro-4-hydroxy-1,1-dioxido-2H-1,2-benzothiazin-2-yl)propyl]-4-piperidinyl](4-fluorophenyl)- (9CI) (CA INDEX NAME)



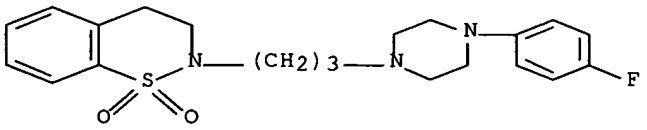
RN 170631-75-1 CAPLUS
CN 2H-1,2-Benzothiazin-4-ol, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



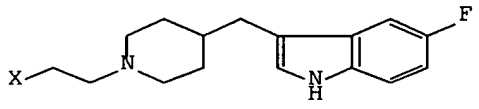
RN 170631-76-2 CAPLUS
CN 2H-1,2-Benzothiazine, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 170631-77-3 CAPLUS
CN 2H-1,2-Benzothiazine, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

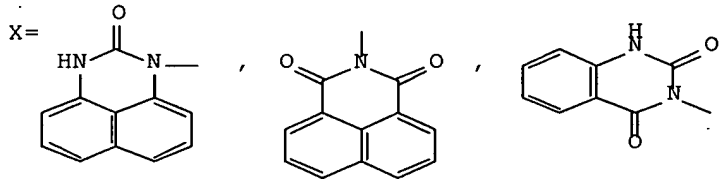


L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1994:435514 CAPLUS
DN 121:35514
TI New indole derivatives as potent and selective serotonin uptake inhibitors
AU Mignani, Serge; Damour, Dominique; Doble, Adam; Labaudiniere, Richard; Malleron, Jean Luc; Piot, Odile; Gueremy, Claude
CS Cent. Rech. Vitry-Alfortville, Rhone-Poulenc Rorer S.A., Vitry-sur-Seine, 94403, Fr.
SO Bioorg. Med. Chem. Lett. (1993), 3(10), 1913-18
CODEN: BMCLE8; ISSN: 0960-894X
DT Journal
LA English
GI



I

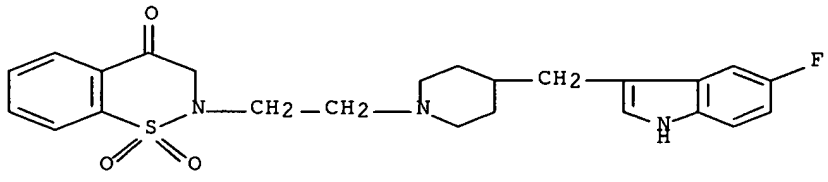
X=



AB A new series of serotonin uptake inhibitors is described. Indole derivs., e.g. I, were prepd. and exhibit potent and selective activities in a binding assay for the 5-HT uptake site and also behave like strong in vivo serotonin uptake inhibitors.

IT **148287-50-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as serotonin uptake antagonist)

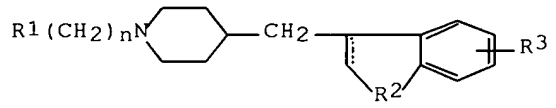
RN 148287-50-7 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[2-[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]ethyl]-2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1993:472498 CAPLUS
DN 119:72498
TI Preparation of 1-alkyl-4-(arylmethyl)piperidines and their pharmaceutical formulations as inhibitors of 5-HT reuptake
IN Damour, Dominique; Labaudiniere, Richard; Malleron, Jean Luc; Mignani, Serge
PA Rhone-Poulenc Rorer SA, Fr.
SO Fr. Demande, 43 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2675801	A1	19921030	FR 1991-5048	19910424

OS MARPAT 119:72498
GI

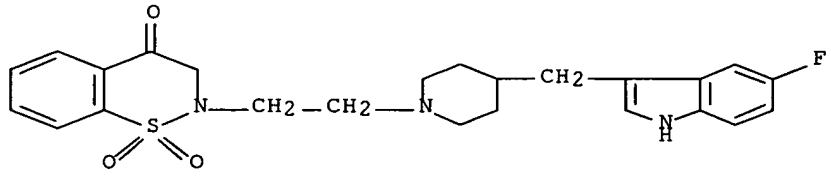


I

AB Title piperidines I [R1 = OH, (un)substituted Ph, heterocyclyl, R4SO2NR5 (R4 = Ph, quinolyl, R5 = H, alkyl), or N(CO2R8)NHCO2R8 (R8 = alkyl); R2 = CH2, CH2CH2, NH, N-alkylimino; R3 = H, halo; R4 = Ph, quinolyl; n = 1-3; partial bond represents single or double C-C bond, where for R2 = NH, it is a double bond, and for R2 = CH2CH2, it a single bond] are prepd. by condensation of an appropriate alkyl halide R1(CH2)nX with 4-(arylmethyl)piperidine. The prepn. of racemates and enantiomers of compds. I contg. at least one chiral center, and their salts with mineral or org. acids, are claimed. Formulations of I for medical use are given(3 examples). The compds. exhibit inhibitory activity of 5-HT recapture.

IT **148287-50-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as inhibitor of 5-HT recapture)

RN 148287-50-7 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[2-[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]ethyl]-2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



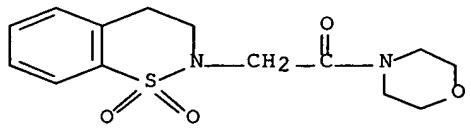
L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1974:48016 CAPLUS
DN 80:48016
TI Therapeutically active dihydrobenzothiazine-s-dioxides
IN Sianesi, Enrico; Da Re, Paulo; Setnikar, Ivo; Massarani, Elena
PA Recordati, S. A. Chemical and Pharmaceutical Co.
SO U.S., 7 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3770733	A	19731106	US 1971-176254	19710830

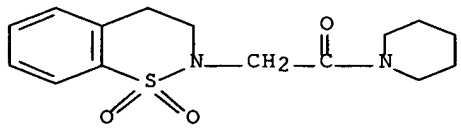
AB Benzothiazinylalkylcarboxamides I (X = CH2, R = H, R1 = H, Me, Et, Pr, CHMe2, Bu, CHMeEt, CMe3, allyl, propargyl, NMe2, NH2, NHEt, NMePh, N:CHMe, NRR1 = NMe2, NEt2, N(CHMe2)2, morpholino, piperidino, pyrrolidino, 4-methylpiperazino; X = CH2CH2, R = H, R1 = CHMe2; X = CMe2, NRR1 = NH2, NHMe, NHCHMe2, NHNMe2) were prepd. for use as hypnotics and anticonvulsants. Thus, o-NCCH2C6H4NH2.HCl was diazotized, and treated with SO2 and CuCl to give o-NCCH2C6H4SO2Cl, which on treatment with NH3 gave o-NCCH2C6H4SO2NH2, followed by cyclization to II (R2 = H). Treatment with BrCH2CO2Et gave II (R2 = CH2CO2Et), which with NH3 gave I (X = CH2, R = R1 = H), having an anticonvulsant ED50 in mice of 50 mg/kg ip.

IT **35263-33-3P 35263-34-4P 35263-35-5P 35263-36-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)(prepn. of)

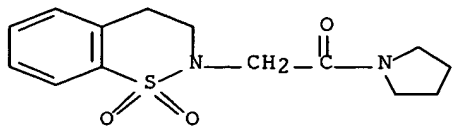
RN 35263-33-3 CAPLUS
CN Morpholine, 4-[(3,4-dihydro-1,1-dioxido-2H-1,2-benzothiazin-2-yl)acetyl]-(9CI) (CA INDEX NAME)



RN 35263-34-4 CAPLUS
CN Piperidine, 1-[(3,4-dihydro-1,1-dioxido-2H-1,2-benzothiazin-2-yl)acetyl]-(9CI) (CA INDEX NAME)

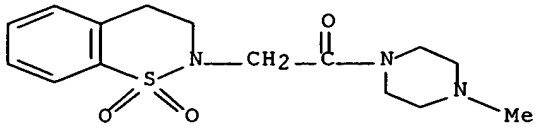


RN 35263-35-5 CAPLUS
CN Pyrrolidine, 1-[(3,4-dihydro-1,1-dioxido-2H-1,2-benzothiazin-2-yl)acetyl]-(9CI) (CA INDEX NAME)

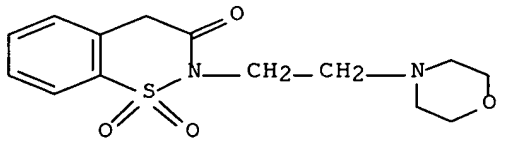


RN 35263-36-6 CAPLUS

CN Piperazine, 1-[(3,4-dihydro-1,1-dioxido-2H-1,2-benzothiazin-2-yl)acetyl]-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1974:69 CAPLUS
DN 80:69
TI New benzothiazines. 4. 1H-2,3-Benzothiazin-4(3H)-one 2,2-dioxide and 2H-1,2-benzothiazin-3(4H)-one 1,1-dioxide nitrogen derivatives with central nervous system activity
AU Sianesi, Enrico; Redaelli, Riccardo; Magistretti, Maria J.; Massarani, Elena
CS Res. Div., Recordati S.a.S., Milan, Italy
SO J. Med. Chem. (1973), 16(10), 1133-7
CODEN: JMCMAR
DT Journal
LA English
AB Addnl. data considered in abstracting and indexing are available from a source cited in the original document. Among the 2 series of title compds., the most active hypnotics and anticonvulsants were 3-allyl-1H-2,3-benzothiazin-4(3H)-one 2,2-dioxide (I) [31846-48-7] and 2-allyl-2H-1,2-benzothiazin-3(4H)-one 1,1-dioxide (II) [31848-18-7]. I had a hypnotic ED50 of 250 mg/kg, i.p. and an anticonvulsant ED70 of 100 mg/kg, i.p. in mice; corresponding values for II were 150 and 160 mg/kg. I and II were prepd. by direct alkylation of the resp. benzothiazinone dioxides with allyl bromide.
IT **31848-26-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 31848-26-7 CAPLUS
CN 2H-1,2-Benzothiazin-3(4H)-one, 2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

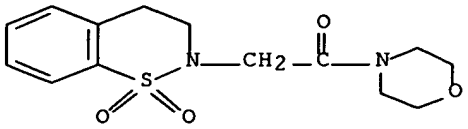


● HCl

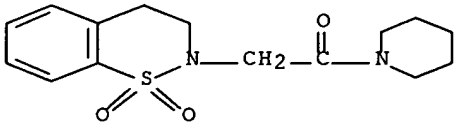
L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1972:72535 CAPLUS
DN 76:72535
TI 3,4-Dihydro-2H-1,2-benzothiazine-2-acetamide S,S-dioxide derivatives
IN Sianesi, Enrico; Da Re, Paolo; Setnikar, Ivo; Massarani, Elena
PA Recordati S. A. Chemical and Pharmaceutical Co.
SO Ger. Offen., 43 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2124953	A	19711216	DE 1971-2124953	19710519
	DE 2124953	B2	19741114		
	DE 2124953	C3	19750703		
	BE 762273	A1	19710701	BE 1971-99171	19710129
	ES 388284	A1	19740216	ES 1971-388284	19710215
	CH 523906	A	19720615	CH 1971-523906	19710219
	CH 527841	A	19720915	CH 1971-527841	19710219
	IL 36248	A1	19730730	IL 1971-36248	19710222
	NL 7102509	A	19711214	NL 1971-2509	19710225
	FR 2094180	A5	19720204	FR 1971-13767	19710419
	FR 2094180	B1	19741018		
	ZA 7103102	A	19720126	ZA 1971-3102	19710512
	GB 1337478	A	19731114	GB 1971-19514	19710608
PRAI	IT 1970-25826		19700611		

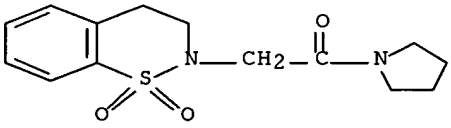
GI For diagram(s), see printed CA Issue.
AB Title compds. (I), sedatives and hypnotics, were prepd. by reaction of amines with I (R = OEt or Cl) or by reaction of 3,4-dihydro-2H-1,2-benzothiazine S,S-dioxide (II) with Na alkoxides and ClQCOR. Thus, 7.15 g I (Q = CH2, R = OEt) kept 4 hr with NH3-satd. MeOH at room temp. and briefly refluxed, gave 5.3 g I (Q = CH2, R = NH2). Similarly prepd. were 27 addnl. I, e.g. (Q and R given): CHEt, NH2; CH2, NHNH2; CH2, NHPr (III); CMe2, NMe2; CH2, morpholino. Many I were tested in mice, e.g. III had LD50 560 mg/kg on i.p. administration, the hypnotic effect was ED50 = 122 mg/kg and the sedative effect ED50 = 28 mg/kg on oral administration.
IT **35263-33-3P 35263-34-4P 35263-35-5P**
35263-36-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 35263-33-3 CAPLUS
CN Morpholine, 4-[(3,4-dihydro-1,1-dioxido-2H-1,2-benzothiazin-2-yl)acetyl]-
(9CI) (CA INDEX NAME)



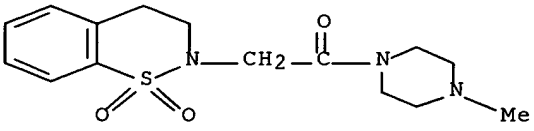
RN 35263-34-4 CAPLUS
CN Piperidine, 1-[(3,4-dihydro-1,1-dioxido-2H-1,2-benzothiazin-2-yl)acetyl]-
(9CI) (CA INDEX NAME)



RN 35263-35-5 CAPLUS
CN Pyrrolidine, 1-[(3,4-dihydro-1,1-dioxido-2H-1,2-benzothiazin-2-yl)acetyl]-
(9CI) (CA INDEX NAME)



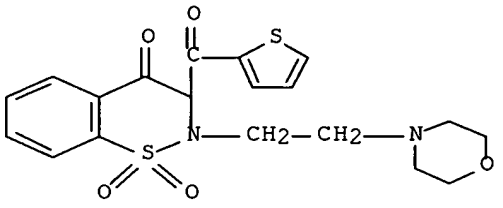
RN 35263-36-6 CAPLUS
CN Piperazine, 1-[(3,4-dihydro-1,1-dioxido-2H-1,2-benzothiazin-2-yl)acetyl]-4-
methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1971:476815 CAPLUS
DN 75:76815
TI 1,2-Benzothiazine compounds
IN Hasegawa, Gen; Munakata, Tomohiko; Furuta, Tetsuya; Tsuda, Tachimi
PA Yoshitomi Pharmaceutical Industries, Ltd.
SO Jpn. Tokkyo Koho, 3 pp.
CODEN: JAXXAD
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 46022027	B4	19710622	JP	19690118

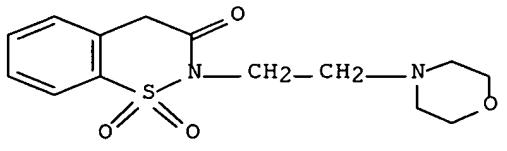
GI For diagram(s), see printed CA Issue.
AB I (X = Cl, Br, OMe, Me, H; Y = aminoalkyl; Z = O, S), useful as diuretics, antiinflammatants, antibacterials, etc., are manufd. 3-(2-Thienylcarbonyl)-3,4-dihydro-2H - 1,2 - benzothiazin - 4 - one 1,1-dioxide, in a mixt. of NaOH, EtOH, and H2O, is treated with 2-morpholinoethyl chloride to give I (X = H, Y = morpholinoethyl, Z = S); hydrochloride m. 235-7.degree.. Similarly prepd. are 10 more I.
IT **33215-46-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 33215-46-2 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-(2-morpholinoethyl)-3-(2-thenoyl)-, 1,1-dioxide, monohydrochloride (8CI) (CA INDEX NAME)



L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1971:141829 CAPLUS
DN 74:141829
TI Antispasmodic and narcotic oxodihydrobenzothiazine S-dioxides
IN Sianesi, Enrico; Setnikar, Ivo; Massarani, Elena; Da Re, Paolo
PA Recordati S. A. Chemical and Pharmaceutical Co.
SO Ger. Offen., 74 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2022694	A	19701112	DE 1970-2022694	19700508
	DE 2022694	B2	19741031		
	DE 2022694	C3	19750619		
	ES 378815	A1	19730201	ES 1970-378815	19700420
	BE 749672	A	19701001	BE 1970-749672	19700428
	NL 7006352	A	19701111	NL 1970-6352	19700429
	ZA 7003127	A	19710127	ZA 1970-3127	19700508
	FR 2051511	A1	19710409	FR 1970-16831	19700508
	FR 2051511	A5	19710409		
	CH 509340	A	19710630	CH 1970-509340	19700508
	CH 511249	A	19710815	CH 1970-511249	19700508
	CH 515266	A	19711115	CH 1970-515266	19700508
	AT 299222	B	19720612	AT 1970-4177	19700508
	GB 1308022	A	19730228	GB 1970-22395	19700508
	SE 373585	B	19750210	SE 1970-6339	19700508
PRAI	IT 1969-16635		19690509		

GI For diagram(s), see printed CA Issue.
AB The 3,4-dihydro-3-oxo-2H'-1, 2-benzothiazine S,S-dioxides (I) and 3,4-dihydro-4-oxo-1H-2,3-benzothiazine S,S-dioxides (II), where R = alkyl, CH2CH:CH2, CH2CONR1R2, are prepd. by cyclization of an o-sul-famoylphenylacetic acid or an o-carboxybenzylsulfonamide in the presence of a dehydrating agent. Thus, o-NCCH2C6H4-SO2Cl, m. 109-11.degree., stirred in C6H6 30 min with introduction of NH3 at 0.degree. gave o-CNCH2C6H4SO2NH2, m. 158-60.degree., refluxed 3 hr in N NaOH and acidified to give o-H2NSO2C6H4CH2CO2H (III), m. 175-80.degree.. III heated 1 hr at 100.degree. with polyphosphoric acid yielded I (R = H), m. 198-201.degree.. Similarly were several I and II prepd.
IT **31848-26-7P**
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
RN 31848-26-7 CAPLUS
CN 2H-1,2-Benzothiazin-3(4H)-one, 2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

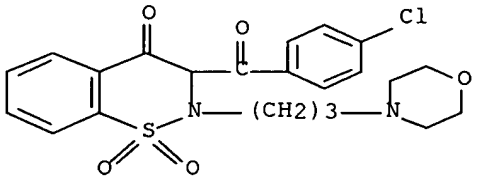


● HCl

L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1971:141828 CAPLUS
DN 74:141828
TI 1,2-Benzothiazines
IN Hasegawa, Gen; Munakata, Tomohiko; Yoshida, Tetsuya; Tsumagari, Tatsumi
PA Yoshitomi Pharmaceutical Industries, Ltd.
SO Jpn. Tokkyo Koho, 5 pp.
CODEN: JAXXAD
DT Patent
LA Japanese
FAN.CNT 1

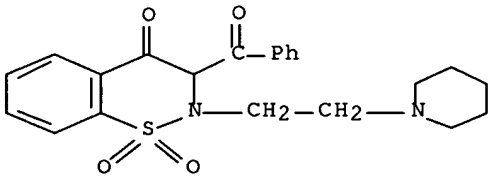
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 46000029	B4	19710105	JP	19680318

GI For diagram(s), see printed CA Issue.
AB 3-Benzoyl-3,4-dihydro-2H-1,2-benzothiazin-4-one 1,1-dioxide (5 g) in 19 ml N NaOH, 13 ml H2O, and 63 ml EtOH was stirred overnight with prperidinoethyl chloride (from 3.7 g HCl salt) to give 3.5 g I (R = Ph, X = CH2CH2, NY2 = piperidino), m. 215-18.degree.. Similarly, I were prepd.(R, X, Y, or NY2, and m.p. given): Me, (CH2)3, Pr, 173-5.degree.; p-ClC6H4, (CH2)3, morpholino, 210-12.degree. (HCl salt); Ph, CH2CHMeCH2, 4-phenyl-1-piperazino, 218-21.degree. (HCl salt). Also prepd. were 7-Cl, 6-MeO, and other analogs, in which R was Me3C, 3,4-ClC6H3, p-anislyl, p-tolyl, cyclohexyl, or similar residues.
IT **31848-42-7P 31858-76-1P 32650-75-2P**
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
RN 31848-42-7 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 3-(p-chlorobenzoyl)-2,3-dihydro-2-(3-morpholinopropyl)-, 1,1-dioxide, hydrochloride (8CI) (CA INDEX NAME)

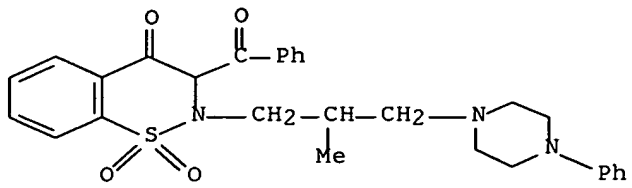


●x HCl

RN 31858-76-1 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 3-benzoyl-2,3-dihydro-2-(2-piperidinoethyl)-, 1,1-dioxide (8CI) (CA INDEX NAME)



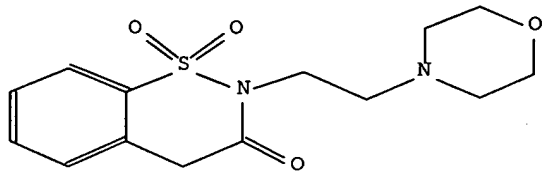
RN 32650-75-2 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 3-benzoyl-2,3-dihydro-2-[2-methyl-3-(4-phenyl-1-piperazinyl)propyl]-, 1,1-dioxide, hydrochloride (8CI) (CA INDEX NAME)



● x HCl

L7 ANSWER 1 OF 1 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	691238
Chemical Name (CN):	2-(2-morpholin-4-yl-ethyl)-1,1-dioxo-1,4-dihydro-2H-1.lambda.6-benzo<e><1,2>thiazin-3-one
Autonom Name (AUN):	2-(2-morpholin-4-yl-ethyl)-1,1-dioxo-1,4-dihydro-2H-1.lambda.6-benzo<e><1,2>thiazin-3-one
Molec. Formula (MF):	C14 H18 N2 O4 S
Molecular Weight (MW):	310.37
Lawson Number (LN):	31166, 30824, 3018
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	628350
Tautomer ID (TAUTID):	659824
Beilstein Citation (BSO):	5-27
Entry Date (DED):	1988/11/28
Update Date (DUPD):	1992/11/13



Reference(s):
1. Sianesi,E. et al., J.Med.Chem., CODEN: JMCMAR, 16, <1973>, 1133-1137 CDER

Note(s): Hydrochlorid F:235-238grad

Reference(s):
1. Patent: Recordati S.A. DE 2022694 1970, Chem.Abstr., 74(141829)

Further Information:
FINFO

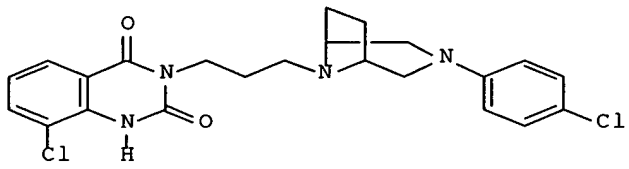
Reference(s):
1. Patent: Recordati S.A. DE 2022694 1970, Chem.Abstr., 74(141829)

Reference(s):
1. Sianesi,E. et al., J.Med.Chem., CODEN: JMCMAR, 16, <1973>, 1133-1137

L10 ANSWER 1 OF 14 MARPAT COPYRIGHT 2002 ACS
AN 136:151174 MARPAT
TI Preparation of 3-[(arylazabicycloalkyl)alkyl]quinazoline-2,4-diones as serotonin reuptake inhibitors and 5-HT2A receptor antagonists
IN Butler, Todd William; Fliri, Anton Franz Josef; Gallaschun, Randall Jemes
PA Pfizer Products Inc., USA
SO Eur. Pat. Appl., 68 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 1178048	A1	20020206	EP 2001-306629	20010802
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2002052355	A1	20020502	US 2001-920500	20010801
BR 2001003210	A	20020326	BR 2001-3210	20010803
JP 2002114789	A2	20020416	JP 2001-236982	20010803
PRAI US 2000-222707P		20000803		

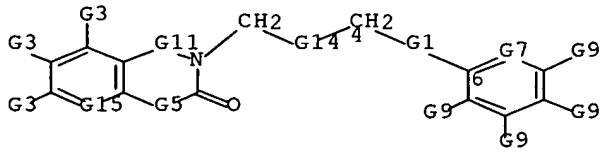
GI



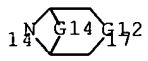
II

AB R(CH2)nZR1 [I; e.g., (un)substituted 2,4-dioxoquinazolin-3-yl; R1 = e.g., (un)substituted Ph; Z = azabicycloalkylene; n = 3 or 4] were prepd. Thus, 3,2-Cl(H2N)C6H3CO2H underwent cyclocondensation/cyclization with Cl(CH2)3NCO to give 8-chloro-3,4-dihydro-2H-1-oxa-4a,9-diazaanthracene-10-one which underwent aminative ring opening with 3-(4-chlorophenyl)-3,8-diazabicyclo[3.2.1]octane to give title compd. II. Data for biol. activity of I were given.

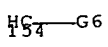
MSTR 1



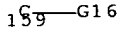
G1 = 14-4 17-6



G5 = 154



G11 = SO2
G14 = (1-2) CH2
G15 = 159



MPL: claim 1
NTE: and pharmaceutically acceptable salts
NTE: additional ring formation also claimed

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

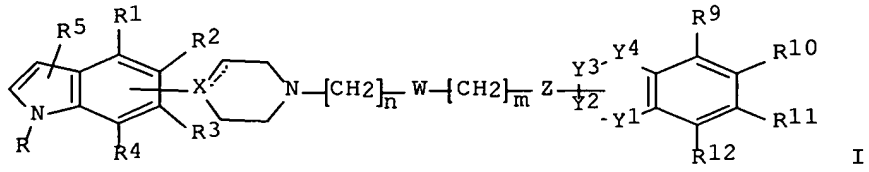
L10 ANSWER 2 OF 14 MARPAT COPYRIGHT 2002 ACS
AN 136:85825 MARPAT
TI Preparation of piperazinyl(or piperidinyl)-substituted indole derivatives
for the treatment of CNS disorders
IN Bang-Andersen, Benny; Felding, Jakob; Kehler, Jan
PA H. Lundbeck A/S, Den.
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002000645	A1	20020103	WO 2001-DK407	20010613

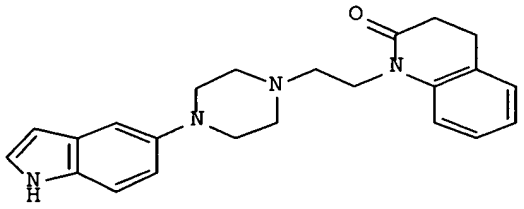
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI DK 2000-1018 20000629
GI



I

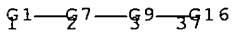


II

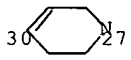
AB The title compds. [I; Y1 = N, which is bound to Z, Z and Y2 = CH2, CO, CS, SO and SO2, Y3 = O, S, CHR7, Y4 = O, S, CHR8; or Y2 = N, which is bound to Z, Z and Y1 = CH2, CO, CS, SO and SO2, Y3 = CHR7, Y4 = O, S, CHR8; or Y2 = N, which is bound to Z, Z and Y3 = CH2, CO, CS, SO and SO2, Y1 = CHR6, Y4

= O, S, CHR8; W = a bond, O, S, CO, CS, SO, SO2; X = C, CH, N; n = 0-5; m
= 0-5; n + m = 1-6; one of R1-R4 forms a bond to X and the others of R1-R4
and R5 and R9-R12 = H, halo, CN, etc.; R6-R8 = H, halo; R = H, alkyl, acyl, etc.] and their pharmaceutically acceptable salts which are dopamine
and serotonin receptor ligands, and therefore useful in the treatment of certain psychiatric and neurol. disorders, i. e. schizophrenia and other psychoses, anxiety disorders, depression, migraine, cognitive disorders, ADHD and sleep improvement, were prepd. and formulated. Thus, reacting 5-(piperazin-1-yl)-1H-indole with 1-(2-chloroethyl)-3,4-dihydroquinolin-2(1H)-one (prepns. given) in the presence of LiBr, Et3N and DMF in THF and
butanone afforded II.oxalate which showed 90% inhibition of the binding of
[3H]YM-09151-2 to human dopamine D4,2 receptors at 50 nM, and IC50 of 29 nM against 5-HT2A binding.

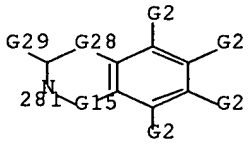
MSTR 1



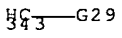
G7 = 30-1 27-3



G10 = (1-5) CH2
G15 = SO2
G16 = 281



G28 = 343



MPL: claim 1
NTE: or pharmaceutically acceptable salts
NTE: substitution is restricted

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 14 MARPAT COPYRIGHT 2002 ACS
AN 136:37528 MARPAT
TI Preparation of indole derivatives for the treatment of CNS disorders
IN Bang-Andersen, Benny; Felding, Jakob; Kehler, Jan; Andersen, Kim
PA H. Lundbeck A/S, Den.
SO PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001096328	A1	20011220	WO 2001-DK406	20010613

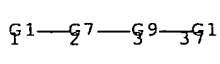
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI DK 2000-919 20000614
US 2000-212445P 20000616
GI

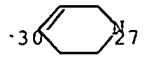
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; one of Y1, Y2 = N, which is bound to Y4, and the other Y1 and Y2 = CO, CS, SO, etc; Y4 = CH2, CO, CS, etc.; Y3 = ZCH2, CH2Z, CH2CH2; Z = O, S; W = a bond, O, S, etc.; n = 0-5; m = 0-5; m + n = 1-10; X = C, CH, N; R1-R9 = H, halo, CN, etc.; R10 = H, alkyl, aryl, etc.] which are dopamine and serotonin receptor ligands, and are useful in the treatment of certain psychiatric and neurol. disorders, i.e. schizophrenia, other psychoses, anxiety disorders, depression, migraine, cognitive disorders, ADHD and sleep improvement, were prepd. and formulated. Thus, reacting 5-fluoro-3-(piperidin-4-yl)-1H-indole with 1-(2-chloroethyl)-3,4-dihydroquinolin-2-(1H)-one in the presence of Et3N in DMF and butanone afforded II which showed 92% inhibition of the binding of [3H]YM-09151-2 to human dopamine D4 receptors at 50 nM.

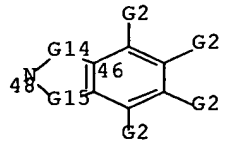
MSTR 1



G7 = 30-1 27-3



G10 = (1-6) CH2
G14 = CH2CH2
G15 = SO2
G16 = 48



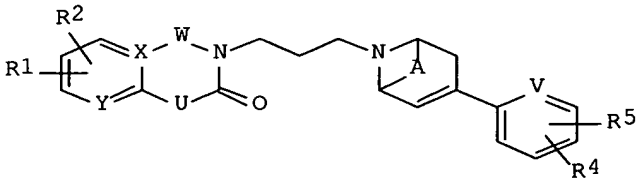
MPL: claim 1
NTE: or pharmaceutically acceptable acid addition salts
NTE: substitution is restricted

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 14 MARPAT COPYRIGHT 2002 ACS
AN 134:222727 MARPAT
TI Preparation of tetrahydroquinazoline-2,4-diones for inhibiting serotonin reuptake or 5-HT2A serotonin receptor binding
IN Butler, Todd William; Fliri, Anton Franz Josef; Gallaschun, Randall James;
Jones, Brian Patrick; Ragan, John Anthony
PA Pfizer Products Inc., USA
SO Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 1083178	A1	20010314	EP 2000-307433	20000830
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2001114778	A2	20010424	JP 2000-261115	20000830
JP 3285343	B2	20020527		
JP 2002212161	A2	20020731	JP 2001-337442	20000830
PRAI US 1999-151725P	19990831			
JP 2000-261115	20000830			

GI



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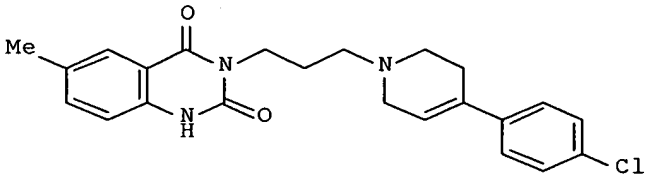
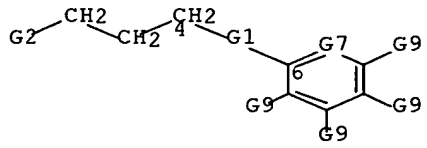


II

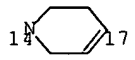
AB The title compds. [I; A = (CH2)n (wherein n = 0-2); U = CH2, NH, NR3; R1,
R2 = H, alkyl, Cl, etc.; or R1 and R2, together with the atoms to which they are attached, form 5-6 membered carbocyclic or heterocyclic ring; R3
= H, alkyl, C(O)alkyl; R4, R5 = H, alkyl, Cl, etc.; V = CH, CR3, N; W = CH2, CO, SO2; X = C, N; Y = CH, CR1, CR2, N] and their pharmaceutically acceptable salts, useful in treating diseases, conditions or disorders of
the central nervous system, were prepd. Thus, treatment of Me 2-amino-5-methylbenzoate with triphosgene in the presence of Et3N in CH2Cl2 followed by addn. of 3-[4-(4-chlorophenyl)-3,6-dihydro-2H-

pyridin-1-
yl]propylamine (prepn. given) afforded 79% II. The exemplified compds. I
showed more than 50% inhibition at <50 nM in the serotonin reuptake assay
and binding assays for 5-Ht2A serotonin receptor.

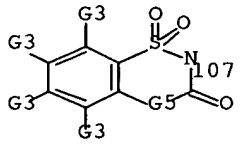
MSTR 1



G1 = 14-4 17-6



G2 = 107



G5 = CH2
MPL: claim 1
NTE: or pharmaceutically acceptable salts

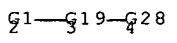
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 14 MARPAT COPYRIGHT 2002 ACS
AN 131:170632 MARPAT
TI Novel cyclic sulfonamide derivatives as metalloproteinase inhibitors
IN Duan, Jingwu; Chen, Lihua; Cherney, Robert J.; Decicco, Carl P.; Voss, Matthew E.
PA Du Pont Pharmaceuticals Company, USA
SO PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

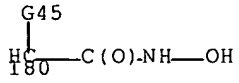
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9941246	A1	19990819	WO 1999-US2767	19990210
	W: AU, CA, IL, JP, MX, NZ				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2319173	AA	19990819	CA 1999-2319173	19990210
	AU 9925947	A1	19990830	AU 1999-25947	19990210
	EP 1054877	A1	20001129	EP 1999-905898	19990210
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 2002503657	T2	20020205	JP 2000-531441	19990210
PRAI	US 1998-74301P	19980211			
	WO 1999-US2767	19990210			

AB Cyclic sulfonamides ACR1R2NR3SO2CR4:CR5R6 [A = CHO, alkanoyl, CO2H or esters, CHRCO2H (R = H, Me, Et, i-Pr, vinyl, 1- or 2-propenyl), CHRCONHOH, CONHOH or O-substituted derivs., (un)substituted amino, SH, CH2SH, (un)substituted SONH2 or SNHNH2, P(O)(OH)2, (un)substituted P(O)(OH)NH2; R1 = H, Q (carbocyclic or heterocyclic residue), alkylene-Q, alkenylene-Q, alkynylene-Q, oxa- or aza-alkylene-Q, etc.; R2 = H, alkylene-H, alkenylene-H, alkynylene-H, oxa- or aza-alkylene-H, etc.; R3 and R5 form an (un)substituted 5-10 membered ring contg. 0-2 addnl. heteroatoms and 0-1 double bonds; R4 and R6 form benzo or (un)substituted heteroarom. ring] were prepd. as metalloprotease inhibitors. Thus, (R)-4,5-dihydro-N-hydroxy-.alpha.-methyl-1,2,5-benzothiadiazepine-2(3H)-acetamide 1,2-dioxide was prepd. starting from the reaction of 2-nitrobenzenesulfonyl chloride with D-alanine Me ester hydrochloride.

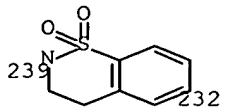
MSTR 1



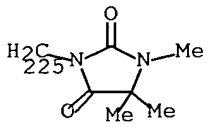
G1 = 180



G19 = 239-2 232-4



G45 = 225



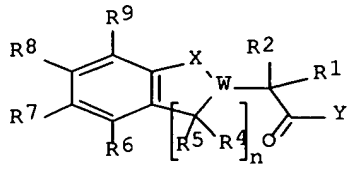
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
STE: or stereoisomers

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 14 MARPAT COPYRIGHT 2002 ACS
AN 130:352182 MARPAT
TI Preparation of hydroxamic and carboxylic acid derivatives having MMP and TNF inhibitory activity
IN Baxter, Andrew Douglas; Owen, David Alan; Montana, John Gary; Nicholson, Elisabeth Jane Reed
PA Darwin Discovery Limited, UK
SO PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9924419	A1	19990520	WO 1998-GB3396	19981112
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2308361	AA	19990520	CA 1998-2308361	19981112
	AU 9910470	A1	19990531	AU 1999-10470	19981112
	AU 746158	B2	20020418		
	ZA 9810359	A	19991112	ZA 1998-10359	19981112
	EP 1030851	A1	20000830	EP 1998-952928	19981112
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 2001522843	T2	20011120	JP 2000-520433	19981112
	US 6310088	B1	20011030	US 2000-564217	20000504
PRAI	GB 1997-23904	19971112			
	GB 1998-14043	19980629			
	US 1997-68793P	19971224			
	US 1998-190334	19981112			
	WO 1998-GB3396	19981112			

GI



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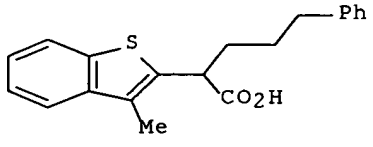
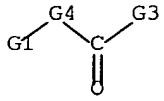


II

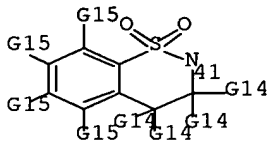
AB The title compds. [I; n =1-2; X = O, S(O)0-2; Y = OH, NHOH; W = CR3, N (when X = SO2); R1 = H, alkyl, alkenyl, etc.; R2 = H, alkyl; CR1R2 = (un)substituted cycloalkyl, heterocycloalkyl; R3-R5 = H, alkyl; R3R4 = a bond; R6-R9 = H, alkyl, aryl, etc.; R6 and R7, R7 and R8, R8 and R9, oe when n = 1, R5 and R6, and the carbons to which they are attached may

form
aryl, heteroaryl, cycloalkenyl, heterocycloalkenyl], useful as therapeutic
agents, by virtue of having MMP and TNF inhibitory activity, were prepd. Thus, treatment of 3-methylbenzo[b]thiophene-2-acetic acid with BuLi/hexanes followed by addn. of 1-bromo-3-phenylpropane afforded 37% II.
Compds. I are effective at 0.01-50 mg/kg/day.

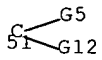
MSTR 1



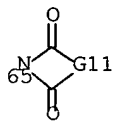
G1 = 41



G4 = 51



G5 = alkyl<(1-6)> (SO (1-) G8)
G8 = 65



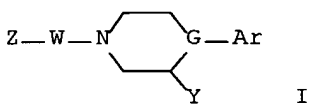
DER: and salts, solvates, hydrates, N-oxides, and protected amine, carboy,
and hydroxamic derivatives
MPL: claim 1
NTE: additional ring formation also claimed

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 14 MARPAT COPYRIGHT 2002 ACS
AN 129:211720 MARPAT
TI Dopamine D4 receptor antagonist
IN Ohno, Yukihiro; Kojima, Atsuyuki; Wakabayashi, Junko; Tagashira, Rie
PA Sumitomo Pharmaceuticals Co., Ltd., Japan
SO PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9837893	A1	19980903	WO 1998-JP744	19980223
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9862306	A1	19980918	AU 1998-62306	19980223
PRAI	JP 1997-59809		19970226		
	WO 1998-JP744		19980223		

GI



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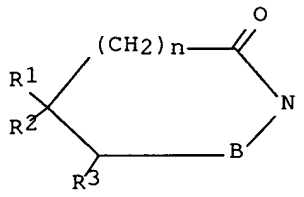
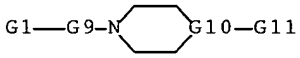


II

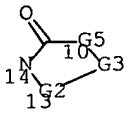
AB An imide deriv. represented by general formula (I) [wherein Z is represented by formula (2) (wherein B represents a carbonyl group or the like; for R1, R2, and R3, R1 and R2 combine with each other to form an optionally substituted hydrocarbon ring with R3 representing a hydrogen atom, or alternatively R1 and R3 may combine with each other to form an optionally substituted hydrocarbon ring with R2 representing a hydrogen atom; and n is 0 or 1), or a group represented by R4CO-NR5-(wherein R4 represents an optionally substituted Ph group or the like; and R5 represents a hydrogen atom or a lower alkyl group); W represents an optionally substituted lower alkylene group or the like, G represents a nitrogen atom or a methine group; Ar represents an optionally substituted pyrimidyl group or the like; and Y represents a hydrogen atom or -(CH2)m- (wherein m is 1, 2 or 3) with the other end being optionally bonded to the o-position of Ar] or a pharmaceutically acceptable salt thereof is an antagonist against a dopamine D4 receptor that does not cause an extrapyramidal syndrom assocd. with dopamine D2 receptor antagonism and

is
useful as a therapeutic agent for mental disorder, e.g., schizophrenia in a neg. state or the like and L-DOPA mental disorder during treatment of Parkinson's disease.

MSTR 1



G1 = 14



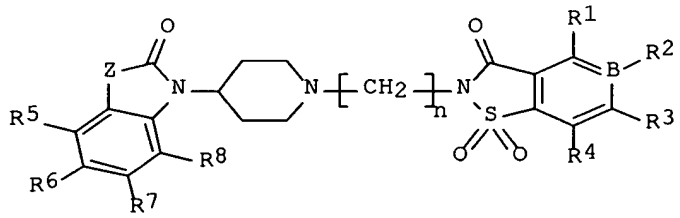
G2 = SO2
G3 = o-C6H4
G5 = CH2
G9 = loweralkylene (SO)
MPL: claim 1
NTE: additional ring formation also claimed
NTE: additional substitution also claimed

L10 ANSWER 8 OF 14 MARPAT COPYRIGHT 2002 ACS
AN 129:54361 MARPAT
TI Preparation of benzisothiazolones and analogs as .alpha.1C-adrenergic receptor antagonists
IN Huff, Joel R.; Lee, Hee-yoon; Nerenberg, Jennie B.; Thompson, Wayne J.; Bell, Ian M.
PA Merck and Co., Inc., USA
SO U.S., 57 pp., Cont.-in-part of U. S. Ser. No. 229,276, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

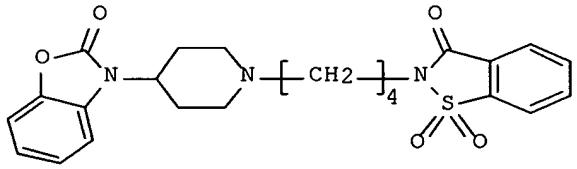
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5760054	A	19980602	US 1996-722001	19961001
WO 9528397	A1	19951026	WO 1995-US4590	19950413

W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, US, UZ
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

PRAI US 1994-229276 19940413
WO 1995-US4590 19950413
GI



I

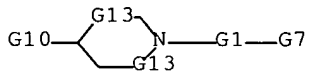


II

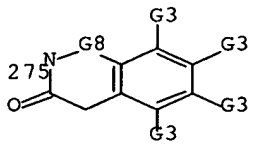
AB The invention relates to the claimed title compds. I [n = 3-5; B = C or N;
R1, R2, R3, R4 = H, halo, NO2, NH2, (un)substituted alkyl, alkoxy, aryl, heteroaryl, etc.; R5, R6, R7, R8 = H, alkyl, alkenyl, alkoxy; Z = O, S, CH2, NH, NMe] and analogs. Also disclosed are the synthesis and use of the compds. as selective .alpha.1C-adrenergic receptor antagonists. The primary application of the compds. is in the treatment of benign prostatic
hypertrophy (BPH). The compds. selectively relax smooth muscle tissue

enriched in the .alpha.1C receptor subtype without inducing orthostatic hypotension. The compds. provide acute relief of BPH by permitting less hindered urine flow. I and analogs are also useful in combination with human 5.alpha.-reductase inhibitors, providing both acute and chronic relief from the effects of BPH. Approx. 130 specific invention compds. are disclosed. The cloning and use of a cDNA for a human .alpha.1C adrenoceptor in an in vitro assay is described. For instance, alkylation of 1-(4-piperidinyl)-3-benzoxazolin-2-one.HCl (prepd. in 4 steps) with 2-(4-bromobutyl)-1,1-dioxido-1,2-benzisothiazol-3(2H)-one in the presence of (i-Pr)2NEt in DMF gave 40% title compd. II. Selected compds. showed nanomolar or subnanomolar affinity for human .alpha.1C receptor subtype while showing 30-fold lower affinity for human .alpha.1A and .alpha.1B subtypes (no data).

MSTR 2C



G1 = (3-5) CH2
G7 = 275

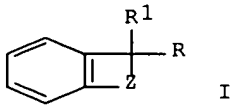


G8 = SO2
DER: and pharmaceutically acceptable salts, prodrugs, polymorphs, or metabolites
MPL: disclosure

L10 ANSWER 9 OF 14 MARPAT COPYRIGHT 2002 ACS
AN 128:140729 MARPAT
TI Preparation of 3-[2-(4-arylazino)ethyl]-2-indolones and analogs as antiincontinence agents
IN Kato, Kaneyoshi; Doi, Takayuki; Sugiura, Yoshihiro; Kawada, Mitsuru
PA Takeda Chemical Industries, Ltd., Japan; Kato, Kaneyoshi; Doi, Takayuki; Sugiura, Yoshihiro; Kawada, Mitsuru
SO PCT Int. Appl., 185 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9802432	A1	19980122	WO 1997-JP2447	19970715
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9734607	A1	19980209	AU 1997-34607	19970715
JP 10338672	A2	19981222	JP 1997-188831	19970715
PRAI JP 1996-186025	19960716			
JP 1997-87980	19970407			
WO 1997-JP2447	19970715			

GI



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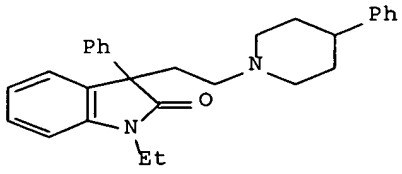
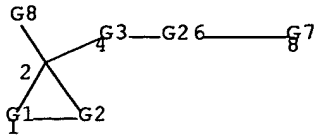


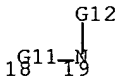
I

AB Title compds. [(ring-substituted) I; R = (CH2)mZ1Z2R2; R1,R2 = (un)substituted aryl; Z = atoms to complete a (heterocyclic) ring; Z1 = (un)substituted N-attached heterocyclylene; Z2 = bond or (oxo)alkylene; m = 1-3] were prepd. Thus, PhCH2CO2Et was arylated by 4-FC6H4NO2 and the cyclized product converted in 3 steps to title compd. II. Data for biol. activity of I were given.

MSTR 1

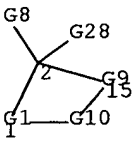


G1 = o-C6H4 (SO G20)
G9 = (0-2) CH2
G10 = 18-1 19-15

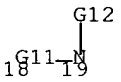


G11 = SO2
G12 = alkyl<(1-6)> (SO (1-5) G21)
G21 = phthalimido
DER: or salts
MPL: claim 1

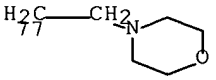
MSTR 2



G1 = o-C6H4 (SO G20)
G9 = (0-2) CH2
G10 = 18-1 19-15



G11 = SO2
G12 = 77

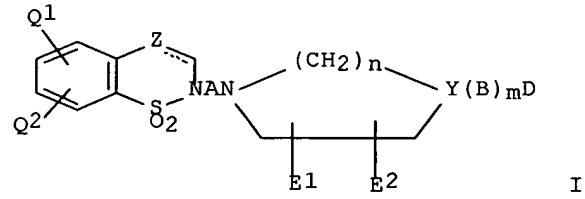


DER: or salts
MPL: claim 21

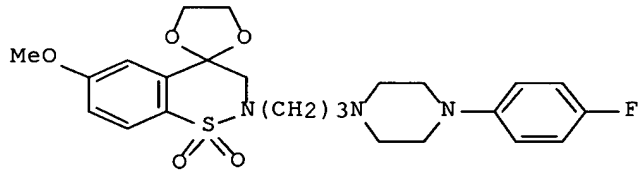
L10 ANSWER 10 OF 14 MARPAT COPYRIGHT 2002 ACS
AN 126:144283 MARPAT
TI Preparation of benzothiazine derivatives as serotonin-2-receptor antagonists
IN Mizuno, Akira; Shibata, Makoto; Iwamori, Tomoe; Inomata, Norio
PA Suntory Limited, Japan
SO Eur. Pat. Appl., 62 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 749967	A1	19961227	EP 1996-110050	19960621
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09012562	A2	19970114	JP 1995-177976	19950622
CA 2179679	AA	19961223	CA 1996-2179679	19960621

PRAI JP 1995-177976 19950622
GI



I

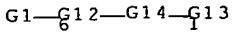


II

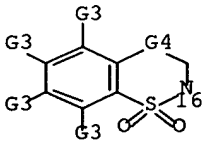
AB The title compds. [I; Z = C(O), CH2, CH, etc.; Q1 = H, OH, halo, etc.; Q2 = OH, halo, alkyl, etc.; A = (un)substituted alkylene, alkenylene, alkynylene; Y = CH, C, N; m = 0, 1; n = 1-3; B = O, S, C(O), etc.; E1, E2 = H, lower alkyl; D = an arom. hydrocarbon group or an arom. heterocyclic group], having strong serotonin-2 blocking action, excellent selectivity to this action against .alpha.1 blocking action, high safety, and therefore useful as therapeutics for various circulatory diseases such as ischemic heart diseases, cerebrovascular disturbances and peripheral circulatory disturbances, were prepd. Thus, reaction of 2-(3-chloropropyl)-6-methoxy-3,4-dihydro-2H-1,2-benzothiazin-4-one 1,1-dioxide ethylene acetal with 1-(4-fluorophenyl)piperazine in the presence of NaHCO3, NaI in MeCN afforded 93% II which showed 63.0% and 62.3% (of the control) contractions of the superior mesenteric artery and thoracic aorta of Hartley male guinea pig, resp., at 10-7 M as

anti-serotonin and anti-.alpha.1 action.

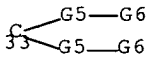
MSTR 1



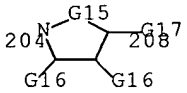
G1 = 16



G4 = 33



G12 = alkenylene (SO)
G14 = 204-6 208-1

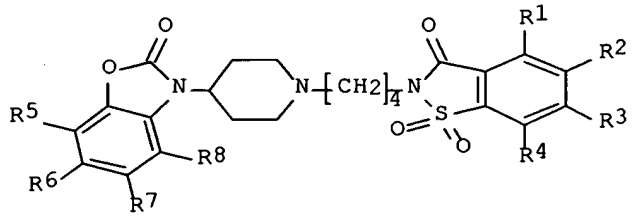


DER: or salts
MPL: claim 1
NTE: substitution is restricted
STE: or isomers

L10 ANSWER 11 OF 14 MARPAT COPYRIGHT 2002 ACS
AN 124:176079 MARPAT
TI Preparation of heterocycles as .alpha.1c adrenergic receptor antagonists
IN Huff, Joel R.; Lee, Hee-Yoon; Nerenberg, Jennie B.; Thompson, Wayne J.
PA Merck and Co., Inc., USA
SO PCT Int. Appl., 209 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9528397	A1	19951026	WO 1995-US4590	19950413
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, US, UZ				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2187767	AA	19951026	CA 1995-2187767	19950413
	AU 9523566	A1	19951110	AU 1995-23566	19950413
	AU 688498	B2	19980312		
	EP 755392	A1	19970129	EP 1995-917565	19950413
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 09512016	T2	19971202	JP 1995-527097	19950413
	US 5760054	A	19980602	US 1996-722001	19961001
PRAI	US 1994-229276	19940414			
	WO 1995-US4590	19950413			

GI



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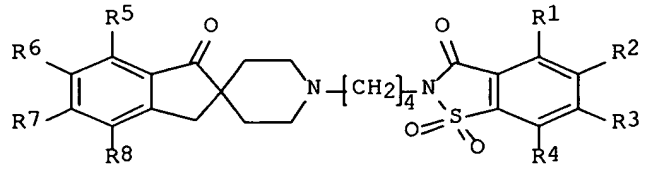
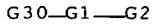


II

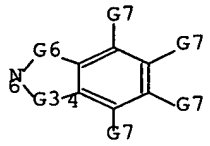
AB Title compds. such as I (R1, R2, R3, R4 = H, NO2, NH2, etc.; R5, R6, R7, R8 = H, alkyl, alkenyl, alkoxy, etc.) and II, effective testosterone reductase inhibitors useful in treatment of benign prostatic hyperplasia,
were prepd. Alkylation of 1-(4-piperidinyl)-3-benzoxazolin-2-one.HCl

with
2-(4-bromobutyl)-1,1-dioxo-1,2-benzothiazol-3(2H)-one in the presence of (i-Pr)2NEt in DMF afforded 40% I (R1-R8 = H). Title compds. are effective
at 0.001 mg/kg - 7 mg/kg per day in humans.

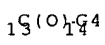
MSTR 1



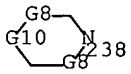
G1 = (3-5) CH2
G2 = 6



G3 = 13-6 14-4



G4 = CH2
G6 = SO2
G30 = 238

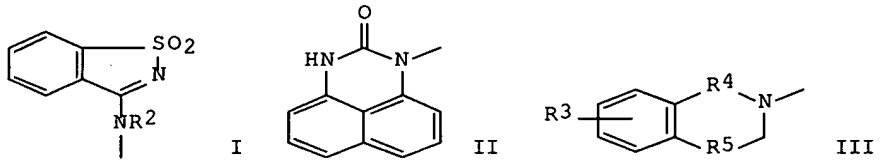


DER: and pharmaceutically acceptable salts, prodrugs, polymorphs,or metabolites
MPL: claim 1

L10 ANSWER 12 OF 14 MARPAT COPYRIGHT 2002 ACS
AN 118:219850 MARPAT
TI Preparation of serotoninergic antagonists for pharmaceuticals
IN Damour, Dominique; Labaudiniere, Richard; Malleron, Jean Luc; Mignani, Serge
PA Rhone-Poulenc Rorer SA, Fr.
SO Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

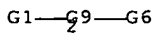
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 511073	A1	19921028	EP 1992-401109	19920421
	R: PT				
	FR 2675802	A1	19921030	FR 1991-5170	19910426
	FR 2675802	B1	19931224		
	CA 2103562	AA	19921027	CA 1992-2103562	19920421
	WO 9219624	A1	19921112	WO 1992-FR354	19920421
	W: CA, FI, JP, NO, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	EP 583322	A1	19940223	EP 1992-909776	19920421
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 06507162	T2	19940811	JP 1992-509239	19920421
	NO 9303121	A	19930901	NO 1993-3121	19930901
	US 5563144	A	19961008	US 1995-470726	19950606
PRAI	FR 1991-5170	19910426			
	WO 1992-FR354	19920421			
	US 1993-137091	19931026			

GI

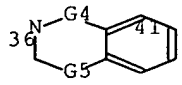


AB R1(CH2)n-Het (where R1 = I, II, III; n = 1-4; Het = e.g., 4-phenyl-1,2,3,6-tetrahydro-1-pyridyl; R2 = H, Ph; R3 = H, halo, heterocycle; R4 = CO, SO2; R5 = SiMe2, CMe2) are prepd. for use in treatment of diseases involving serotonin. Thus, 3-(3-chloropropyl)-1,1-dimethyl-5-fluoro-4-oxo-1,2,3,4-tetrahydro-3,1-benzazasiline was treated with 1-phenylpiperazine in the presence of Et3N in toluene soln. to give 1,1-dimethyl-5-fluoro-4-oxo-3-[3-(4-phenyl-1-piperazinyl)propyl]-1,2,3,4-tetrahydro-3,1-benzazasiline. Tablets contg. 50 mg of this compd. were prepd.

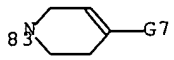
MSTR 1



G3 = 36-2 41-34



G4 = SO2
G5 = CMe2
G6 = 83



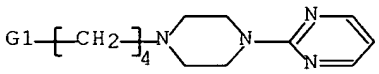
G9 = (1-4) CH2
DER: and mineral or organic acid salts
MPL: claim 1
NTE: substitution is restricted

L10 ANSWER 13 OF 14 MARPAT COPYRIGHT 2002 ACS
AN 115:239772 MARPAT
TI Pharmaceutical compositions containing [4-(2-pyrimidinyl)-1-piperazinyl]butyl derivatives for treatment of intestinal motility disorders
IN Croci, Tiziano; Bianchetti, Alberto; Manara, Luciano
PA Midy S.p.A., Italy
SO Fr. Demande, 12 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

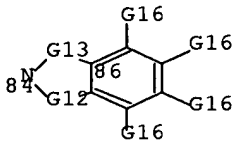
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI FR 2654934	A1	19910531	FR 1989-15734	19891129
FR 2654934	B1	19940930		

AB Pharmaceutical compns. contg. the title derivs. (Markush included) are provided for treatment of intestinal motility disorders, esp. constipation. Tablet formulations of buspirone-HCl and of gepirone-HCl and a dragee formulation of buspirone-HCl are included.
Anticonstipation activity was tested in rats.

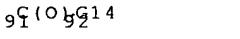
MSTR 1



G1 = 84



G12 = SO2
G13 = 91-84 92-86 / 92-84 91-86

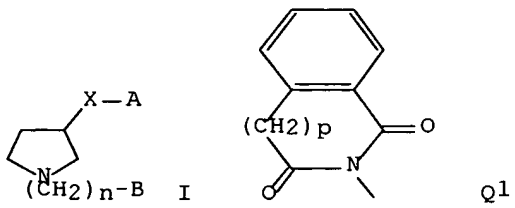


G14 = CH2
DER: and pharmaceutically acceptable salts
MPL: claim 1

L10 ANSWER 14 OF 14 MARPAT COPYRIGHT 2002 ACS
AN 112:235290 MARPAT
TI Preparation of 1,3-disubstituted pyrrolidines as serotonin (partial) agonists and antagonists
IN Schohe, Rudolf; Seidel, Peter Rudolf; Traber, Jorg; Glaser, Thomas
PA Bayer A.-G., Fed. Rep. Ger.
SO Eur. Pat. Appl., 50 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 2

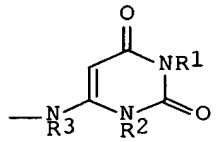
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 338331	A1	19891025	EP 1989-106023	19890406
	EP 338331	B1	19921021		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
	DE 3835291	A1	19891102	DE 1988-3835291	19881015
	AT 81652	E	19921115	AT 1989-106023	19890406
	ES 2045229	T3	19940116	ES 1989-106023	19890406
	US 5037841	A	19910806	US 1989-336977	19890412
	AU 8933059	A1	19891026	AU 1989-33059	19890414
	AU 625817	B2	19920716		
	IL 89973	A1	19930131	IL 1989-89973	19890417
	DK 8901864	A	19891020	DK 1989-1864	19890418
	JP 01311059	A2	19891215	JP 1989-96549	19890418
	ZA 8902823	A	19891227	ZA 1989-2823	19890418
	US 5274097	A	19931228	US 1991-682785	19910409
	US 5453437	A	19950926	US 1993-118376	19930908

PRAI DE 1988-3812989 19880419
DE 1988-3835291 19881015
EP 1989-106023 19890406
US 1989-336927 19890412
US 1989-336977 19890412
US 1991-682785 19910409
OS CASREACT 112:235290
GI

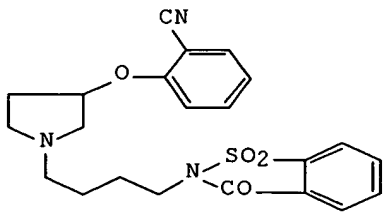


I

Q1



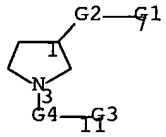
Q2



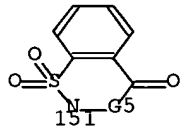
II

AB The title compds. [I; A = (fused) heteroaryl; B = cyano, CO2R1, CONR2R3, SO2NR2R3, SOmR4, NR5R6, C.tplbond.CCH2NR5R6; X = OCH2, CH2O, O; R1 = H, C1-12 alkyl, C5-8 cycloalkyl, C2-12 alkenyl, aryl, aralkyl; R2, R3 = H, C1-17 alkyl, (un)substituted aryl, etc.; R5,R6 = COR2, SO2R8, any of definitions for R2, R3; R7 = NHR9, C1-12 alkyl, C1-17 alkoxy, etc.; R8 = C5-8 cycloalkyl, (un)substituted C1-12 alkyl, (un)substituted (hetero)aryl, NR2R3; R9 = H, C5-8 cycloalkyl, (un)substituted C1-12 alkyl, aralkyl, (hetero)aryl, etc.; NR5R6 can form a (fused) heterocyclic ring, e.g., Q1, Q2, etc.; n = 1-10; n = 0-2] and their salts were prepd. as 5-hydroxytryptamine agonists, partial agonists (no data), and antagonists, useful for treatment of serotoninergic system-related CNS diseases. A mixt. of 3-(2-cyanophenoxy)pyrrolidine, 2-(4-bromobutyl)benzothiazol-3(2H)-one-1,1-dioxide, and Et3N in DMF was stirred 20 h at 45.degree. to give II which was converted to its oxalate. The latter in vitro antagonized serotonin with an inhibition const. Ki = 2 nM.

MSTR 1D

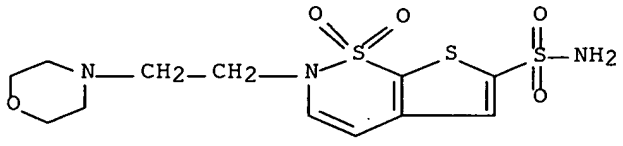


G3 = 151



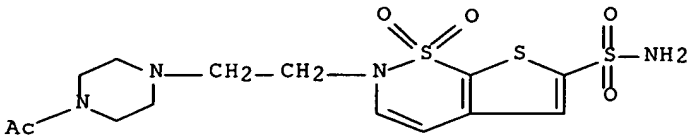
G4 = alkylene<EC (1-10) C, DC (0) M3>
G5 = (0-2) CH2
DER: and salts
MPL: claim 1

L15 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 2000:395926 CAPLUS
DN 133:129514
TI 2H-Thieno[3,2-e]- and [2,3-e]-1,2-thiazine-6-sulfonamide 1,1-dioxides as ocular hypotensive agents: synthesis, carbonic anhydrase inhibition and evaluation in the rabbit
AU Chen, H.-H.; Gross, S.; Liao, J.; McLaughlin, M.; Dean, T.; Sly, W. S.; May, J. A.
CS Ophthalmic Products Research, Alcon Research, Ltd., Fort Worth, TX, 76134, USA
SO Bioorganic & Medicinal Chemistry (2000), 8(5), 957-975
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Science Ltd.
DT Journal
LA English
AB Novel non-chiral 2H-thieno[3,2-e]- and [2,3-e]-1,2-thiazine-6-sulfonamide 1,1-dioxides were synthesized for evaluation as potential candidates for the treatment of glaucoma. All of the compds. prepd. were potent high affinity inhibitors of human carbonic anhydrase II, Ki<0.5 nM. Addnl., inhibition of recombinant human carbonic anhydrase IV was detd. for selected compds.; these were shown to be moderate to potent inhibitors of this isoenzyme with IC50 values ranging from 4.25 to 73.6 nM. Of the compds. evaluated for their ability to lower intraocular pressure in naturally hypertensive Dutch-belted rabbits, several showed significant efficacy (>20% decrease) in this model following topical ocular administration.
IT **171272-69-8P 171272-77-8P 171272-87-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(thieno and thiazine sulfonamide dioxides as ocular hypotensive agents: synthesis and carbonic anhydrase inhibition)
RN 171272-69-8 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

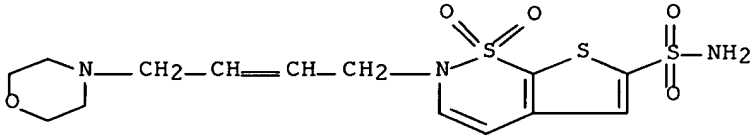


RN 171272-77-8 CAPLUS
CN Piperazine, 1-acetyl-4-[2-[6-(aminosulfonyl)-1,1-dioxido-2H-thieno[3,2-

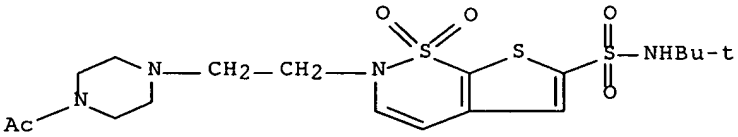
e]-
1,2-thiazin-2-yl]ethyl]- (9CI) (CA INDEX NAME)



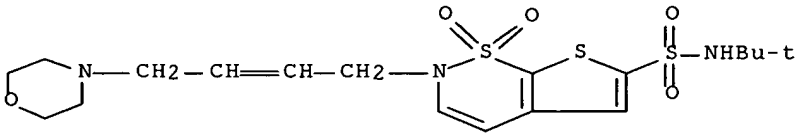
RN 171272-87-0 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[4-(4-morpholinyl)-2-butenyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



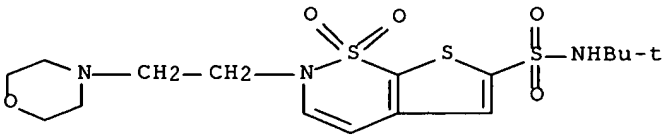
IT **171273-55-5P 171273-66-8P 286958-36-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)(thieno and thiazine sulfonamide dioxides as ocular hypotensive agents: synthesis and carbonic anhydrase inhibition)
RN 171273-55-5 CAPLUS
CN Piperazine, 1-acetyl-4-[2-[6-[[(1,1-dimethylethyl)amino]sulfonyl]-1,1-dioxido-2H-thieno[3,2-e]-1,2-thiazin-2-yl]ethyl]- (9CI) (CA INDEX NAME)



RN 171273-66-8 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, N-(1,1-dimethylethyl)-2-[4-(4-morpholinyl)-2-butenyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 286958-36-9 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, N-(1,1-dimethylethyl)-2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

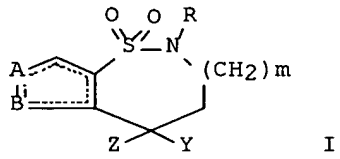


RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

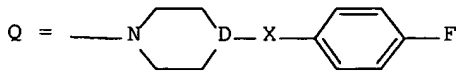
L15 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1999:449035 CAPLUS
DN 131:116257
TI Preparation of pyrrole sulfonamide derivatives as serotonin-2 receptor antagonists
IN Mizuno, Akira; Shibata, Makoto; Iwamori, Chie; Fukami, Harukazu; Inomata, Norio
PA Suntory, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 31 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 11193289	A2	19990721	JP 1997-366756	19971226
	WO 9933840	A2	19990708	WO 1998-JP5954	19981225
	WO 9933840	A3	19990910		
	W: AU, CA, CN, HU, KR, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9916906	A1	19990719	AU 1999-16906	19981225
	EP 970088	A2	20000112	EP 1998-961598	19981225
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 6271223	B1	20010807	US 1999-367841	19990826
	US 2002040017	A1	20020404	US 2001-871655	20010604
PRAI	JP 1997-366756	A	19971226		
	WO 1998-JP5954	W	19981225		
	US 1999-367841	A3	19990826		

OS MARPAT 131:116257
GI



I

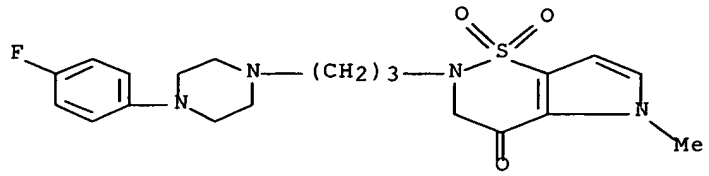


AB Title compds. [I; A = CH, NMe; B = NMe, CH; dotted bonds = single, double; m = 0, 1; D = CH, N; X = bond, CO; Y-Z = :O, :NOH; Y = H; Z = OH; R = CH2CH2CH2Q] and their salts are prepd. as serotonin 2 receptor antagonists on treatment of circulatory system disease with low side effect. Thus, the title compd. I (A = CH; B = NMe; m = 1; D = N; Y-Z = :O; X = bond; dotted bonds were single and double related to B) was prepd. and tested for anti-5-HT and anti-.alpha.1 actions in guinea pig.
IT **232619-90-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)(prepn. of pyrrolothiazinones and

pyrrolothiazepinones as serotonin-2 receptor antagonists)

RN 232619-90-8 CAPLUS

CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-2,3-dihydro-5-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



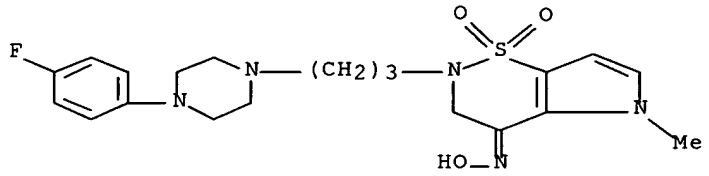
IT **232619-94-2P 232619-95-3P 232619-98-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of pyrrolothiazinones and pyrrolothiazepinones as serotonin-2 receptor antagonists)

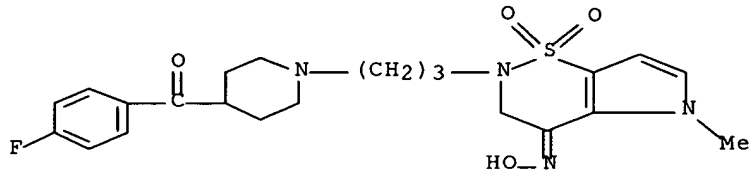
RN 232619-94-2 CAPLUS

CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-2,3-dihydro-5-methyl-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



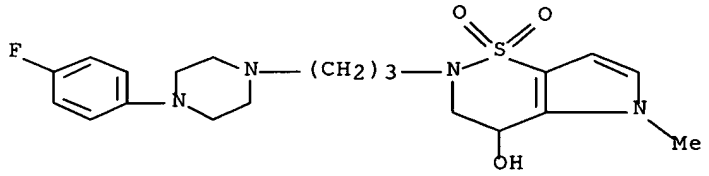
RN 232619-95-3 CAPLUS

CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]propyl]-2,3-dihydro-5-methyl-, 4-oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 232619-98-6 CAPLUS

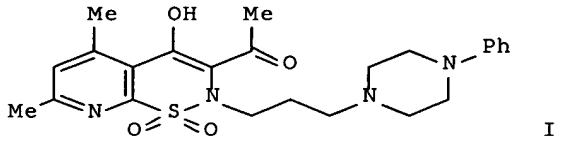
CN Pyrrolo[2,3-e]-1,2-thiazin-4-ol, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-2,3,4,5-tetrahydro-5-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



L15 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1997:257352 CAPLUS
DN 126:238385
TI Preparation of novel pyrido[3,2-e]-1,2-thiazine derivative as psychotropic
agent
IN Malinka, Wieslaw; Kleinrok, Zdzislaw; Sieklucka, Maria
PA Akademia Medyczna, Pol.
SO Pol., 3 pp.
CODEN: POXXA7
DT Patent
LA Polish
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PL 170394	B1	19961231	PL 1993-299530	19930701

GI

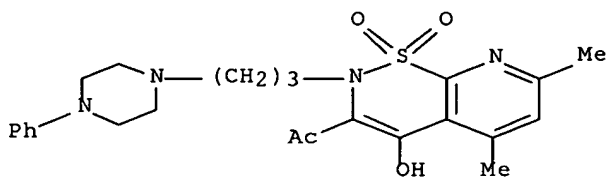


I

AB The title compd. I, useful as psychotropic agent, was prepd. in 56% yield
by reaction of 2H-3-acetyl-4-hydroxy-5,7-dimethylpyrido[3,2-e]-1,2-thiazine 1,1-dioxide with 1-chloro-3-(4-phenyl-1-piperazinyl)propane in the presence of NaOEt in EtOH. Compd. I showed LD50 of 1753.9 mg/kg, and,
e.g., decreased spontaneous mobility in mice at 1/80 LD50.

IT **164357-31-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel pyrido[3,2-e]-1,2-thiazine deriv. as psychotropic agent)

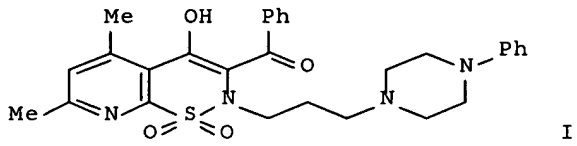
RN 164357-31-7 CAPLUS
CN Ethanone, 1-[4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-(4-phenyl-1-piperazinyl)propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]- (9CI) (CA INDEX NAME)



L15 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1997:257351 CAPLUS
DN 126:238384
TI Preparation of novel pyrido[3,2-e]-1,2-thiazine as psychotropic agent
IN Malinka, Wieslaw; Kleinrok, Zdzislaw; Sieklucka, Maria
PA Akademia Medyczna, Pol.
SO Pol., 4 pp.
CODEN: POXXA7
DT Patent
LA Polish
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI PL 170371	B1	19961231	PL 1993-299532	19930701

GI

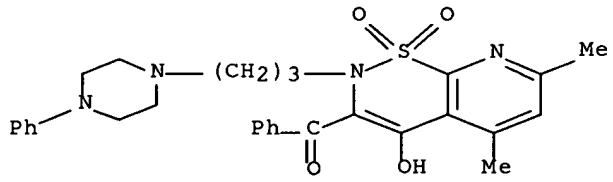


I

AB The title compd. I, useful as psychotropic agent, was prepd. in 60% yield by reaction of 2H-3-benzoyl-4-hydroxy-5,7-dimethylpyrido[3,2-e]-1,2-thiazine 1,1-dioxide with 1-chloro-3-(4-phenyl-1-piperazinyl)propane in the presence of NaOEt in EtOH. Compd. I showed LD50 of > 2000 mg/kg, and, e.g., decreased spontaneous mobility in mice and rats at 1/40 LD50.

IT **164357-32-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel pyrido[3,2-e]-1,2-thiazine as psychotropic agent)

RN 164357-32-8 CAPLUS
CN Methanone, [4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-(4-phenyl-1-piperazinyl)propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]phenyl- (9CI) (CA INDEX NAME)



L15 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1996:486144 CAPLUS
DN 125:167999
TI Preparation of thienothiazinesulfonamides as carbonic anhydrase inhibitors.
IN May, Jesse A.; Chen, Hwang-hsing; Dupr, E. Brian; Dean, Thomas R.
PA Alcon Laboratories, Inc., USA
SO U.S., 33 pp., Cont.-in-part of U.S. Ser. No. 184,430,abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5538966	A	19960723	US 1995-374470	19950120
WO 9622099	A1	19960725	WO 1995-US9144	19950720
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9531370	A1	19960807	AU 1995-31370	19950720
PRAI US 1994-184430		19940121		
US 1995-374470		19950120		
WO 1995-US9144		19950720		

OS MARPAT 125:167999
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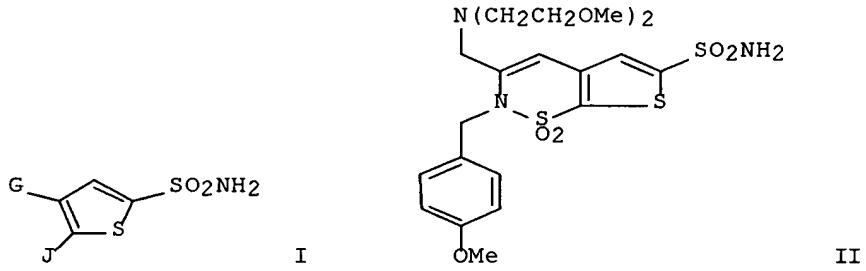
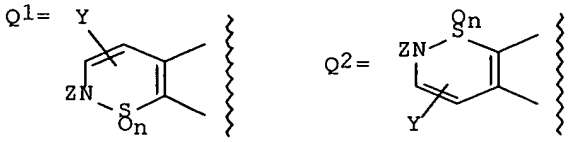


I II

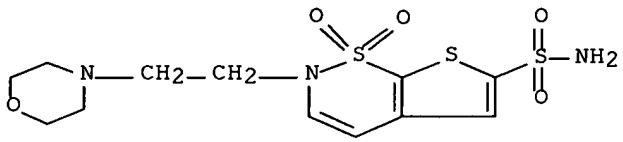


AB Title compds. [I; G, J and the C atoms they are connected to = Q1, Q2; Y =
H, (substituted) alkyl, alkenyl, alkynyl; Z = carboxymethyl, cyanomethyl,
aminocarbonylmethyl, (substituted) alkyl, alkenyl, alkynyl, Ph, etc.; n =
0-2], were prepd. for treatment of glaucoma (no data). Thus,
N-[[3-(1,3-dioxolan-2-yl)-2-thienyl]sulfonyl]-N-(4-
methoxyphenylmethyl)glycine Et ester (prepn. given) was refluxed 3 h with
p-toluenesulfonic acid in acetone to give Et 2-(4-methoxyphenylmethyl)-

2H-
thieno[3,2-e]-1,2-thiazine-3-carboxylate 1,1-dioxide, which was converted
to title compd. (II) in several steps. I drug formulations are given.

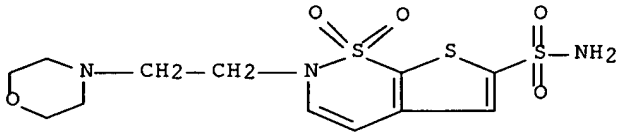
IT **171272-69-8P 171272-70-1P 171272-77-8P**
171272-87-0P 180527-18-8P 180527-28-0P
180527-41-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of thienothiazinesulfonamides as carbonic anhydrase inhibitors)

RN 171272-69-8 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[2-(4-morpholinyl)ethyl]-,
1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

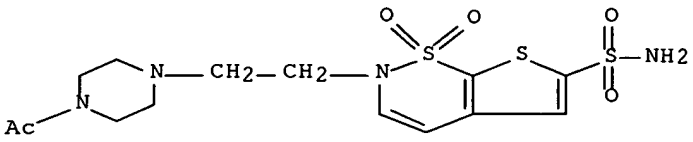


● HCl

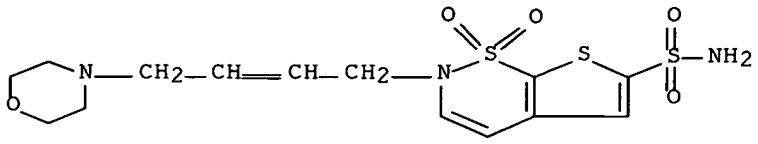
RN 171272-70-1 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[2-(4-morpholinyl)ethyl]-,
1,1-dioxide (9CI) (CA INDEX NAME)



RN 171272-77-8 CAPLUS
CN Piperazine, 1-acetyl-4-[2-[6-(aminosulfonyl)-1,1-dioxido-2H-thieno[3,2-e]-
1,2-thiazin-2-yl]ethyl]- (9CI) (CA INDEX NAME)

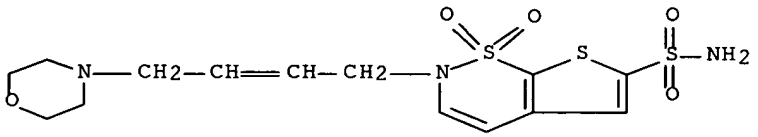


RN 171272-87-0 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[4-(4-morpholinyl)-2-butenyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

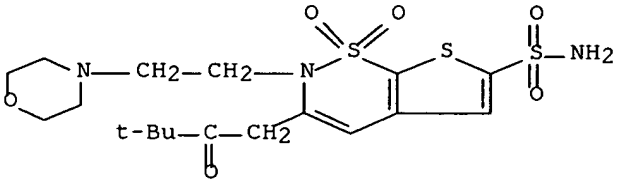


● HCl

RN 180527-18-8 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[4-(4-morpholinyl)-2-butenyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

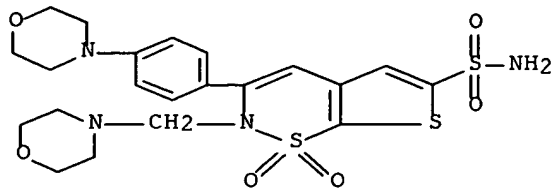


RN 180527-28-0 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3-(3,3-dimethyl-2-oxobutyl)-2-
[2-(4-morpholinyl)ethyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX
NAME)



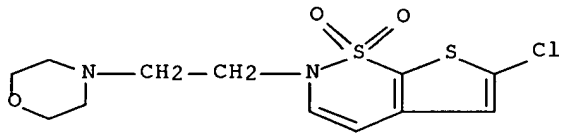
● HCl

RN 180527-41-7 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(4-morpholinylmethyl)-3-[4-
(4-morpholinyl)phenyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX
NAME)

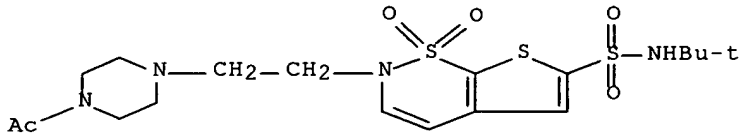


● HCl

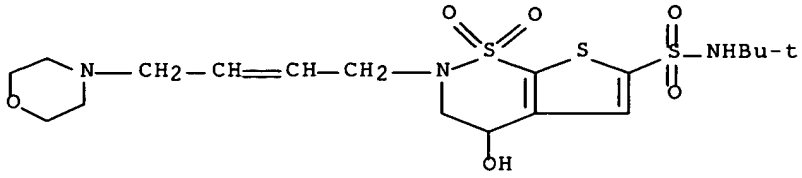
IT **171273-45-3P 171273-55-5P 171273-65-7P**
171273-66-8P 171273-87-3P 171273-88-4P
180527-43-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. of thienothiazinesulfonamides as carbonic anhydrase inhibitors)
RN 171273-45-3 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine, 6-chloro-2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



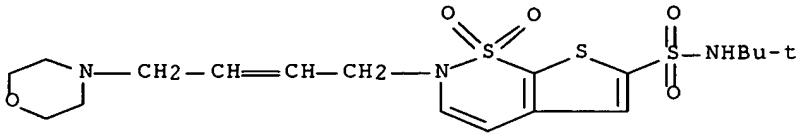
RN 171273-55-5 CAPLUS
CN Piperazine, 1-acetyl-4-[2-[6-[[(1,1-dimethylethyl)amino]sulfonyl]-1,1-dioxido-2H-thieno[3,2-e]-1,2-thiazin-2-yl]ethyl]- (9CI) (CA INDEX NAME)



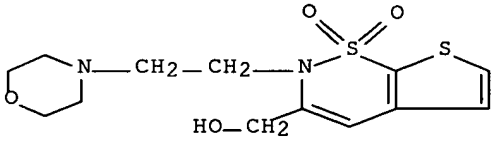
RN 171273-65-7 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, N-(1,1-dimethylethyl)-3,4-dihydro-4-hydroxy-2-[4-(4-morpholinyl)-2-butenyl]-, 1,1-dioxide (9CI) (CA
INDEX NAME)



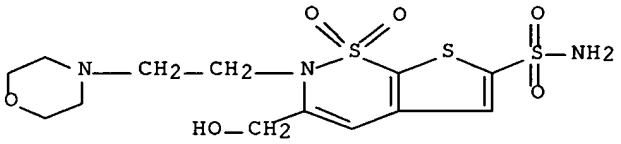
RN 171273-66-8 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, N-(1,1-dimethylethyl)-2-[4-(4-
morpholinyl)-2-butenyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



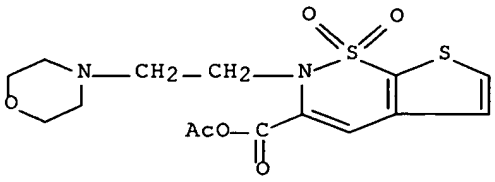
RN 171273-87-3 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-3-methanol, 2-[2-(4-morpholinyl)ethyl]-,
1,1-dioxide (9CI) (CA INDEX NAME)



RN 171273-88-4 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3-(hydroxymethyl)-2-[2-(4-
morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



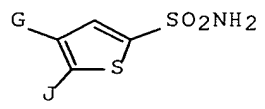
RN 180527-43-9 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-3-carboxylic acid, 2-[2-(4-
morpholinyl)ethyl]-, anhydride with acetic acid, 1,1-dioxide (9CI) (CA
INDEX NAME)



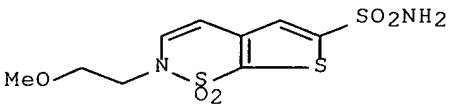
L15 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1995:975365 CAPLUS
DN 124:8833
TI Preparation and formulation of thienothiazinesulfonamides as carbonic anhydrase inhibitors
IN May, Jesse Albert; Chen, Hwang-Hsing; Dupre, Brian; Dean, Thomas R.
PA Alcon Laboratories, Inc., USA
SO PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9519981	A1	19950727	WO 1995-US775	19950120
W: AU, CA, JP, MX				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9516848	A1	19950808	AU 1995-16848	19950120
PRAI US 1994-184430		19940121		
WO 1995-US775		19950120		

OS MARPAT 124:8833
GI



I

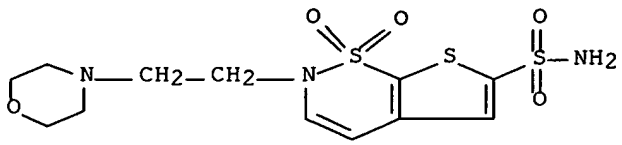


II

AB Title compds. [I; GJ = (un)substituted CH:CHNRSOn, -SOnNRCH:CH; R = (un)substituted alk(en)yl, CH2CO2H, alkoxycarbonylmethyl, CH2CONH2, heteroaryl, etc.; n = 0-2] were prepd. as carbonic anhydrase inhibitors (no data). Thus, 3-acetyl-2-thiophenesulfonamide (prepn. given) was brominated and the product cyclized to give 3,4-dihydro-2H-thieno[3,2-e]-1,2-thiazin-4-ol 1,1-dioxide which was converted in 7 steps to title compd. II.

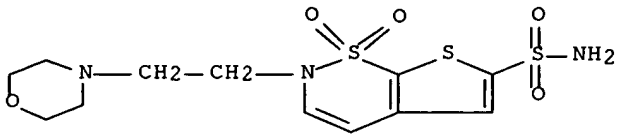
IT **171272-69-8P 171272-70-1P 171272-77-8P 171272-87-0P 171272-88-1P 171273-00-0P 171273-01-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of thienothiazinesulfonamides as carbonic anhydrase inhibitors)

RN 171272-69-8 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

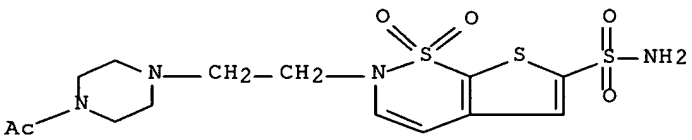


● HCl

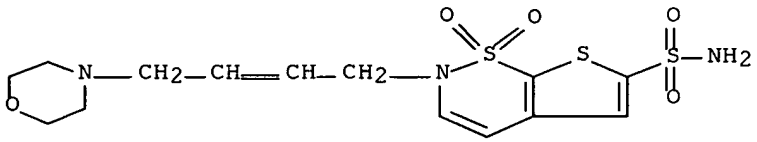
RN 171272-70-1 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[2-(4-morpholinyl)ethyl]-
,
1,1-dioxide (9CI) (CA INDEX NAME)



RN 171272-77-8 CAPLUS
CN Piperazine, 1-acetyl-4-[2-[6-(aminosulfonyl)-1,1-dioxido-2H-thieno[3,2-
e]-
1,2-thiazin-2-yl]ethyl]- (9CI) (CA INDEX NAME)

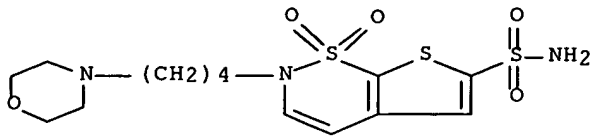


RN 171272-87-0 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[4-(4-morpholinyl)-2-
butenyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

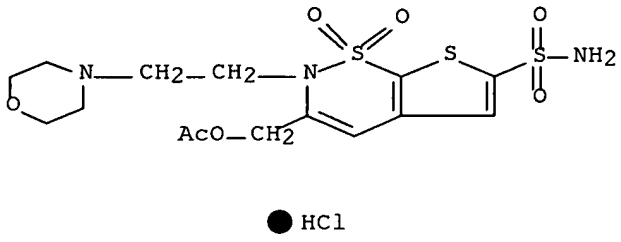


● HCl

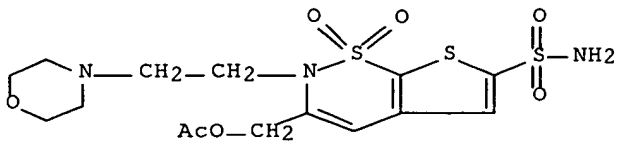
RN 171272-88-1 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[4-(4-morpholinyl)butyl]-
,
1,1-dioxide (9CI) (CA INDEX NAME)



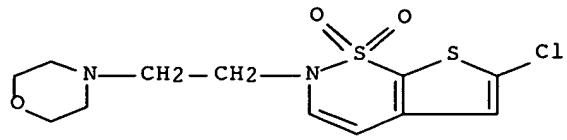
RN 171273-00-0 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3-[(acetyloxy)methyl]-2-[2-(4-
morpholinyl)ethyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



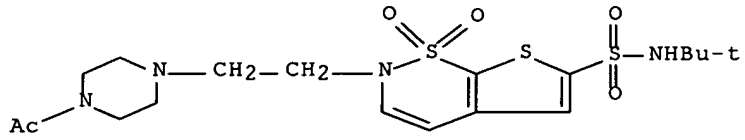
RN 171273-01-1 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3-[(acetyloxy)methyl]-2-[2-(4-
morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



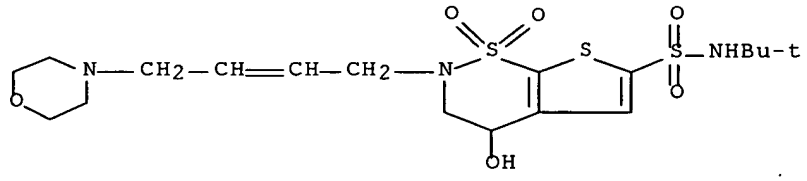
IT **171273-45-3P 171273-55-5P 171273-65-7P**
171273-66-8P 171273-86-2P 171273-87-3P
171273-88-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. of thienothiazinesulfonamides as carbonic anhydrase inhibitors)
RN 171273-45-3 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine, 6-chloro-2-[2-(4-morpholinyl)ethyl]-,
1,1-dioxide (9CI) (CA INDEX NAME)



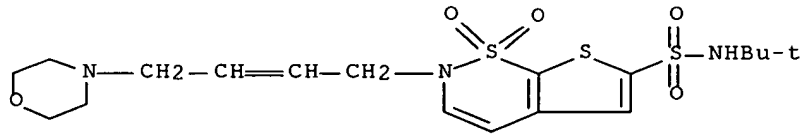
RN 171273-55-5 CAPLUS
CN Piperazine, 1-acetyl-4-[2-[6-[[(1,1-dimethylethyl)amino]sulfonyl]-1,1-dioxido-2H-thieno[3,2-e]-1,2-thiazin-2-yl]ethyl]- (9CI) (CA INDEX NAME)



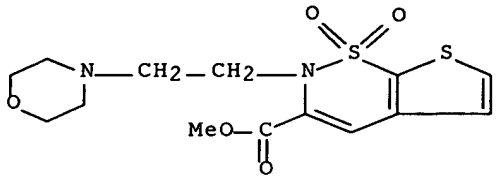
RN 171273-65-7 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, N-(1,1-dimethylethyl)-3,4-dihydro-4-hydroxy-2-[4-(4-morpholinyl)-2-butenyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



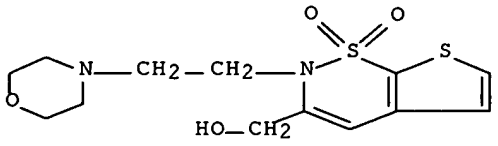
RN 171273-66-8 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, N-(1,1-dimethylethyl)-2-[4-(4-morpholinyl)-2-butenyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



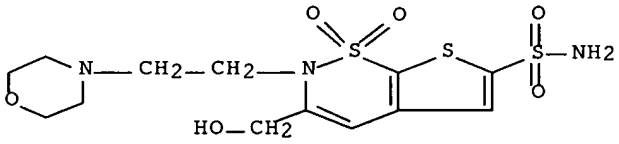
RN 171273-86-2 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-3-carboxylic acid, 2-[2-(4-morpholinyl)ethyl]-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



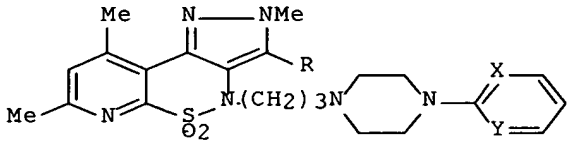
RN 171273-87-3 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-3-methanol, 2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



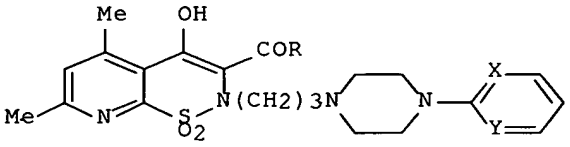
RN 171273-88-4 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3-(hydroxymethyl)-2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



L15 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1995:418701 CAPLUS
DN 123:55786
TI Studies on synthesis and biological properties of pyrazolo[4,3-c]pyrido[3,2-e]-1,2-thiazine 5,5-dioxide bearing 4-substituted-1-piperazinylpropyl moiety
AU Malinka, Wieslaw; Sieklucka-Dziuba, Maria; Rajtar-Cynke, Grazyna; Borowicz, Kinga; Kleinrok, Zdzislaw
CS Dep. Drug Chem., Wroclaw Univ. Med., Wroclaw, 50-137, Pol.
SO Farmaco (1994), 49(12), 783-92
CODEN: FRMCE8
DT Journal
LA English
GI



I

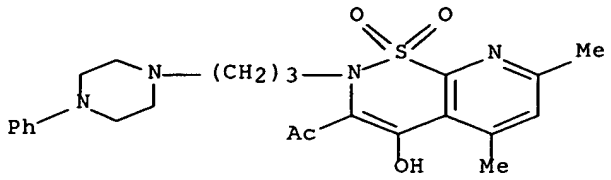


II

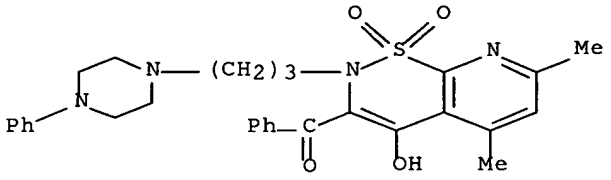
AB Pyrazolopyridothiazine 5,5-dioxides (I, R = Me, Ph; X = Y = CH, N; X = N, Y = CH) and pyridothiazine 1,1-dioxides (II, R = Me, Ph; X = Y = CH, N; X = N, Y = CH) bearing 1-piperazinylpropyl substituents were synthesized. The acute toxicity and preliminary results on the CNS activity of I and II are described. A structure-activity relationship is discussed.

IT **164357-31-7P**
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and CNS activity of pyrazolopyridothiazine dioxides)

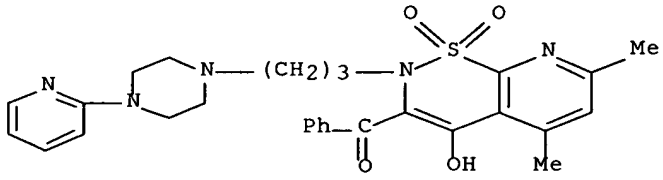
RN 164357-31-7 CAPLUS
CN Ethanone, 1-[4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-(4-phenyl-1-piperazinyl)propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]- (9CI) (CA INDEX NAME)



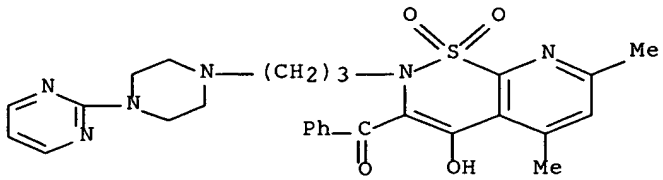
IT **164357-32-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and CNS activity of pyrazolopyridothiazine dioxides)
RN 164357-32-8 CAPLUS
CN Methanone, [4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-(4-phenyl-1-piperazinyl)propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]phenyl- (9CI) (CA INDEX NAME)



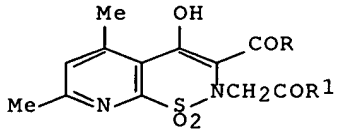
IT **164357-39-5P 164357-40-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and CNS activity of pyrazolopyridothiazine dioxides)
RN 164357-39-5 CAPLUS
CN Methanone, [4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-[4-(2-pyridinyl)-1-piperazinyl]propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]phenyl- (9CI) (CA INDEX NAME)



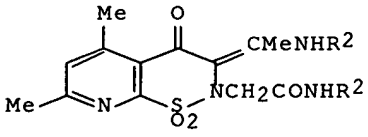
RN 164357-40-8 CAPLUS
CN Methanone, [4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-[4-(2-pyrimidinyl)-1-piperazinyl]propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]phenyl- (9CI) (CA INDEX NAME)



L15 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1994:534056 CAPLUS
DN 121:134056
TI Synthesis of some amides of 4-hydroxy-5,7-dimethyl-2H-pyrido[3,2-e]-1,2-thiazine-2-acetic acid 1,1-dioxide
AU Malinka, W.; Deren, A.
CS Dep. Chem. Drugs, Sch. Med., Wroclaw, 50-137, Pol.
SO Pol. J. Chem. (1992), 66(12), 1953-60
CODEN: PJCHDQ; ISSN: 0137-5083
DT Journal
LA English
GI



I

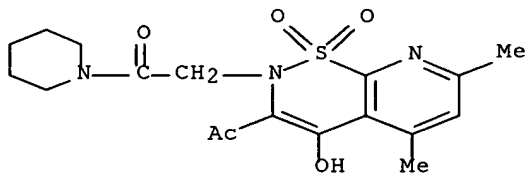


II

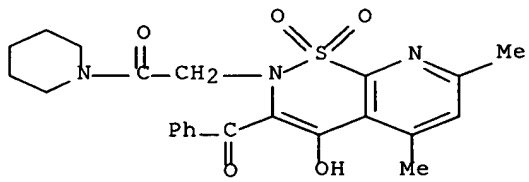
AB 3-Acetyl(benzoyl)-4-hydroxy-5,7-dimethyl-2H-pyrido[3,2-e]-1,2-thiazine-2-acetic acid 1,1-dioxides I (R = Me, Ph; R1 = OH) react on treatment with SOCl2 and alkylamine to yield the title amides I (R = Me, Ph; R1 = cyclohexylamino, piperidino, butylamino, allylamino) with potential antiinflammatory activity. In reaction of acid I (R = Me; R1 = OH) with primary n-alkylamines amido-enamines II (R2 = Bu, allyl, Me) were obtained unexpectedly.

IT **157253-66-2P 157253-70-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 157253-66-2 CAPLUS
CN Piperidine, 1-[(3-acetyl-4-hydroxy-5,7-dimethyl-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-2-yl)acetyl]- (9CI) (CA INDEX NAME)



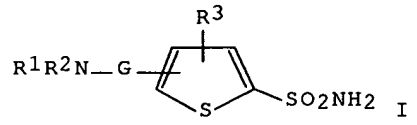
RN 157253-70-8 CAPLUS
CN Piperidine, 1-[(3-benzoyl-4-hydroxy-5,7-dimethyl-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-2-yl)acetyl]- (9CI) (CA INDEX NAME)



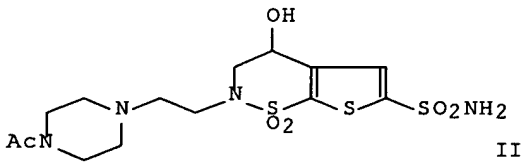
L15 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1994:245133 CAPLUS
DN 120:245133
TI Heterocyclic sulfonamides useful as carbonic anhydrase inhibitors for treatment of glaucoma
IN Dean, Thomas R.; Chen, Hwang Hsing; May, Jesse A.
PA Alcon Laboratories, Inc., USA
SO U.S., 30 pp. Cont.-in-part of U.S. 5,153,192.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5240923	A	19930831	US 1991-775313	19911009
	US 5153192	A	19921006	US 1990-618765	19901127
	US 5378703	A	19950103	US 1993-19011	19930218
	US 5679670	A	19971021	US 1994-357623	19941215
	US 5585377	A	19961217	US 1994-362716	19941223
PRAI	US 1990-506780	B2	19900409		
	US 1990-618765	A2	19901127		
	US 1990-506730	B2	19900409		
	US 1991-775313	A2	19911009		
	US 1993-19011	A3	19930218		

OS MARPAT 120:245133
GI



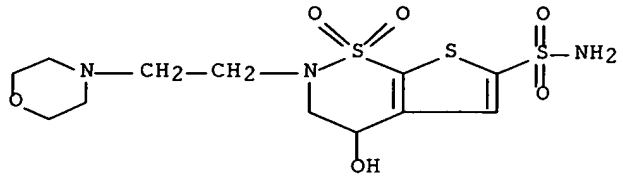
I



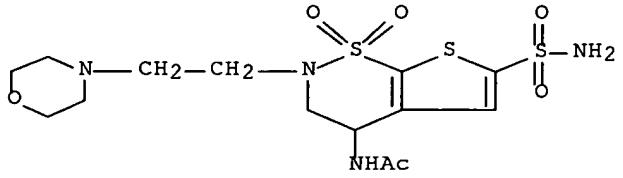
II

AB Sulfonamides I [R1 = H, (un)substituted alkyl; R2 = H, (un)substituted alkyl, alkenyl, alkynyl, phenylalkyl, heteroarylalkyl, alkoxy, Ph, heteroaryl; or R1R2 may form (un)substituted satd. 5- or 6-membered ring contg. O, S, C, or N; both R1 and R2 .noteq. H; R3 = H, halo, (un)substituted alkyl, alkoxy, alkylthio; or R1R3 may = C atoms to form (un)substituted 5- to 7-membered ring; G = CO, SO2] were prepd. as carbonic anhydrase inhibitors for lowering intraocular pressure (no data).
For example, 3,4-dihydro-4-hydroxy-2H-thieno[3,2-e]-1,2-thiazine 1,1-dioxide (prepn. given) underwent a sequence of O-protection, lithiation, introduction of a 6-(N-tert-butyl)sulfamoyl group, O-deprotection, N-alkylation of the thiazine nucleus with BrCH2CH2Br, further condensation of the bromoethyl group with 1-acetylpiperazine, and
removal of the tert-Bu group, to give title compd. II, isolated as the maleate.
IT **138890-54-7P 154127-36-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for carbonic anhydrase inhibitors)

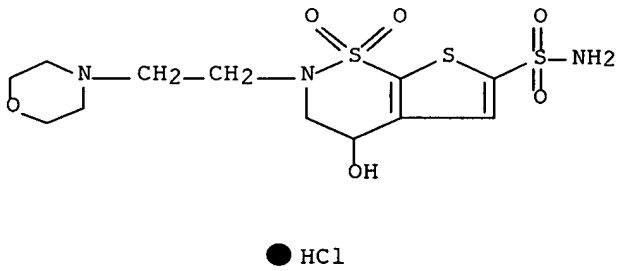
RN 138890-54-7 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3,4-dihydro-4-hydroxy-2-[2-(4-
morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



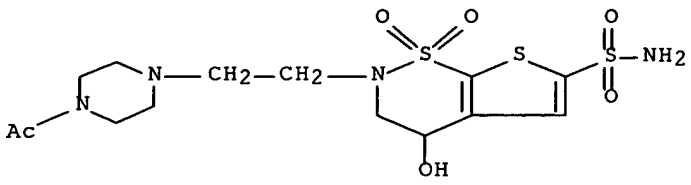
RN 154127-36-3 CAPLUS
CN Acetamide, N-[6-(aminosulfonyl)-3,4-dihydro-2-[2-(4-morpholinyl)ethyl]-1,1-
dioxido-2H-thieno[3,2-e]-1,2-thiazin-4-yl]- (9CI) (CA INDEX NAME)



IT **138890-72-9P 154127-10-3P 154127-11-4P**
154127-14-7P 154127-15-8P 154127-16-9P
154127-17-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for lowering intraocular pressure)
RN 138890-72-9 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3,4-dihydro-4-hydroxy-2-[2-(4-
morpholinyl)ethyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



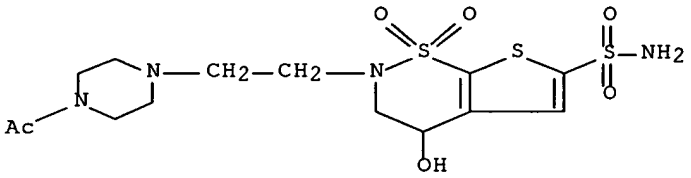
RN 154127-10-3 CAPLUS
CN Piperazine, 1-acetyl-4-[2-[6-(aminosulfonyl)-3,4-dihydro-4-hydroxy-1,1-
dioxido-2H-thieno[3,2-e]-1,2-thiazin-2-yl]ethyl]- (9CI) (CA INDEX NAME)



RN 154127-11-4 CAPLUS
CN Piperazine, 1-acetyl-4-[2-[6-(aminosulfonyl)-3,4-dihydro-4-hydroxy-1,1-dioxido-2H-thieno[3,2-e]-1,2-thiazin-2-yl]ethyl]-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

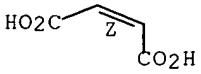
CRN 154127-10-3
CMF C14 H22 N4 O6 S3



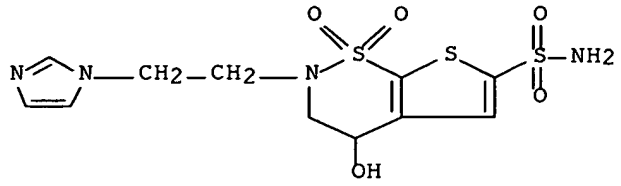
CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.

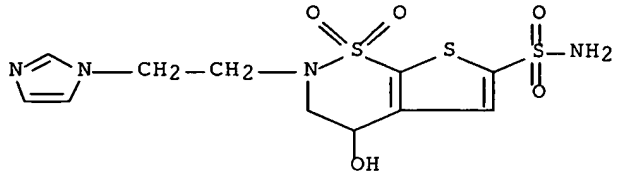


RN 154127-14-7 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3,4-dihydro-4-hydroxy-2-[2-(1H-imidazol-1-yl)ethyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

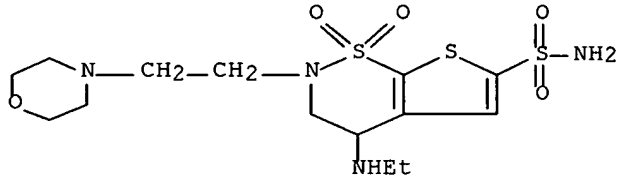


● HCl

RN 154127-15-8 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3,4-dihydro-4-hydroxy-2-[2-(1H-imidazol-1-yl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

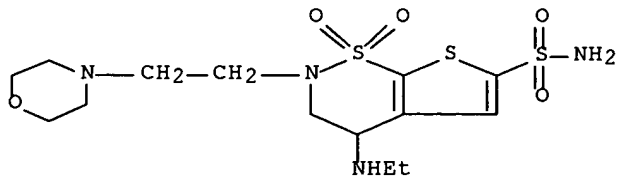


RN 154127-16-9 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 4-(ethylamino)-3,4-dihydro-2-
[2-(4-morpholinyl)ethyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX
NAME)



● HCl

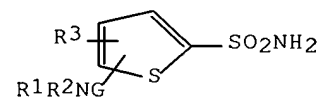
RN 154127-17-0 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 4-(ethylamino)-3,4-dihydro-2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



L15 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1992:433673 CAPLUS
DN 117:33673
TI Thiophene sulfonamides useful as carbonic anhydrase inhibitors for the treatment of glaucoma
IN Dean, Thomas R.; Chen, Hwang Hsing; May, Jesse A.
PA Alcon Laboratories, Inc., USA
SO PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9115486	A1	19911017	WO 1991-US2262	19910403
	W: AU, BR, CA, FI, JP, KR, NO				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
	US 5153192	A	19921006	US 1990-618765	19901127
	CA 2080223	AA	19911010	CA 1991-2080223	19910403
	AU 9177467	A1	19911030	AU 1991-77467	19910403
	AU 655924	B2	19950119		
	EP 527801	A1	19930224	EP 1991-908317	19910403
	EP 527801	B1	20020731		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	BR 9106330	A	19930420	BR 1991-6330	19910403
	JP 05508832	T2	19931209	JP 1991-508001	19910403
	JP 2562394	B2	19961211		
	ZA 9102580	A	19920129	ZA 1991-2580	19910408
	IL 97800	A1	19970814	IL 1991-97800	19910409
	NO 9203948	A	19921208	NO 1992-3948	19921009
	FI 9603424	A	19960902	FI 1996-3424	19960902
PRAI	US 1990-506730	A	19900409		
	US 1990-618765	A	19901127		
	WO 1991-US2262	A	19910403		
	FI 1992-4553	A	19921008		

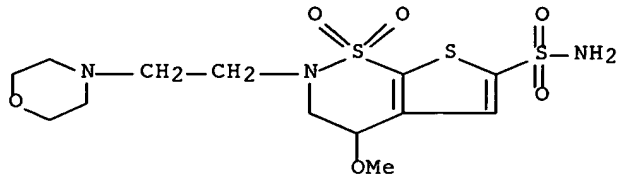
OS MARPAT 117:33673
GI



I

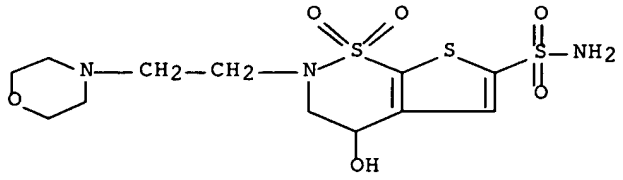
AB The title compds. [I; R1 = H, (un)substituted C1-4 alkyl; R2 = H, (un)substituted C1-8 alkyl, (un)substituted C3-7 alkynyl, Ph, heteroaryl, etc; R3 = H, halo, C1-4 alkyl, C1-8 alkoxy, C1-8 alkylthiol, etc; G = CO, SO2] and a pharmaceutically acceptable salt thereof are effective in lowering and controlling intraocular pressure. An ophthalmic suspension contained 3,4-dihydro-4-methoxy-2-methyl-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide-1,1-dioxide (prepn. given) 3.0, hydroxypropyl Me cellulose 0.5, Na2HPO4 0.2, di-Na edetate 0.01, NaCl 0.8, benzalkonium chloride 0.01, polysorbate-80 0.1, NaOH/HCl q.s. to pH 7.02, and water to 100.00 %.
IT **138890-43-4 138890-54-7**
RL: BIOL (Biological study)
(ophthalmic prepns. contg., for lowering intraocular pressure)
RN 138890-43-4 CAPLUS

CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3,4-dihydro-4-methoxy-2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 138890-54-7 CAPLUS

CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3,4-dihydro-4-hydroxy-2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

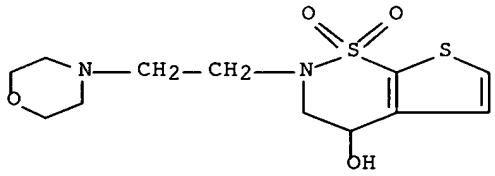


IT **138891-00-6P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, in prepn. of thiophene sulfonamide for glaucoma treatment)

RN 138891-00-6 CAPLUS

CN 2H-Thieno[3,2-e]-1,2-thiazin-4-ol, 3,4-dihydro-2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

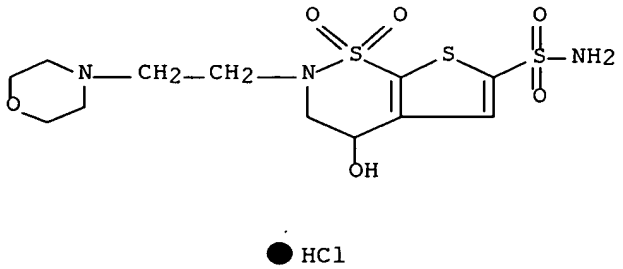


IT **138890-72-9P**

RL: PREP (Preparation)(prepn. of, as intraocular pressure lowering agent)

RN 138890-72-9 CAPLUS

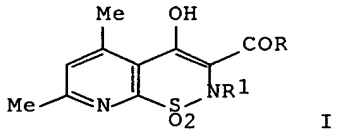
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3,4-dihydro-4-hydroxy-2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



L15 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1989:478013 CAPLUS
DN 111:78013
TI Preparation of 2-substituted derivatives of 2H-3-acyl-4-hydroxy-5,7-dimethylpyrido[3,2-e][1,2]thiazine 1,1-dioxides as analgesics
IN Malinka, Wieslaw; Zawisza, Tadeusz; Wilimowski, Marian
PA Akademia Medyczna Wroclaw, Pol.
SO Pol., 3 pp.
CODEN: POXXA7
DT Patent
LA Polish
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PL 143077	B2	19880130	PL 1986-257400	19860107

OS CASREACT 111:78013; MARPAT 111:78013
GI

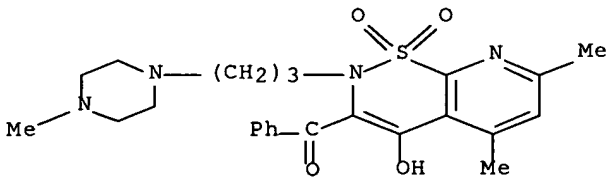


I

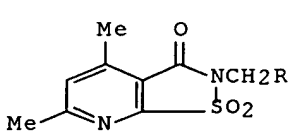
AB Title compds. I (R = Me, Ph; R1 = alkyl, alkylaryl, alkylcarboxy, alkyl ester, alkylamido, alkenyl, alkoxycarbonyl), useful as analgesics (no data), were prepd. 2H-3-Acetyl-4-hydroxy-5,7-dimethylpyrido[3,2-e][1,2]thiazine 1,1-dioxide and MeI are added to NaOMe at room temp. followed by acidification with HOAc to give I (R = R1 = Me) in 60% yield.

IT **121879-81-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as analgesic)

RN 121879-81-0 CAPLUS
CN Methanone, [4-hydroxy-5,7-dimethyl-2-[3-(4-methyl-1-piperazinyl)propyl]-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]phenyl- (9CI) (CA INDEX NAME)



L15 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1987:407141 CAPLUS
DN 107:7141
TI A novel system: 2H-pyrido[3,2-e]-1,2-thiazine-1,1-dioxide. Synthesis and properties of some derivatives
AU Zawisza, T.; Malinka, W.
CS Dep. Chem. Drug, Sch. Med., Wroclaw, Pol.
SO Farmaco, Ed. Sci. (1986), 41(10), 819-26
CODEN: FRPSAX; ISSN: 0430-0920
DT Journal
LA English
GI



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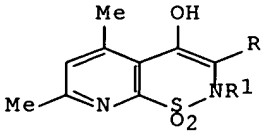
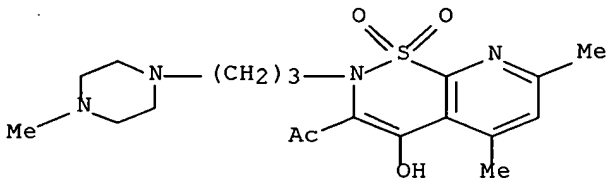


II

AB Reactions of pyridoisothiazoline dioxides I (R = COMe, COPh) with NaOEt produced rearrangement to give pyridothiazine dioxides II (R1 = H). N-Alkylation of II (R = COMe, COPh; R1 = H) gave II (R1 = Me, allyl, CH2Ph,CH2CO2Et,CH2COPh, CO2Me, etc.). Some II showed strong analgesic activity.

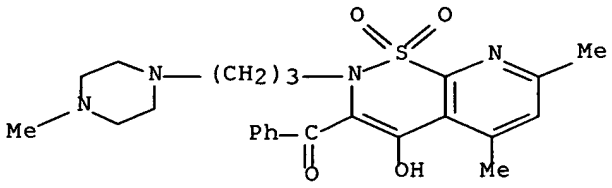
IT **108586-73-8P 108586-78-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and analgesic activity of)

RN 108586-73-8 CAPLUS
CN Ethanone, 1-[4-hydroxy-5,7-dimethyl-2-[3-(4-methyl-1-piperazinyl)propyl]-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 108586-78-3 CAPLUS
CN Methanone, [4-hydroxy-5,7-dimethyl-2-[3-(4-methyl-1-piperazinyl)propyl]-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]phenyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L18 ANSWER 1 OF 24 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Melting Point:

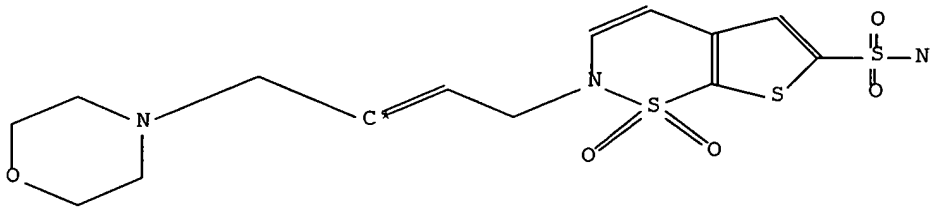
Value (MP) (Cel)	Ref.	Note
108 - 112	1	1

Reference(s):

1. Chen, Hwang-Hsing; Gross, Sharon; Liao, John; McLaughlin, Marsha; Dean, Tom; Sly, William S.; May, Jesse A., Bioorg.Med.Chem., CODEN: BMECEP, 8(5), <2000>, 957 - 975; BABS-6236312

Notes(s):

1. Crystallization with 0.5 Mol(s) H2O



L18 ANSWER 2 OF 24 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Melting Point:

Value (MP) (Cel)	Ref.
234 - 236	1

Reference(s):

1. Chen, Hwang-Hsing; Gross, Sharon; Liao, John; McLaughlin, Marsha; Dean, Tom; Sly, William S.; May, Jesse A., Bioorg.Med.Chem., CODEN: BMECEP, 8(5), <2000>, 957 - 975; BABS-6236312

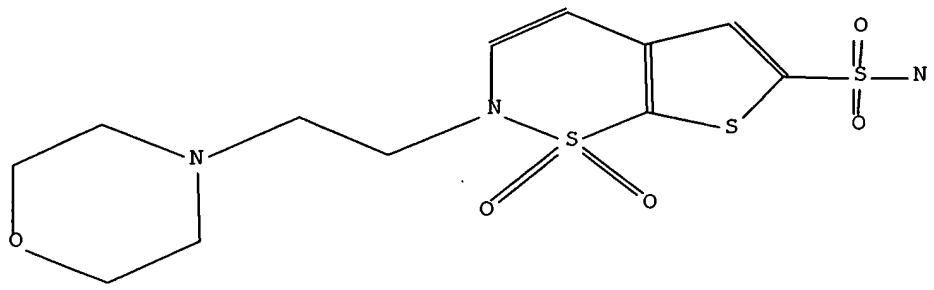
Nuclear Magnetic Resonance:

NMR

Coupling Nuclei:	1H-1H
Solvents:	dimethylsulfoxide-d6
Frequency:	200 MHz

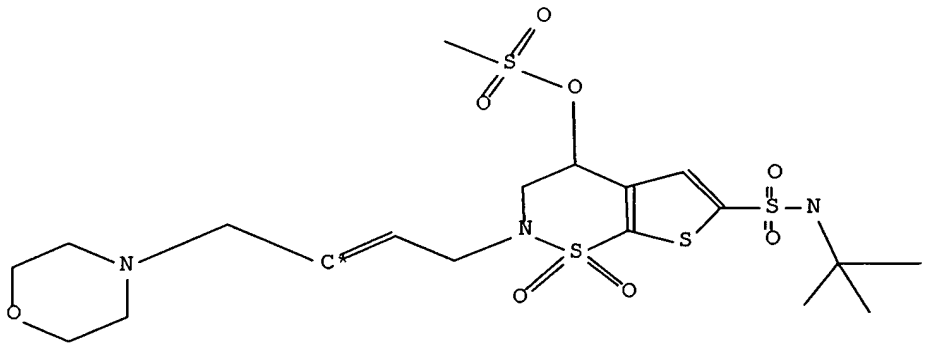
Reference(s):

1. Chen, Hwang-Hsing; Gross, Sharon; Liao, John; McLaughlin, Marsha; Dean, Tom; Sly, William S.; May, Jesse A., Bioorg.Med.Chem., CODEN: BMECEP, 8(5), <2000>, 957 - 975; BABS-6236312



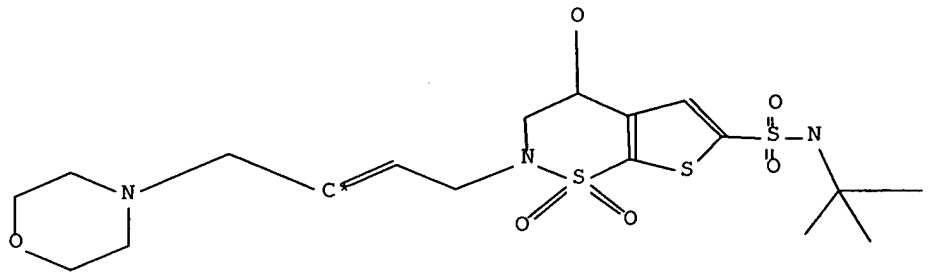
L18 ANSWER 3 OF 24 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	8591587
Chemical Name (CN):	methanesulfonic acid 6-tert-butylsulfamoyl-2-(4-morpholin-4-yl-but-2-enyl)-1,1-dioxo-1,2,3,4-tetrahydro-1.lambda.6-thieno<3,2-e><1,2>thiazin-4-yl ester
Autonom Name (AUN):	methanesulfonic acid 6-tert-butylsulfamoyl-2-(4-morpholin-4-yl-but-2-enyl)-1,1-dioxo-1,2,3,4-tetrahydro-1.lambda.6-thieno<3,2-e><1,2>thiazin-4-yl ester
Molec. Formula (MF):	C19 H31 N3 O8 S4
Molecular Weight (MW):	557.71
Lawson Number (LN):	31916, 30824, 3092, 2846, 2705
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	7281929
Tautomer ID (TAUTID):	8095086
Entry Date (DED):	2000/10/24
Update Date (DUPD):	2000/10/24



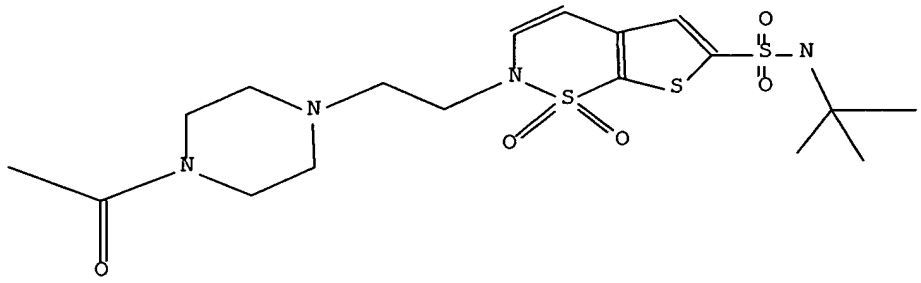
L18 ANSWER 4 OF 24 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Nuclear Magnetic Resonance:
NMR
Coupling Nuclei: 1H-1H
Solvents: dimethylsulfoxide-d6
Frequency: 200 MHz
Reference(s):
1. Chen, Hwang-Hsing; Gross, Sharon; Liao, John; McLaughlin, Marsha; Dean,
Tom; Sly, William S.; May, Jesse A., Bioorg.Med.Chem., CODEN: BMECEP, 8(5), <2000>, 957 - 975; BABS-6236312



L18 ANSWER 5 OF 24 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	8587001
Chemical Name (CN):	2-<2-(4-acetyl-piperazin-1-yl)-ethyl>-1,1-dioxo-1,2-dihydro-1.lambda.6-thieno<3,2-e><1,2>thiazine-6-sulfonic acid tert-butylamide
Autonom Name (AUN):	2-<2-(4-acetyl-piperazin-1-yl)-ethyl>-1,1-dioxo-1,2-dihydro-1.lambda.6-thieno<3,2-e><1,2>thiazine-6-sulfonic acid tert-butylamide
Molec. Formula (MF):	C18 H28 N4 O5 S3
Molecular Weight (MW):	476.62
Lawson Number (LN):	31915, 28000, 3018, 2846, 1155
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	7278087
Tautomer ID (TAUTID):	8084652
Entry Date (DED):	2000/10/24
Update Date (DUPD):	2000/10/24



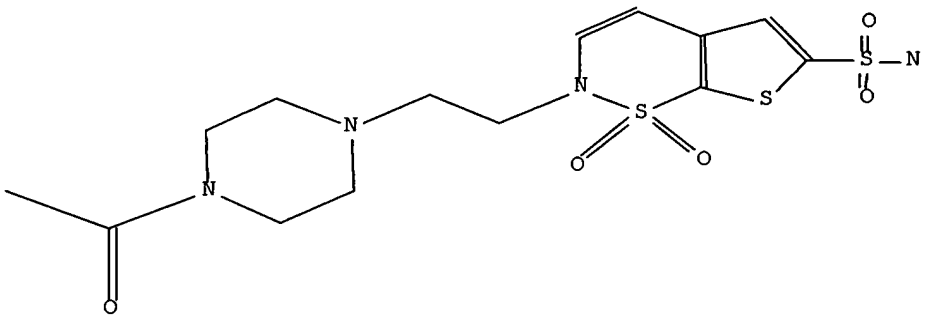
L18 ANSWER 6 OF 24 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Melting Point:

Value (MP) (Cel)	Solvent (.SOL)	Ref.
180 - 183	methanol, CH2Cl2	1

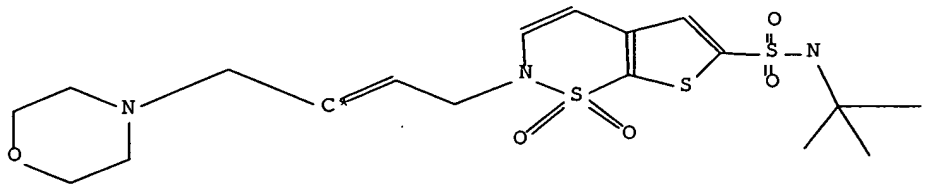
Reference(s):

1. Chen, Hwang-Hsing; Gross, Sharon; Liao, John; McLaughlin, Marsha; Dean, Tom; Sly, William S.; May, Jesse A., Bioorg.Med.Chem., CODEN: BMECEP, 8(5), <2000>, 957 - 975; BABS-6236312



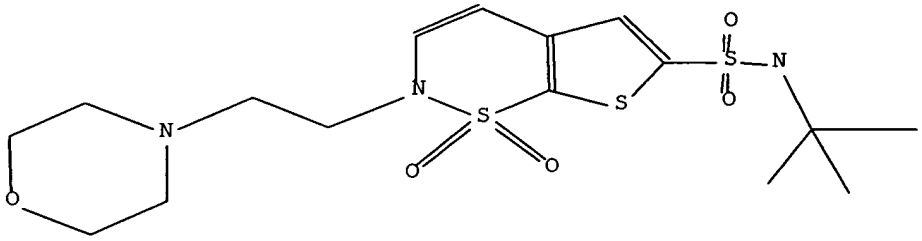
L18 ANSWER 7 OF 24 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Nuclear Magnetic Resonance:
NMR
Coupling Nuclei: 1H-1H
Solvents: dimethylsulfoxide-d6
Frequency: 200 MHz
Reference(s):
1. Chen, Hwang-Hsing; Gross, Sharon; Liao, John; McLaughlin, Marsha; Dean,
Tom; Sly, William S.; May, Jesse A., Bioorg.Med.Chem., CODEN: BMECEP, 8(5), <2000>, 957 - 975; BABS-6236312
NMR
Description: Chemical shifts
Nucleus: 1H
Solvents: dimethylsulfoxide-d6
Frequency: 200 MHz
Reference(s):
1. Chen, Hwang-Hsing; Gross, Sharon; Liao, John; McLaughlin, Marsha; Dean,
Tom; Sly, William S.; May, Jesse A., Bioorg.Med.Chem., CODEN: BMECEP, 8(5), <2000>, 957 - 975; BABS-6236312
Beilstein Records (BRN): 8581743
Chemical Name (CN): N-(1,1-dimethylethyl)-2-<4-(4-morpholinyl)-2-
butenyl>-2H-thieno<3,2-e>-1,2-thiazine-6-sulfonamide 1,1-dioxide
Autonom Name (AUN): 2-(4-morpholin-4-yl-but-2-enyl)-1,1-dioxo-1,2-dihydro-1.lambda.6-thieno<3,2-e><1,2>thiazine-6-sulfonic acid tert-butylamide
Molec. Formula (MF): C18 H27 N3 O5 S3
Molecular Weight (MW): 461.61
Lawson Number (LN): 31915, 30824, 3092, 2846
Compound Type (CTYPE): heterocyclic
Constitution ID (CONSID): 7273593
Tautomer ID (TAUTID): 8072321
Entry Date (DED): 2000/10/24
Update Date (DUPD): 2000/10/24



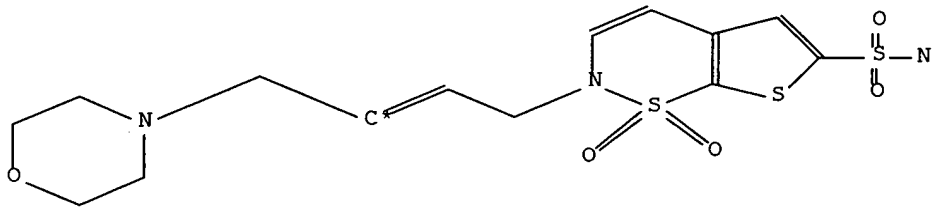
L18 ANSWER 8 OF 24 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	8579796
Chemical Name (CN):	2-(2-morpholin-4-yl-ethyl)-1,1-dioxo-1,2-dihydro-1.lambda.6-thieno<3,2-e><1,2>thiazine-6-sulfonic acid tert-butylamide
Autonom Name (AUN):	2-(2-morpholin-4-yl-ethyl)-1,1-dioxo-1,2-dihydro-1.lambda.6-thieno<3,2-e><1,2>thiazine-6-sulfonic acid tert-butylamide
Molec. Formula (MF):	C16 H25 N3 O5 S3
Molecular Weight (MW):	435.57
Lawson Number (LN):	31915, 30824, 3018, 2846
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	7271952
Tautomer ID (TAUTID):	8069545
Entry Date (DED):	2000/10/24
Update Date (DUPD):	2000/10/24



L18 ANSWER 9 OF 24 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	8578151
Chemical Name (CN):	2-<4-(4-morpholinyl)-2-butenyl>-2H-thieno<3,2-e>-1,2-thiazine-6-sulfonamide 1,1-dioxide
Autonom Name (AUN):	2-(4-morpholin-4-yl-but-2-enyl)-1,1-dioxo-1,2-dihydro-1.lambda.6-thieno<3,2-e><1,2>thiazine-6-sulfonic acid amide
Molec. Formula (MF):	C14 H19 N3 O5 S3
Molecular Weight (MW):	405.50
Lawson Number (LN):	31915, 30824, 3092
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	7270627
Tautomer ID (TAUTID):	8069292
Entry Date (DED):	2000/10/24
Update Date (DUPD):	2000/10/24



L18 ANSWER 10 OF 24 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

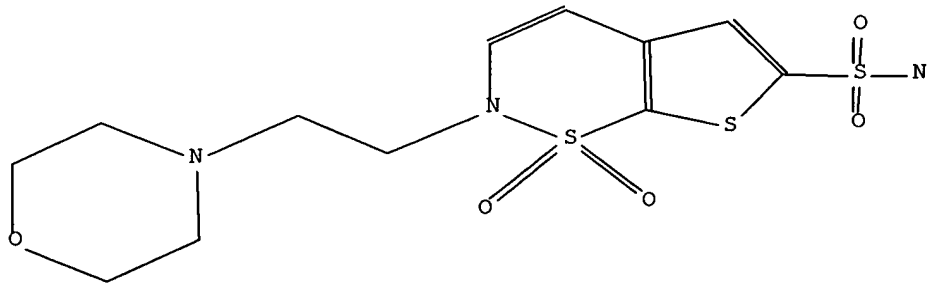
Melting Point:

Value (MP) (Cel)	Ref.
135 - 137	1

Reference(s):

1. Chen, Hwang-Hsing; Gross, Sharon; Liao, John; McLaughlin, Marsha; Dean, Tom; Sly, William S.; May, Jesse A., Bioorg.Med.Chem., CODEN: BMECEP, 8(5), <2000>, 957 - 975; BABS-6236312

Beilstein Records (BRN):	8572775
Chemical Name (CN):	2-<2-(4-morpholinyl)ethyl>-2H-thieno<3,2-e>-1,2-thiazine-6-sulfonamide 1,1-dioxide
Autonom Name (AUN):	2-(2-morpholin-4-yl-ethyl)-1,1-dioxo-1,2-dihydro-1.lambda.6-thieno<3,2-e><1,2>thiazine-6-sulfonic acid amide
Molec. Formula (MF):	C12 H17 N3 O5 S3
Molecular Weight (MW):	379.46
Lawson Number (LN):	31915, 30824, 3018
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	7266063
Tautomer ID (TAUTID):	8067316
Entry Date (DED):	2000/10/24
Update Date (DUPD):	2000/10/24



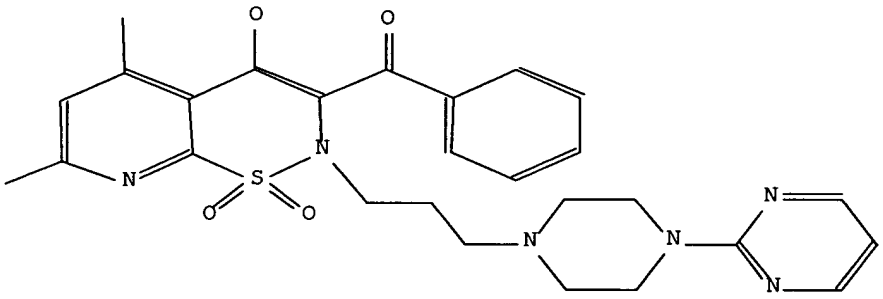
L18 ANSWER 11 OF 24 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Melting Point:

Value (MP) (Cel)	Solvent (.SOL)	Ref.
202 - 204	ethanol	1

Reference(s):

1. Malinka, Wieslaw; Sieklucka-Dziuba, Maria; Raitar-Cynke, Grazyna; Borowicz, Kinga; Kleinrok, Zdzislaw, Farmaco, CODEN: FRMCE8, 49(12), <1994>, 783-792;
BABS-5956551



L18 ANSWER 12 OF 24 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Melting Point:

Value (MP) (Cel)	Solvent (.SOL)	Ref.
175 - 177	ethanol	1

Reference(s):

1. Malinka, Wieslaw; Sieklucka-Dziuba, Maria; Raitar-Cynke, Grazyna; Borowicz, Kinga; Kleinrok, Zdzislaw, Farmaco, CODEN: FRMCE8, 49(12), <1994>, 783-792; BABS-5956551

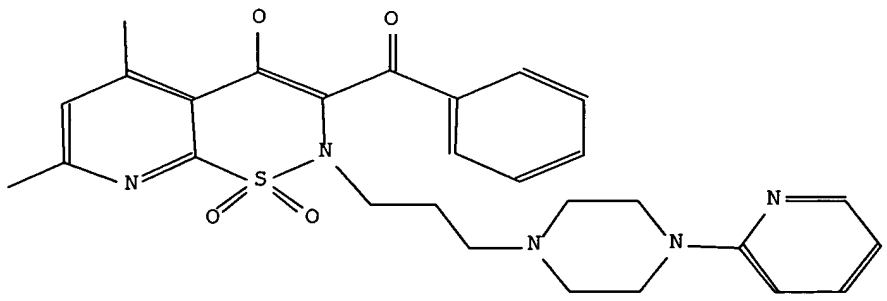
Nuclear Magnetic Resonance:

NMR

Description: Chemical shifts
Nucleus: 1H
Reference(s):
1. Malinka, Wieslaw; Sieklucka-Dziuba, Maria; Raitar-Cynke, Grazyna; Borowicz, Kinga; Kleinrok, Zdzislaw, Farmaco, CODEN: FRMCE8, 49(12), <1994>, 783-792; BABS-5956551

NMR

Description: Spin-spin coupling constants
Note(s): 1H-1H
Reference(s):
1. Malinka, Wieslaw; Sieklucka-Dziuba, Maria; Raitar-Cynke, Grazyna; Borowicz, Kinga; Kleinrok, Zdzislaw, Farmaco, CODEN: FRMCE8, 49(12), <1994>, 783-792; BABS-5956551



L18 ANSWER 13 OF 24 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Melting Point:

Value (MP) (Cel)	Solvent (.SOL)	Ref.
189 - 191	ethanol	1

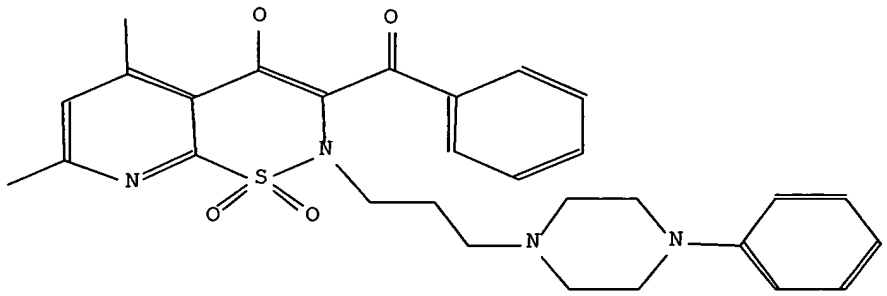
Reference(s):

1. Malinka, Wieslaw; Sieklucka-Dziuba, Maria; Raitar-Cynke, Grazyna; Borowicz, Kinga; Kleinrok, Zdzislaw, Farmaco, CODEN: FRMCE8, 49(12), <1994>, 783-792; BABS-5956551

Nuclear Magnetic Resonance:

NMR

Description: Chemical shifts
Nucleus: 1H
Reference(s):
1. Malinka, Wieslaw; Sieklucka-Dziuba, Maria; Raitar-Cynke, Grazyna; Borowicz, Kinga; Kleinrok, Zdzislaw, Farmaco, CODEN: FRMCE8, 49(12), <1994>, 783-792; BABS-5956551



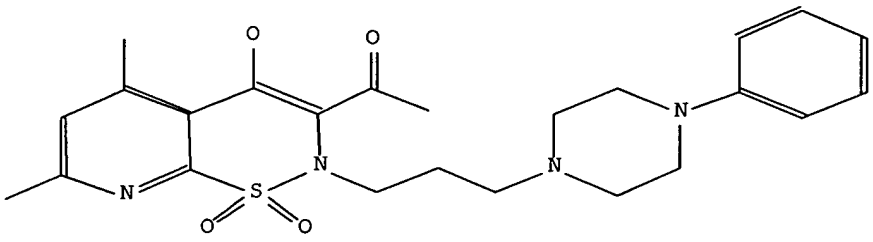
L18 ANSWER 14 OF 24 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Melting Point:

Value (MP) (Cel)	Solvent (.SOL)	Ref.
194 - 196	ethanol	1

Reference(s):

1. Malinka, Wieslaw; Sieklucka-Dziuba, Maria; Raitar-Cynke, Grazyna; Borowicz, Kinga; Kleinrok, Zdzislaw, Farmaco, CODEN: FRMCE8, 49(12), <1994>, 783-792;
BABS-5956551



L18 ANSWER 15 OF 24 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Melting Point:

Value (MP) (Cel)	Solvent (.SOL)	Ref.
256 - 258	ethanol	1

Reference(s):

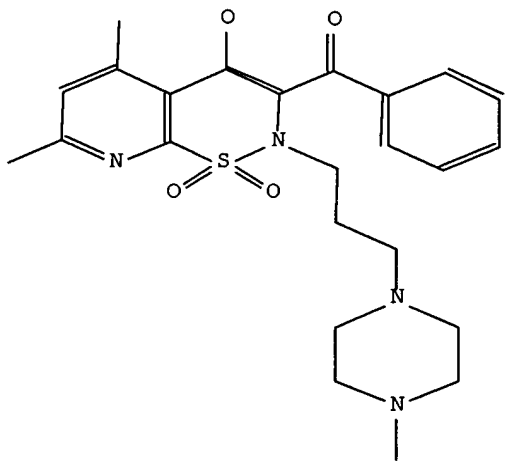
1. Zawisza, T.; Malinka, W., Farmaco Ed.Sci., CODEN: FRPSAX, 41(10), <1986>, 819-826; BABS-5913809

Infrared Spectrum:

Description (.KW)	Solvent (.SOL)	Ref.	Note
Bands	KBr	1	1

Reference(s):

1. Zawisza, T.; Malinka, W., Farmaco Ed.Sci., CODEN: FRPSAX, 41(10), <1986>, 819-826; BABS-5913809



L18 ANSWER 16 OF 24 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Melting Point:

Value (MP) (Cel)	Solvent (.SOL)	Ref.
267 - 269	methanol	1

Reference(s):

1. Zawisza, T.; Malinka, W., Farmaco Ed.Sci., CODEN: FRPSAX, 41(10), <1986>, 819-826; BABS-5913809

Infrared Spectrum:

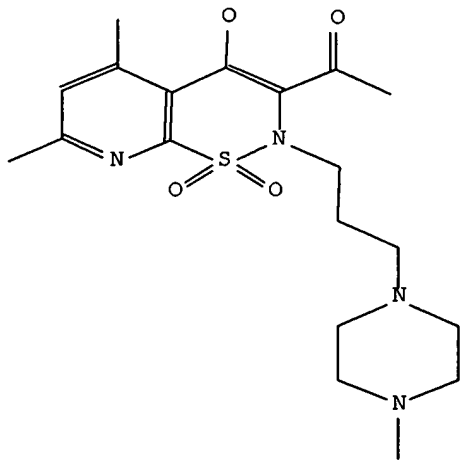
Description (.KW)	Solvent (.SOL)	Ref.	Note
Bands	KBr	1	1

Reference(s):

1. Zawisza, T.; Malinka, W., Farmaco Ed.Sci., CODEN: FRPSAX, 41(10), <1986>, 819-826; BABS-5913809

Notes(s):

1. 3480 - 1160 cm**(-1)



L18 ANSWER 17 OF 24 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Melting Point:

Value (MP) (Cel)	Solvent (.SOL)	Ref.
224 - 227	benzene	1

Reference(s):

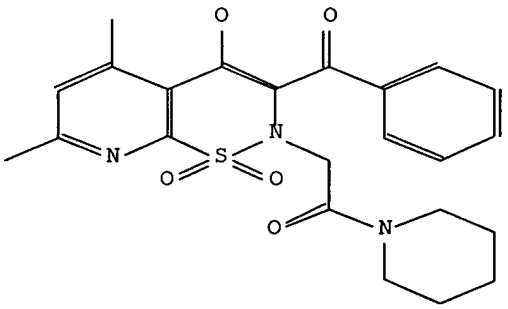
1. Malinka, W.; Deren, A., Pol.J.Chem., CODEN: PJCHDQ, 66(12), <1992>, 1953-1960; BABS-5713285

Nuclear Magnetic Resonance:

NMR

Description: Chemical shifts
Nucleus: 1H
Solvents: CDCl3
Reference(s):

1. Malinka, W.; Deren, A., Pol.J.Chem., CODEN: PJCHDQ, 66(12), <1992>, 1953-1960; BABS-5713285



L18 ANSWER 18 OF 24 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Melting Point:

Value (MP) (Cel)	Solvent (.SOL)	Ref.
196 - 198	ethanol	1

Reference(s):
1. Malinka, W.; Deren, A., Pol.J.Chem., CODEN: PJCHDQ, 66(12), <1992>, 1953-1960; BABS-5713285

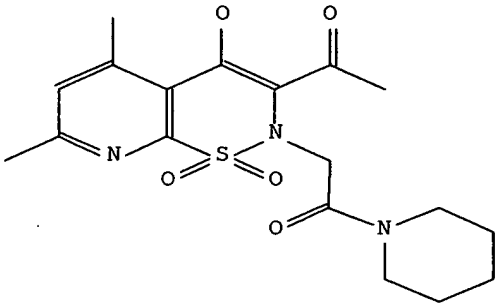
Nuclear Magnetic Resonance:

NMR

Description: Chemical shifts
Nucleus: 1H
Solvents: CDCl3
Reference(s):
1. Malinka, W.; Deren, A., Pol.J.Chem., CODEN: PJCHDQ, 66(12), <1992>, 1953-1960; BABS-5713285

NMR

Description: Spin-spin coupling constants
Solvents: CDCl3
Note(s): 1H-1H
Reference(s):
1. Malinka, W.; Deren, A., Pol.J.Chem., CODEN: PJCHDQ, 66(12), <1992>, 1953-1960; BABS-5713285



L18 ANSWER 19 OF 24 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

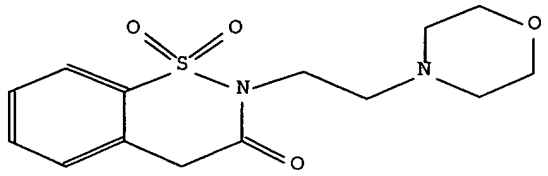
Melting Point:

Value (MP) (Cel)	Ref.
235 - 238	1, 2

Reference(s):

1. Sianesi,E. et al., J.Med.Chem., CODEN: JMCMAR, 16, <1973>, 1133-1137
2. Patent: Recordati S.A. DE 2022694 1970, Chem.Abstr., 74(141829)

FBRN 691238
FMF C14 H18 N2 O4 S



L18 ANSWER 20 OF 24 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

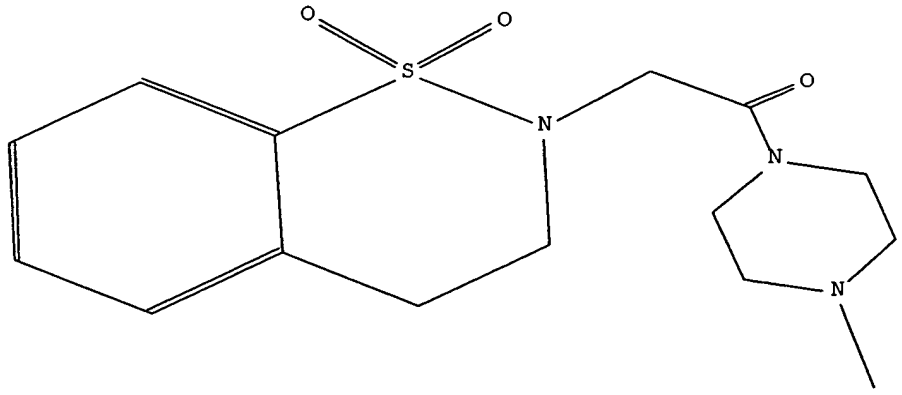
Melting Point:

Value (MP) (Cel)	Ref.
104 - 106	1, 2

Reference(s):

1. Patent: Recordati SA DE 2124953 1971, Chem.Abstr., 76(72535), <1972>
2. Patent: Recordati SA,Chem.Pharm.Co. US 3770733 1971, Chem.Abstr., 80(48016)

Beilstein Records (BRN):	1224941
Beilstein Pref. RN (BPR):	35263-36-6
CAS Reg. No. (RN):	35263-36-6
Chemical Name (CN):	1-<(1,1-dioxo-3,4-dihydro-1H-1.lambda.6-benzo<e><1,2>thiazin-2-yl)-acetyl>-4-methyl-piperazine
Autonom Name (AUN):	2-(1,1-dioxo-3,4-dihydro-1H-1.lambda.6-benzo<e><1,2>thiazin-2-yl)-1-(4-methyl-piperazin-1-yl)-ethanone
Molec. Formula (MF):	C15 H21 N3 O3 S
Molecular Weight (MW):	323.41
Lawson Number (LN):	30877, 28000, 3379, 2817
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	1186049
Tautomer ID (TAUTID):	1236575
Beilstein Citation (BSO):	5-27
Entry Date (DED):	1988/11/29
Update Date (DUPD):	1992/08/12



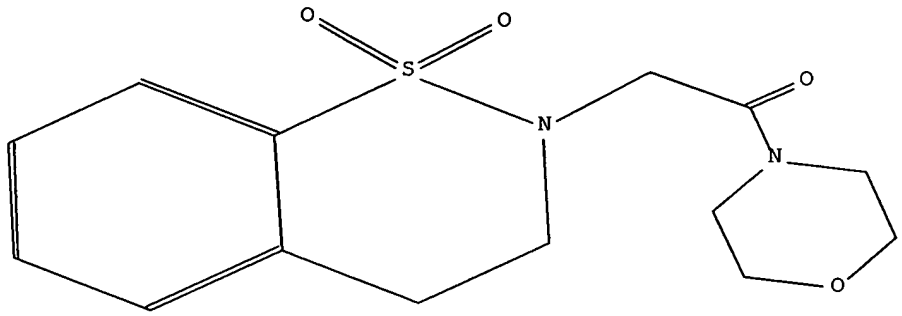
L18 ANSWER 21 OF 24 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Melting Point:

Value (MP) (Cel)	Ref.
90 - 92	1, 2

Reference(s):

1. Patent: Recordati SA DE 2124953 1971, Chem.Abstr., 76(72535), <1972>
2. Patent: Recordati SA,Chem.Pharm.Co. US 3770733 1971, Chem.Abstr., 80(48016)



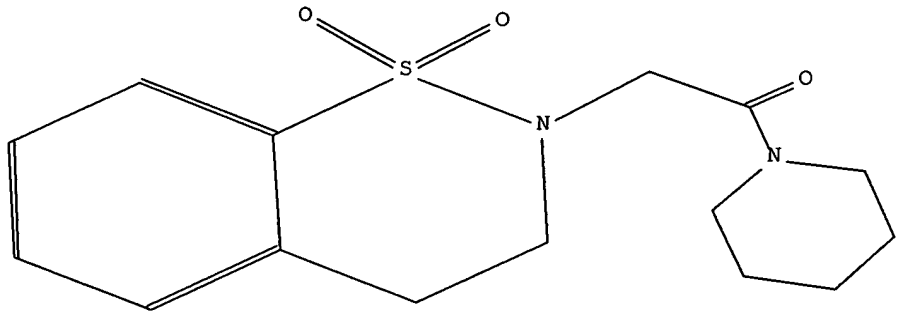
L18 ANSWER 22 OF 24 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Melting Point:

Value (MP) (Cel)	Ref.
106 - 108	1, 2

Reference(s):

1. Patent: Recordati SA DE 2124953 1971, Chem.Abstr., 76(72535), <1972>
2. Patent: Recordati SA,Chem.Pharm.Co. US 3770733 1971, Chem.Abstr., 80(48016)



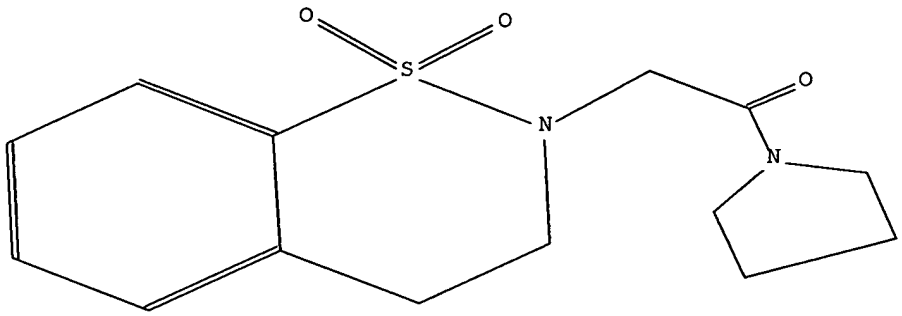
L18 ANSWER 23 OF 24 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Melting Point:

Value (MP) (Cel)	Ref.
132 - 133	1, 2

Reference(s):

1. Patent: Recordati SA DE 2124953 1971, Chem.Abstr., 76(72535), <1972>
2. Patent: Recordati SA,Chem.Pharm.Co. US 3770733 1971, Chem.Abstr., 80(48016)

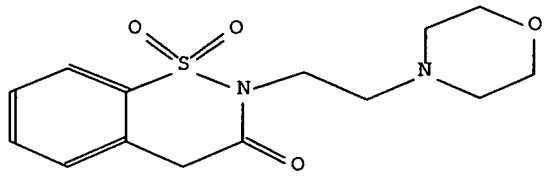


L18 ANSWER 24 OF 24 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Further Information:
FINFO

Reference(s):
1. Patent: Recordati S.A. DE 2022694 1970, Chem.Abstr., 74(141829)

Beilstein Records (BRN):	691238
Chemical Name (CN):	2-(2-morpholin-4-yl-ethyl)-1,1-dioxo-1,4-dihydro-2H-1.lambda.6-benzo<e><1,2>thiazin-3-one
Autonom Name (AUN):	2-(2-morpholin-4-yl-ethyl)-1,1-dioxo-1,4-dihydro-2H-1.lambda.6-benzo<e><1,2>thiazin-3-one
Molec. Formula (MF):	C14 H18 N2 O4 S
Molecular Weight (MW):	310.37
Lawson Number (LN):	31166, 30824, 3018
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	628350
Tautomer ID (TAUTID):	659824
Beilstein Citation (BSO):	5-27
Entry Date (DED):	1988/11/28
Update Date (DUPD):	1992/11/13



L22 ANSWER 1 OF 9 MARPAT COPYRIGHT 2002 ACS
AN 136:363846 MARPAT
TI Composition comprising serotonin receptor antagonists, 5 HT-2 and 5 HT-3
IN Skogvall, Staffan
PA Respiratorius A.B., Swed.
SO PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002036114	A1	20020510	WO 2001-SE2373	20011030

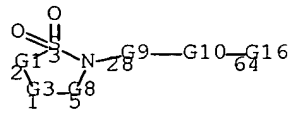
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI SE 2000-3996 20001101
US 2000-244662P 20001101

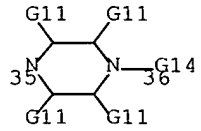
AB A compn. comprising a combination of compds. comprising: (a) at least one compd. with antagonist activity to the 5-HT3 receptor; and (b) at least one compd. with antagonist activity to the 5-HT2 receptor is described. The invention relates to the use of said compn. as a medicament for therapeutic or prophylactic treatment of disorders involving airway constriction in humans or animals.

MSTR 3



G1 = 8-3 9-1

G3 = CH=CH
G9 = alkenylene
G10 = 35-28 36-64

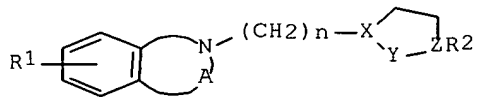


MPL: claim 2

L22 ANSWER 2 OF 9 MARPAT COPYRIGHT 2002 ACS
AN 136:85830 MARPAT
TI Preparation of bicyclic lactams and sulfonamides as 5-HT1A agonists
IN Steiner, Gerd; Schellhaas, Kurt; Szabo, Laszlo; Behl, Berthold; Garcia-Ladona, Francisco Javier; Unger, Liliane
PA Knoll Gmbh, Germany
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002002529	A1	20020110	WO 2001-EP7571	20010702
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	DE 10031391	A1	20020207	DE 2000-10031391	20000703
PRAI	DE 2000-10031391		20000703		

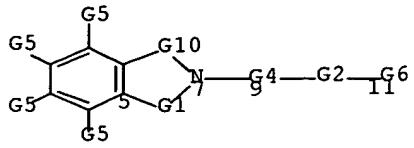
GI



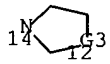
I

AB Title compds. [I; the ring including NA can be a 5-7 membered ring contg. O, S, or double bond; A = CO, SO2; X = N; Y = CH2, CH2CH2, (CH2)3, CH2CH; Z = N, C, CH; n = 2-4; R1 = H, halo, alkyl, CF3, OH, alkoxy, amino; R2 = (substituted) (anellated) Ph, pyridyl, pyrazinyl] and salts thereof, were prepd. Thus, isoquinoline in DMF was stirred with NaH for 30 min. followed by addn. of 1-[4-(2-chloroethyl)-1-piperazinyl]isoquinoline (prepn. given) and stirring for 2 h at 80.degree. to give 82% 2-[2-(4-(1-isoquinolinyl)-1-piperazinyl)ethyl]-1(2H)-isoquinoline.2HCl.2H2O. Tested I showed affinity for the 5-HT1A receptor with Ki = 0.1-5.4 nM in HEK 293 cells.

MSTR 1



G1 = CH=CH
G2 = 14-9 12-11



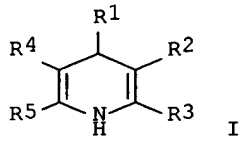
G4 = (2-4) CH2
G10 = SO2
MPL: claim 1
NTE: and physiologically acceptable salts

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 3 OF 9 MARPAT COPYRIGHT 2002 ACS
AN 132:308329 MARPAT
TI Preparation of tricyclic heterocycles as potassium channel openers
IN Carroll, William A.; Agrios, Konstantinos A.; Basha, Fatima Z.; Chen, Yiyuan; Kort, Michael E.; Kym, Philip R.; Tang, Rui; Turner, Sean C.; Yi, Lin
PA Abbott Laboratories, USA
SO PCT Int. Appl., 181 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000024741	A2	20000504	WO 1999-US25536	19991028
	WO 2000024741	A3	20000713		
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1131322	A2	20010912	EP 1999-970991	19991028
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRAI	US 1998-181239	19981028			
	US 1999-421912	19991020			
	WO 1999-US25536	19991028			

GI

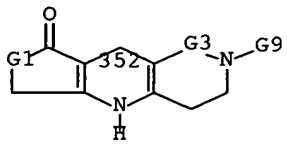


I

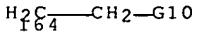
AB Title compds. [I; R1 = aryl or heterocyclyl; R2R3 = D'A'(CHR)m; R = H or alkyl; R4R5 = DA(CH2)n; A = O, S, (un)substituted NH; A' = O, S, (un)substituted NH, CH2; D = CH2 or CO; D' = CH2, CO, SO, SO2; m, n = 1-3] were prepd. Thus, 3,4-BrFC6H3CHO was cyclocondensed with MeCOCH2CO2Et and NH3 and the brominated product treated with liq. NH3 to give I (R1 = C6H3BrF-3,4, R2R3,R4R5 = CONHCH2). Data for biol. activity of I were given.

MSTR 1

G3 = SO2
G6 = 352



G9 = 164

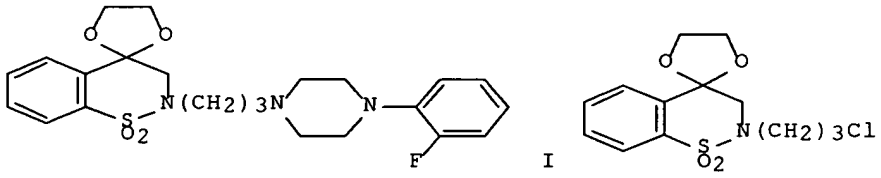


G10 = morpholino
DER: or pharmaceutically acceptable salts, amides, esters or prodrugs
MPL: claim 1
NTE: additional substitution and ring formation also claimed
NTE: substitution is restricted

L22 ANSWER 4 OF 9 MARPAT COPYRIGHT 2002 ACS
AN 130:196660 MARPAT
TI Benzothiazine derivatives.
IN Mizuno, Akira; Shibata, Makoto; Iwamori, Tomoe; Inomata, Norio
PA Suntory Limited, Japan
SO U.S., 60 pp., Cont.-in-part of U.S. Ser. No. 507,239.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

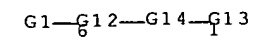
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5874429	A	19990223	US 1996-669615	19960624
	WO 9518117	A1	19950706	WO 1994-JP2194	19941222
	W: AU, CA, CN, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	JP 09012562	A2	19970114	JP 1995-177976	19950622
	US 6001827	A	19991214	US 1998-192287	19981116
	US 6316442	B1	20011113	US 1999-379853	19990824
PRAI	JP 1993-345865	19931224			
	WO 1994-JP2194	19941222			
	JP 1995-177976	19950622			
	US 1995-507239	19950824			
	US 1996-669615	19960624			
	US 1998-192287	19981116			

GI

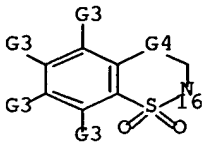


AB Benzothiazine derivs. such as I were prepd. as serotonin-2 and .alpha.1 blockers. Thus, 1 mmol of II, 1 mmol of 1-(2-fluorophenyl)piperazine hydrochloride, 4 mmol of NaHCO3, and 2 mmol of NaI were refluxed in 15 mL of MeCN for 18 h to give a 50% yield of I. In tests of anti-serotonin activity in the superior mesenteric artery of guinea pigs, I at 10-7 and 10-6 M lowered contractions to 38.3 and 7.5%, resp., of control (contractions induced by 10-5 M serotonin).

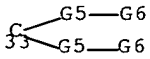
MSTR 1



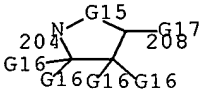
G1 = 16



G4 = 33



G12 = alkenylene (SO)
G14 = 204-6 208-1



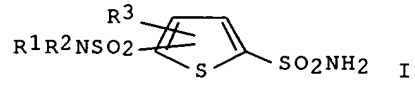
DER: or salts
MPL: claim 1
NTE: substitution is restricted
NTE: also incorporates broader disclosure
STE: or isomers

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

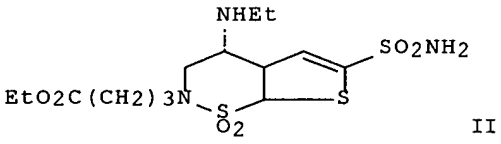
L22 ANSWER 5 OF 9 MARPAT COPYRIGHT 2002 ACS
AN 126:144282 MARPAT
TI Preparation of thieno[3,2-e]-1,2-thiazine-6-sulfonamides useful as carbonic anhydrase inhibitors
IN Dean, Thomas R.; May, Jesse A.; Chen, Hwang-hsing
PA Alcon Laboratories, Inc., USA
SO U.S., 17 pp., Cont.-in-part of U.S. 5,378,703.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5585377	A	19961217	US 1994-362716	19941223
	US 5153192	A	19921006	US 1990-618765	19901127
	ZA 9102580	A	19920129	ZA 1991-2580	19910408
	US 5240923	A	19930831	US 1991-775313	19911009
	US 5378703	A	19950103	US 1993-19011	19930218
PRAI	US 1990-506730	19900409			
	US 1990-618765	19901127			
	US 1991-775313	19911009			
	US 1993-19011	19930218			
	US 1990-506780	19900409			

GI



I

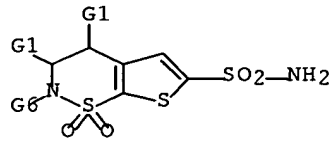


II

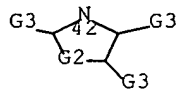
AB Thiophenesulfonamides [I; R1 and R3 are each satd. carbon atoms joined together to form an (un)substituted ring of 6 members; R2 = C1-8 alkyl substituted with COR7, C2-8 alkyl substituted with O2CR7, NHCOR7; R7 = C1-8 alkyl, (un)substituted C1-8 alkyl, C1-4 alkoxy, C2-4 alkoxy, (un)substituted NH2, Ph or R10; R10 = a monocyclic ring system selected from the group consisting of furan, thiophene, pyrrole, pyrazole, imidazole, triazole, tetrazole, oxazole, isoxazole, isothiazole, thiazole, thiadiazole, pyridine, pyrimidine, pyridazine, and pyrazine] and pharmaceutical compns. contg. the compds. useful in controlling intraocular pressure are disclosed. Methods for controlling intraocular pressure through administration of the compns. are also disclosed. These compds. are useful as carbonic anhydrase inhibitors and also for treatment of glaucoma (no data). Thus, (S)-N-(1,1-dimethylethyl)-3,4-dihydro-4-hydroxy-2H-thieno[3,2-e]-1,2- thiazine-6-sulfonamide 1,1-dioxide (prepn. given) was treated with NaH in DMF at 0.degree. for 20 min and alkylated by Et 4-bromobutyrate at room temp. for 6 h to give Et (S)-6-[[(1,1-dimethylethyl)amino]sulfonyl]-3,4-dihydro-4-hydroxy-2H-thieno[3,2-e]-1,2-thiazine-2-butanoate hydrochloride. The latter compd. was tosylated by tosyl chloride in THF contg. Et3N and underwent

amination
with aq. ethylamine at room temp. overnight, followed by treatment with CF3CO2H at room temp. for 18 h to give the title compd. (II.HCl). Ophthalmic gel, soln., and suspension contg. II.HCl were formulated.

MSTR 1A



G5 = 42

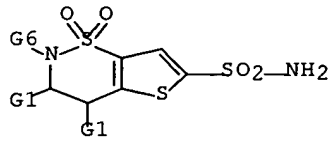


G6 = alkyl<(1-8)> (SR 38)

$_{38}^{C(O)\text{-}G5}$

DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: G3 groups may form oxo
STE: 207-S

MSTR 1B

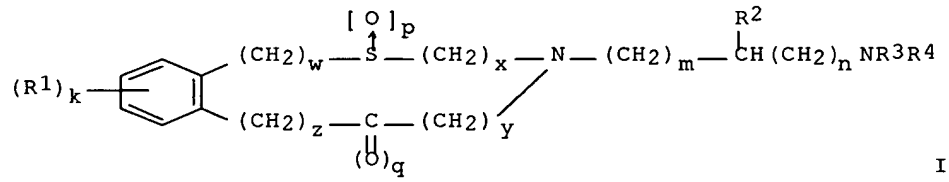


G5 = 42

L22 ANSWER 6 OF 9 MARPAT COPYRIGHT 2002 ACS
AN 124:146182 MARPAT
TI Preparation of benzothiazine derivatives for inhibiting dysuria
IN Masaki, Mitsuo; Miyake, Norihisa; Tendo, Atsushi; Ishida, Michiko; Shinozaki, Atsuhiko; Nomura, Yutaka; Goto, Yasunori
PA Nippon Chemiphar Co., Ltd., Japan
SO PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9526959	A1	19951012	WO 1995-JP632	19950331
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, US, UZ, VN				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	JP 07278125	A2	19951024	JP 1994-85831	19940331
	AU 9520849	A1	19951023	AU 1995-20849	19950331
	JP 08003152	A2	19960109	JP 1995-100505	19950331
	EP 753514	A1	19970115	EP 1995-913402	19950331
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	CN 1148853	A	19970430	CN 1995-193184	19950331
	US 5773437	A	19980630	US 1996-722112	19960930
	AU 9897203	A1	19990304	AU 1998-97203	19981218
PRAI	JP 1994-85831	19940331			
	JP 1994-103345	19940418			
	AU 1995-20849	19950331			
	WO 1995-JP632	19950331			

GI

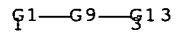


I

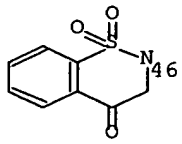
AB The title compds. I [R1 represents hydrogen, alkyl, halogen, haloalkyl, hydroxy, alkoxy, nitro, amino, cyano, etc.; R2 represents hydrogen, alkyl, aryl, etc.; R3 and R4 represent each alkyl, etc., or R3 and R4 are combined together to form an optionally substituted heterocyclic group; k represents an integer of 1 to 4; m and n represent each an integer of 0 to 4; p+q = 0 to 4, wherein p is 0, 1 or 2 and q is 0 or 1; and w, x, y and z represent each an integer of 0 to 2, and w+x+y+z = 1 or 2, provided when R1 to R4 represent each a specifically limited group, w+x+y+z may be 0]

are prepd. 2-[3-(4-Phenoxypiperidino)propyl]-2H-1,2-benzothiazin-4(3H)-one 1,1-dioxide hydrochloride (II) was prepd. in several steps starting from 2H-1,2-benzothiazin-4(3H)-one 1,1-dioxide ethylene ketal. II at 1 mg/kg i. v. inhibited urinary bladder contractions in rats.

MSTR 1



G1 = 46



G9 = alkylene<EC (1-5) C, DC (0) M3> (SO (-1) G10)
G13 = morpholino
MPL: claim 1
NTE: substitution is restricted

L22 ANSWER 7 OF 9 MARPAT COPYRIGHT 2002 ACS
AN 123:340165 MARPAT
TI Preparation of benzothiazine derivatives as serotonin 2 antagonists and .alpha.1 blockers
IN Mizuno, Akira; Shibata, Makoto; Iwamori, Tomoe; Inomata, Norio
PA Suntory Ltd., Japan
SO PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9518117	A1	19950706	WO 1994-JP2194	19941222
	W: AU, CA, CN, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2156849	AA	19950706	CA 1994-2156849	19941222
	AU 9513710	A1	19950717	AU 1995-13710	19941222
	AU 690622	B2	19980430		
	EP 686632	A1	19951213	EP 1995-903941	19941222
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CN 1119859	A	19960403	CN 1994-191572	19941222
	CN 1058492	B	20001115		
	US 5874429	A	19990223	US 1996-669615	19960624
	US 6001827	A	19991214	US 1998-192287	19981116
	US 6316442	B1	20011113	US 1999-379853	19990824
	CN 1281854	A	20010131	CN 2000-103863	20000310

PRAI	JP 1993-345865	19931224
	WO 1994-JP2194	19941222
	JP 1995-177976	19950622
	US 1995-507239	19950824
	US 1996-669615	19960624
	US 1998-192287	19981116

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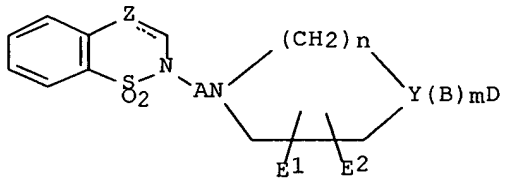
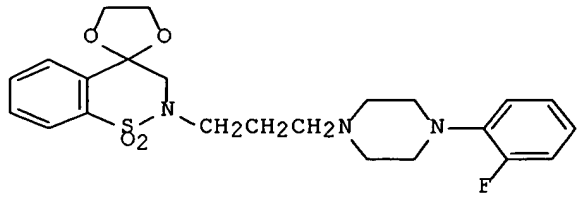


I

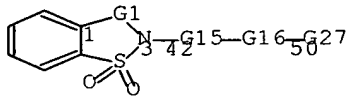


II

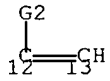
AB The title compds. I [broken line indicates the presence or absence of a

bond; Z represents C(OR1):, etc.; R1 represents alkyl, aralkyl, etc.; A represents alkylene, alkenylene, etc.; Y represents CH, C: or N, provided when Y is CH, then m represents 0 or 1, n represents 1 or 2, and B represents O, S, carbonyl, etc., when Y is C: , then m represenys 1, n represents 1 or 2, and B represents :CR6 (wherein the double bond is bound to Y, and R6 represents optionally substituted aryl, etc.), and when Y is N, then m represents 0 or 1, n represents 2 of 3, and B represents carbonyl, etc.; E1 and E2 represent each H or lower alkyl; and D represents an arom. hydrocarbon group, arom. heterocyclic group, etc.] are prepd. The title compd. II (prepn. given) at 10-7 M in vitro gave 61.7 % inhibition of serotonin-induced contraction of isolated guinea pig artery.

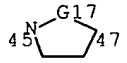
MSTR 1



G1 = 12-1 13-3



G15 = alkenylene (SO)
G21 = 45-42 47-63

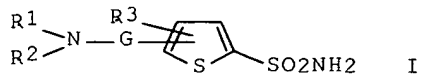


DER: or salts
MPL: claim 1

L22 ANSWER 8 OF 9 MARPAT COPYRIGHT 2002 ACS
AN 123:83377 MARPAT
TI Sulfonamides useful as carbonic anhydrase inhibitors
IN Dean, Thomas R.; Chen, Hwang-Hsing; May, Jesse A.
PA Alcon Laboratories, Inc., USA
SO U.S., 25 pp. Cont.-in-part of U.S. 5,240,923.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5378703	A	19950103	US 1993-19011	19930218
	US 5153192	A	19921006	US 1990-618765	19901127
	US 5240923	A	19930831	US 1991-775313	19911009
	US 5679670	A	19971021	US 1994-357623	19941215
	US 5585377	A	19961217	US 1994-362716	19941223
PRAI	US 1990-506780	19900409			
	US 1990-618765	19901127			
	US 1991-775313	19911009			
	US 1990-506730	19900409			
	US 1993-19011	19930218			

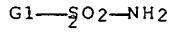
GI



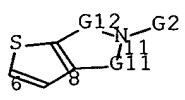
I

AB Sulfonamides I [R1 and R3 are each satd. carbon atoms joined together to form a ring of 6 members in which said carbon atoms can be unsubstituted or substituted optionally with R4; R2 is e.g., H; C1-8 alkyl; C2-8 alkyl substituted with OH; R4 is e.g., OH; C1-4 alkyl unsubstituted or substituted optionally with OH] and pharmaceutical compns. contg. the compds. useful in controlling intraocular pressure (no data) are disclosed. Methods for controlling intraocular pressure through administration of the compns. are also disclosed. Ophthalmic formulations were given.

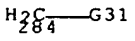
MSTR 2



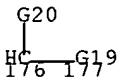
G1 = 6



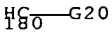
G2 = 284



G11 = 176-8 177-11



G12 = SO2
G19 = (0-2) 180

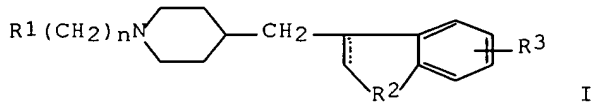


G31 = morpholino
DER: or pharmaceutically acceptable salts
MPL: disclosure
NTE: substitution is restricted

L22 ANSWER 9 OF 9 MARPAT COPYRIGHT 2002 ACS
AN 119:72498 MARPAT
TI Preparation of 1-alkyl-4-(arylmethyl)piperidines and their pharmaceutical
formulations as inhibitors of 5-HT reuptake
IN Damour, Dominique; Labaudiniere, Richard; Malleron, Jean Luc; Mignani, Serge
PA Rhone-Poulenc Rorer SA, Fr.
SO Fr. Demande, 43 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2675801	A1	19921030	FR 1991-5048	19910424

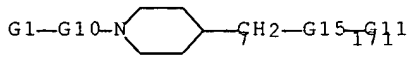
PI
GI



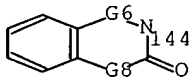
I

AB Title piperidines I [R1 = OH, (un)substituted Ph, heterocyclyl, R4SO2NR5 (R4 = Ph, quinolyl, R5 = H, alkyl), or N(CO2R8)NHCO2R8 (R8 = alkyl); R2 = CH2, CH2CH2, NH, N-alkylimino; R3 = H, halo; R4 = Ph, quinolyl; n = 1-3;partial bond represents single or double C-C bond, where for R2 = NH, it is a double bond, and for R2 = CH2CH2, it a single bond] are prepd. by condensation of an appropriate alkyl halide R1(CH2)nX with 4-(arylmethyl)piperidine. The prepn. of racemates and enantiomers of compds. I contg. at least one chiral center, and their salts with mineral or org. acids, are claimed. Formulations of I for medical use are given (3 examples). The compds. exhibit inhibitory activity of 5-HT recapture.

MSTR 1

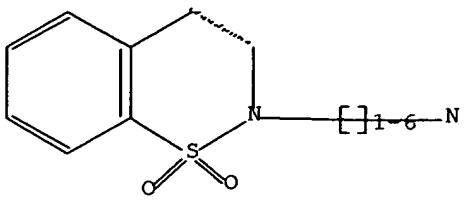


G1 = 144



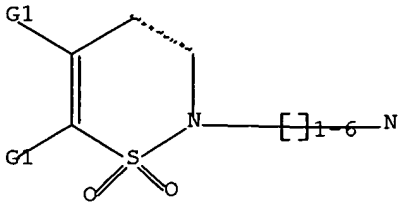
G6 = SO2
G8 = CMe2
G10 = (1-3) CH2
DER: or (in)organic acid salts
MPL: claim 1
STE: and enantiomers

=> d l1; d l11; d his; log y
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

L11 HAS NO ANSWERS
L11 STR



G1 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

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FILE 'REGISTRY' ENTERED AT 17:14:19 ON 08 AUG 2002
L1 STRUCTURE UPLOADED
L2 2 S L1
L3 62 S L1 FUL

FILE 'CAPLUS' ENTERED AT 17:14:55 ON 08 AUG 2002
L4 12 S L3

FILE 'BEILSTEIN' ENTERED AT 17:15:35 ON 08 AUG 2002
L5 0 S L1
L6 6 S L1 FUL
L7 1 S L6 NOT L3

FILE 'MARPAT' ENTERED AT 17:16:29 ON 08 AUG 2002
L8 2 S L1
L9 19 S L1 FUL
L10 14 S L9 NOT L4

FILE 'STNGUIDE' ENTERED AT 17:18:58 ON 08 AUG 2002

FILE 'REGISTRY' ENTERED AT 17:19:57 ON 08 AUG 2002
L11 STRUCTURE UPLOADED
L12 3 S L11
L13 105 S L11 FUL
L14 43 S L13 NOT L3

FILE 'CAPLUS' ENTERED AT 17:20:42 ON 08 AUG 2002

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L15          12 S L14

     FILE 'BEILSTEIN' ENTERED AT 17:21:22 ON 08 AUG 2002
L16           1 S L11
L17          24 S L11 FUL
L18          24 S L17 NOT L14

     FILE 'MARPAT' ENTERED AT 17:22:56 ON 08 AUG 2002
L19           3 S L11
L20          25 S L11 FUL
L21          22 S L20 NOT L15
L22           9 S L21 NOT L10


COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     135.55    1225.92

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                      -5.31     -28.43

STN INTERNATIONAL LOGOFF AT 17:24:22 ON 08 AUG 2002
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